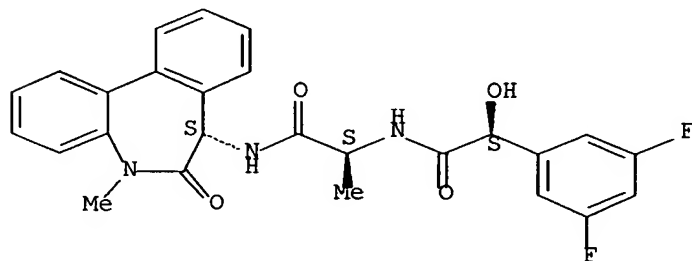


*plant inter*

L19 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2006:15769 CAPLUS Full-text  
DN 144:101011  
TI  $\gamma$ -secretase or proteasome inhibitor, tumoricidal agent, or Notch-1  
modulator combinations for selective inhibition of cancer growth  
IN Miele, Lucio; Nickoloff, Brian J.  
PA The Board of Trustees of the University of Illinois, USA  
SO PCT Int. Appl., 156 pp., which  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

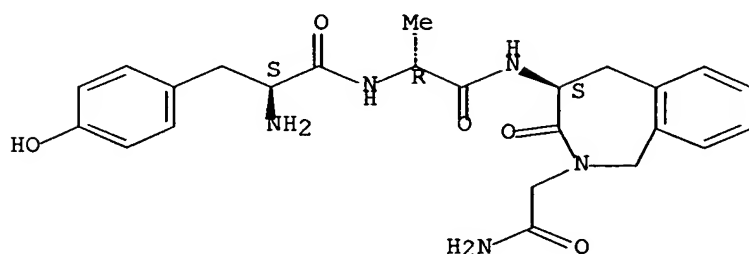
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006001956	A2	20060105	WO 2005-US17768	20050520
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2004-572819P	P	20040520		
	US 2004-585317P	P	20040702		
AB	This invention provides compds., methods and pharmaceutical compns. for inhibiting cancer cell growth and inducing apoptosis in cancer cells, particularly cells resistant to conventional chemotherapeutic drug treatment.				
IT	209984-57-6, LY 411575				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(γ-secretase or proteasome inhibitor, tumoricidal agent, or Notch-1 modulator combinations for selective inhibition of cancer growth)				
RN	209984-57-6 CAPLUS				
CN	Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro-α-hydroxy-, (αS)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry. Rotation (-).



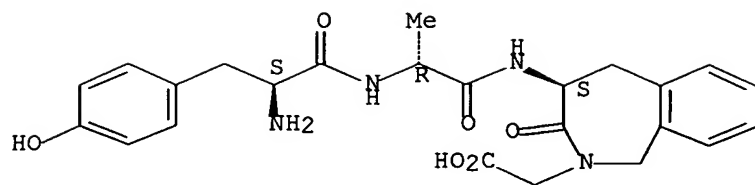
L19 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1204499 CAPLUS Full-text  
 DN 144:108577  
 TI Synthesis and biological evaluation of constrained analogs of the opioid peptide H-Tyr-D-Ala-Phe-Gly-NH<sub>2</sub> using the 4-amino-2-benzazepin-3-one scaffold  
 AU Ballet, S.; Frycia, A.; Piron, J.; Chung, N. N.; Schiller, P. W.; Kosson, P.; Lipkowski, A. W.; Tourwe, D.  
 CS Department of Organic Chemistry, Vrije Universiteit Brussel, Brussels, B-1050, Belg.  
 SO Journal of Peptide Research (2005), 66(5), 222-230  
 CODEN: JPERFA; ISSN: 1397-002X  
 PB Blackwell Publishing Ltd.  
 DT Journal  
 LA English  
 AB The synthesis of conformationally restricted dipeptidic moieties 4-amino-1,2,4,5-tetrahydro-2-benzazepin-3-one (Aba)-Gly ([ (4S)-amino-3-oxo-1,2,4,5-tetrahydro-1H-2-benzazepin-2-yl]-acetic acid) and 8-hydroxy-4-amino-1,2,4,5-tetrahydro-2-benzazepin-3-one (Hba)-D-Ala ([ (4S)-amino-8-hydroxy-3-oxo-1,2,4,5-tetrahydro-benzo[c]azepin-2-yl]- propionic acid) was based on a synthetic strategy that uses an oxazolidinone as an N-acyliminium precursor. Introducing these Aba scaffolds into the N-terminal tetrapeptide of dermorphin (H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH<sub>2</sub>)-induced remarkable shifts in affinity and selectivity towards the opioid  $\mu$ - and  $\delta$ -receptors. This paper provides the synthesis and biol. in vitro and in vivo evaluation of constricted analogs of the N-terminal tetrapeptide H-Tyr-D-Ala-Phe-Gly-NH<sub>2</sub>, which is the minimal subunit of dermorphin needed for dermorphin-like opiate activity.  
 IT **183617-53-0P 183617-54-1P**  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of opioid peptide analogs via solution coupling and solid phase synthesis using aminobenzazepinone scaffold and their structure-opioid receptor-binding activity relationship)  
 RN 183617-53-0 CAPLUS  
 CN D-Alaninamide, L-tyrosyl-N-[ (4S)-2-(2-amino-2-oxoethyl)-2,3,4,5-tetrahydro-3-oxo-1H-2-benzazepin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183617-54-1 CAPLUS  
 CN D-Alaninamide, L-tyrosyl-N-[ (4S)-2-(carboxymethyl)-2,3,4,5-tetrahydro-3-oxo-1H-2-benzazepin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **872591-44-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

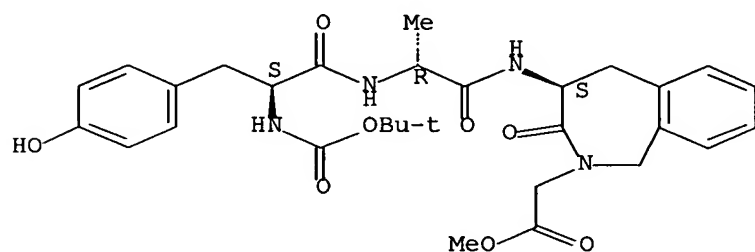
(preparation of opioid peptide analogs via solution coupling and solid phase

synthesis using aminobenzazepinone scaffold and their structure-opioid receptor-binding activity relationship)

RN 872591-44-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:979665 CAPLUS Full-text

DN 143:284712

TI Preparation of anti-A $\beta$  antibody free of human or nonhuman A $\beta$  peptides and amyloid precursor proteins for treating A $\beta$ -related diseases

IN Demattos, Ronald Bradley; Kuchibhotla, Uma; Yang, Hsiu-Chiung; McClure, Don B.

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2005082939	A2	20050909	WO 2005-US5198	20050217
	WO 2005082939	A3	20051027		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2004-546764P P 20040223

AB This present invention provides a composition that is suitable for administration to a human subject comprising an anti-A $\beta$  antibody that is free of A $\beta$  peptide or that has acceptably low levels thereof, free of non-human A $\beta$  peptide or that has acceptably low levels thereof, or having an undetectable concentration of A $\beta$  peptide. The A $\beta$  peptide-free anti-A $\beta$  antibodies are expressed in cell lines with deleted genes for amyloid precursor protein,  $\beta$ -secretase and  $\gamma$ -secretase. The A $\beta$  peptide-free anti-A $\beta$  antibodies may also be expressed in cell lines with enhanced  $\alpha$ -secretase gene; or expressed in cell culture containing  $\beta$ -secretase inhibitor and/or  $\gamma$ -secretase inhibitor. The anti-A $\beta$  antibodies may be purified by acidification and size exclusion chromatog. The anti-A $\beta$  antibodies are useful for treating human patients with Alzheimer's disease, Down's syndrome, cerebral amyloid angiopathy, vascular dementia mild cognitive impairment and the like.

IT 209984-57-6

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

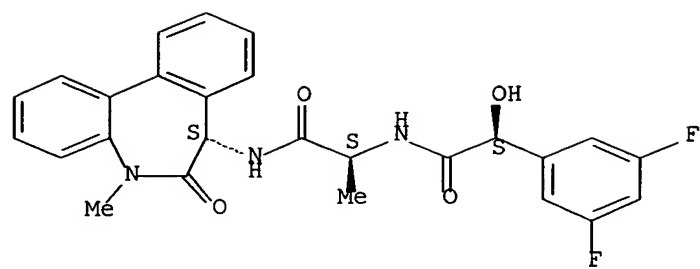
(preparation of anti-A $\beta$  antibody free of human or nonhuman A $\beta$  peptides and amyloid precursor proteins for treating A $\beta$ -related diseases)

RN 209984-57-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

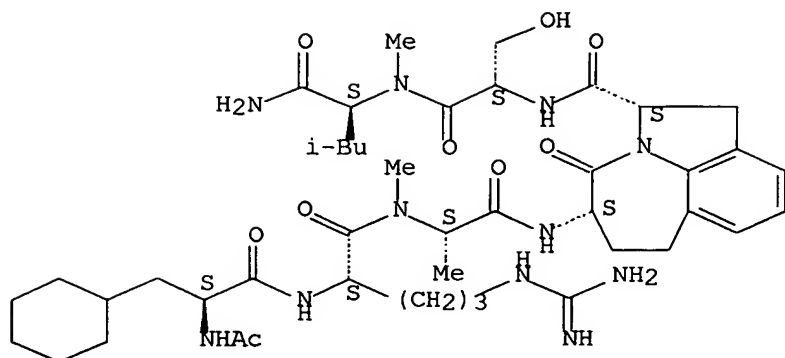
Absolute stereochemistry. Rotation (-).





L19 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:874486 CAPLUS Full-text  
 DN 143:379059  
 TI Modeling Ligand-Receptor Interaction for Some MHC Class II HLA-DR4 Peptide  
 Mimetic Inhibitors Using Several Molecular Docking and 3D QSAR Techniques  
 AU Wei, Hsin-Yuan; Tsai, Keng-Chang; Lin, Thy-Hou  
 CS Institute of Molecular Medicine, Department of Life Science, National  
 Tsing Hua University, Hsinchu, 30013, Taiwan  
 SO Journal of Chemical Information and Modeling (2005), 45(5), 1343-1351  
 CODEN: JCISD8; ISSN: 1549-9596  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB The ligand-receptor interaction between some peptidomimetic inhibitors and a  
 class II MHC peptide presenting mol., the HLA-DR4 receptor, was modeled using  
 some three-dimensional (3D) quant. structure-activity relation (QSAR) methods  
 such as the Comparative Mol. Field Anal. (CoMFA), Comparative Mol. Similarity  
 Indexes Anal. (CoMSIA), and a pharmacophore building method, the Catalyst  
 program. The structures of these peptidomimetic inhibitors were generated  
 theor., and the conformations used in the 3D QSAR studies were defined by  
 docking them into the known structure of HLA-DR4 receptor through the GOLD,  
 GLIDE Rigidly, GLIDE Flexible, and Xscore programs. Some of the parameters  
 used in these docking programs were selected by docking an x-ray ligand into  
 the receptor and comparing the root-means-square difference (RMSD) computed  
 between the coordinates of the x-ray and docked structure. However, the  
 goodness of a docking result for docking a series of peptidomimetic inhibitors  
 into the HLA-DR4 receptor was judged by comparing the Spearman's rank  
 correlation coefficient computed between each docking result and the activity  
 data taken from the literature. The best CoMFA and CoMSIA models were  
 constructed using the aligned structures of the best docking result. The  
 CoMSIA was conducted in a stepwise manner to identify some important mol.  
 features that were further employed in a pharmacophore building process by the  
 Catalyst program. It was found that most inhibitors of the training set were  
 accurately predicted by the best pharmacophore model, the Hypol hypothesis  
 constructed. The deviation or conflict found between the actual and predicted  
 activities of some inhibitors of both the training and the test sets were also  
 investigated by mapping the Hypol hypothesis onto the corresponding structures  
 of the inhibitors.  
 IT 285142-74-7 285142-79-2 285142-80-5  
 285142-84-9  
 RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological  
 study)  
 (modeling ligand-receptor interaction for MHC class II HLA-DR4  
 peptidomimetic inhibitors using mol. docking and 3D QSAR techniques)  
 RN 285142-74-7 CAPLUS  
 CN L-Leucinamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-N-methyl-L-alanyl-  
 (2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxazepino[3,2,1-hi]indole-2-  
 carbonyl-L-seryl-N2-methyl- (9CI) (CA INDEX NAME)

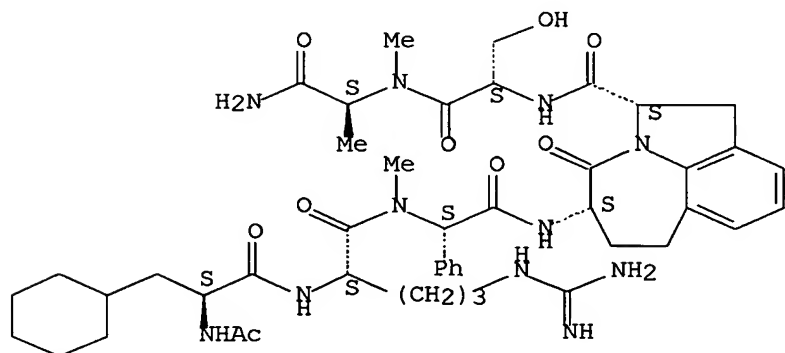
Absolute stereochemistry.



RN 285142-79-2 CAPLUS

CN L-Alaninamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-(2S)-N-methyl-2-phenylglycyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-L-seryl-N2-methyl- (9CI) (CA INDEX NAME)

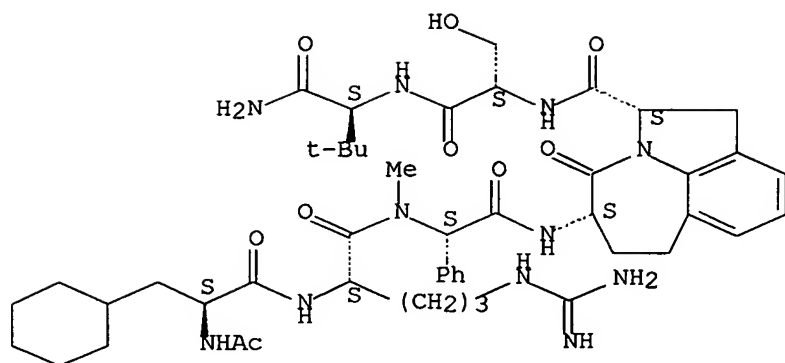
Absolute stereochemistry.



RN 285142-80-5 CAPLUS

CN L-Valinamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-(2S)-N-methyl-2-phenylglycyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-L-seryl-3-methyl- (9CI) (CA INDEX NAME)

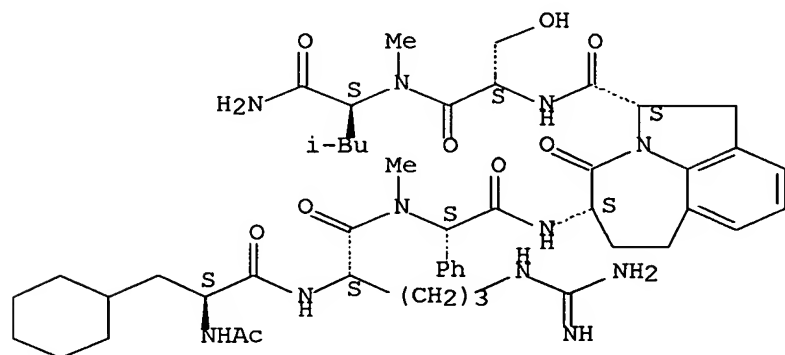
Absolute stereochemistry.



RN 285142-84-9 CAPLUS

CN L-Leucinamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-(2S)-N-methyl-2-phenylglycyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-L-seryl-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Quantitative measurement of changes in amyloid- $\beta$ (40) in the rat brain and cerebrospinal fluid following treatment with the  $\gamma$ -secretase inhibitor LY-411575 [N2-[(2S)-2-(3,5-difluorophenyl)-2-hydroxyethanoyl]-N1-[(7S)-5-methyl-6-oxo-6,7-dihydro-5H-dibenzo[b,d]azepin-7-yl]-L-alaninamide]

AU Best, Jonathan D.; Jay, Mark T.; Otu, Franklin; Ma, Jerome; Nadin, Alan; Ellis, Samantha; Lewis, Huw D.; Pattison, Christine; Reilly, Michael; Harrison, Timothy; Shearman, Mark S.; Williamson, Toni L.; Attack, John R.

CS Department of In Vivo Neuroscience, Merck Sharp and Dohme Research Laboratories, The Neuroscience Research Centre, Essex, UK

SO Journal of Pharmacology and Experimental Therapeutics (2005), 313(2), 902-908

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AB The efficacy of  $\gamma$ -secretase inhibitors in vivo has, to date, been generally assessed in transgenic mouse models expressing increased levels of amyloid- $\beta$  ( $A\beta$ ) peptide thereby allowing the detection of changes in  $A\beta$  production. However, it is not clear whether the in vivo potency of  $\gamma$ -secretase inhibitors is independent of the level of amyloid precursor protein expression. In other words, does a  $\gamma$ -secretase inhibitor have the same effect in nontransgenic physiol. animals vs. transgenic overexpressing animals. In the present study, an immunoassay has been developed which can detect  $A\beta$ (40) in the rat brain, where concns. are much lower than those seen in transgenic mice such as Tg2576 (c. 0.7 and 25 nM, resp.) and in cerebrospinal fluid (CSF, c. 0.3 nM). Using this immunoassay, the effects of the  $\gamma$ -secretase inhibitor LY-411575 were assessed and robust dose-dependent redns. in rat brain and CSF  $A\beta$ (40) levels were observed with ID50 values of 1.3 mg/kg for both brain and CSF. These values were comparable with those calculated for LY-411575 in transgenic mice. Time course expts. using LY-411575 demonstrated comparable temporal redns. in rat brain and CSF  $A\beta$ (40), further suggesting these two pools of  $A\beta$  are related. Accordingly, when all the data for the dose-response curve and time course were correlated, a strong association was observed between the brain and CSF  $A\beta$ (40) levels. These data demonstrate the utility of the rat as a novel approach for assessing the effects of  $\gamma$ -secretase inhibitors on central nervous system  $A\beta$ (40) levels in vivo.

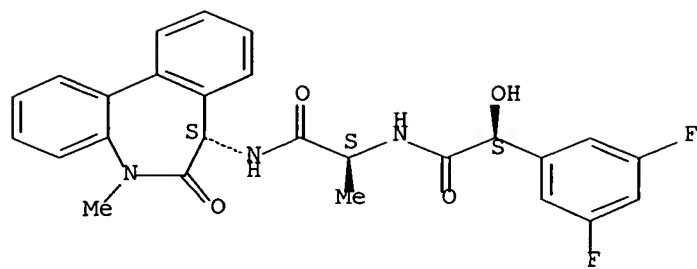
IT 209984-57-6, LY-411575

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(quant. measurement of changes in amyloid- $\beta$ (40) in rat brain and cerebrospinal fluid following treatment with the  $\gamma$ -secretase inhibitor LY-411575)

RN 209984-57-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:101590 CAPLUS Full-text

DN 142:233125

TI Lack of specific amyloid- $\beta$ (1-42) suppression by nonsteroidal anti-inflammatory drugs in young, plaque-free Tg2576 mice and in guinea pig neuronal cultures

AU Lanz, Thomas A.; Fici, Gregory J.; Merchant, Kalpana M.

CS Department of Neurobiology, Pfizer, Inc., Kalamazoo, MI, USA

SO Journal of Pharmacology and Experimental Therapeutics (2005), 312(1), 399-406

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AB Recent studies indicating that some nonsteroidal anti-inflammatory drugs (NSAIDs) selectively modulate  $\gamma$ -secretase cleavage of amyloid precursor protein (APP) while sparing Notch processing have generated interest in discovery of novel  $\gamma$ -secretase modulators with the "NSAID-like" efficacy profile. The objective of the present studies was to compare the efficacy of a subset of NSAIDs with previously reported classical  $\gamma$ -secretase inhibitors LY-411575 [N2-[(2S)-2-(3,5-difluorophenyl)-2-hydroxyethanoyl]-N1-[(7S)-5-methyl-6-oxo-6,7-dihydro-5H-dibenzo[b,d]azepin-7-yl]-L-alaninamide] and DAPT [N-[N-(3,5-difluorophenacetyl)-L-alanyl]-S-phenylglycine t-Bu ester] in Tg2576 mice. Flurbiprofen (10 and 25 mg/kg/day) was overtly toxic and elicited significant (but nonselective) redns. in both A $\beta$ (1-40) and A $\beta$ (1-42) in the plasma in one of two studies. Flurbiprofen also produced a small reduction in A $\beta$ (1-40) in the cortex at 25 mg/kg/day but did not affect A $\beta$  levels in hippocampus or cerebrospinal fluid. Ibuprofen and sulindac sulfide were neither overtly toxic nor efficacious at doses up to 50 mg/kg/day. The effects of NSAIDs LY-411575 and DAPT were tested in guinea pig embryonic neuronal cultures to determine whether the selective redns. in A $\beta$ (1-42) observed in cell lines overexpressing human mutant APP can be reproduced in a neuronal model of physiol. A $\beta$  production and secretion. Flurbiprofen and sulindac nonselectively reduced A $\beta$ (1-40) and A $\beta$ (1-42) at concns.  $\geq 125 \mu\text{M}$ , although cytotoxicity was noted at  $\geq 250 \mu\text{M}$  sulindac. Ibuprofen had no effect at concns. up to  $500 \mu\text{M}$ . In contrast, DAPT and LY-411575 potently and completely inhibited A $\beta$ (1-40), A $\beta$ (1-42), and A $\beta$ (1-38) in the absence of cytotoxicity. The divergence of the present data from published reports raises the need to examine the conditions necessary to perceive selective A $\beta$ (1-42) reduction by NSAIDs in neuronal tissue.

IT 209984-57-6, LY 411575

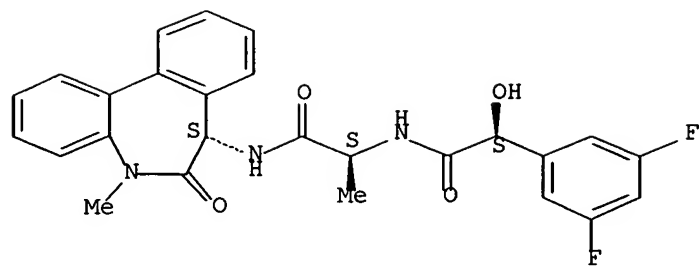
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NSAIDs effect on A $\beta$ (1-42) in plaque-free Tg2576 mice and guinea pig neuronal cultures)

RN 209984-57-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

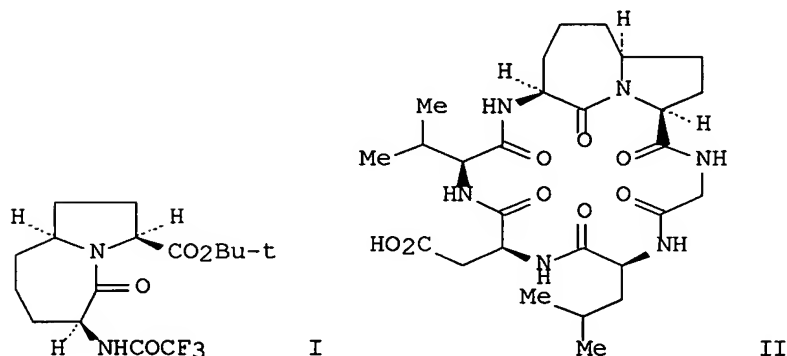
Absolute stereochemistry. Rotation (-).



RE.CNT 32      THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

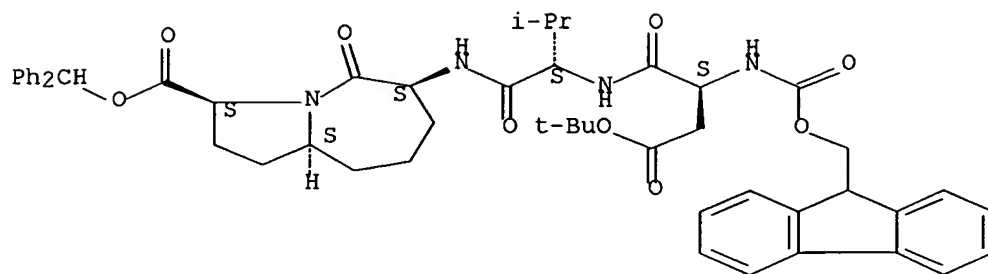


L19 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:1049108 CAPLUS Full-text  
 DN 142:177098  
 TI Synthesis of an external  $\beta$ -turn based on the GLDV motif of cell adhesion proteins  
 AU Davies, David E.; Doyle, Paul M.; Hill, Richard D.; Young, Douglas W.  
 CS GlaxoSmithKline, Medicines Research Centre, Herts, SG1 2NY, UK  
 SO Tetrahedron (2004), Volume Date 2005, 61(1), 301-312  
 CODEN: TETRAB; ISSN: 0040-4020  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 OS CASREACT 142:177098  
 GI



AB The (3S,6S,10S)-7/5 bicyclic lactam I, designed as an external turn constraint, was synthesized by a new stereoselective route involving Eschenmoser condensation. The cyclic peptide II, containing the integrin recognition motif GLDV added across the amino and carboxyl groups of the lactam external constraint I, was prepared  
 IT **643014-10-2P 643014-11-3P 643014-12-4P**  
**643014-13-5P 643014-14-6P 643014-15-7P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of external  $\beta$ -turn and cyclic GLDV peptide containing it)  
 RN 643014-10-2 CAPLUS  
 CN L-Valinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

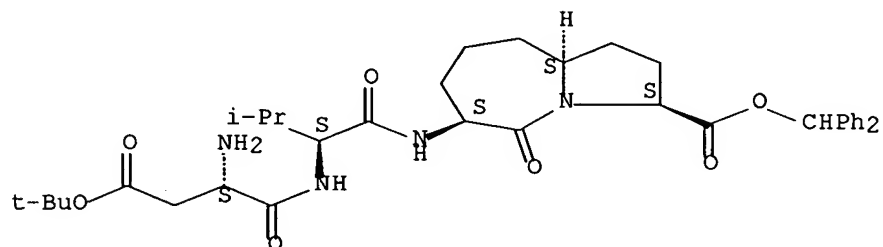
Absolute stereochemistry. Rotation (-).



RN 643014-11-3 CAPLUS

CN L-Valinamide, L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

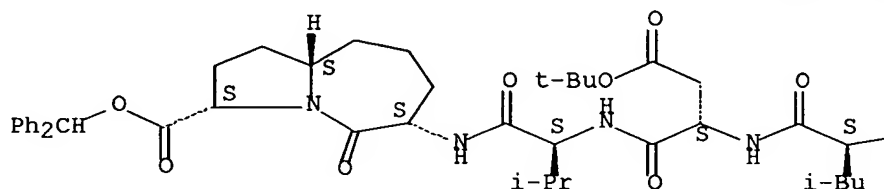


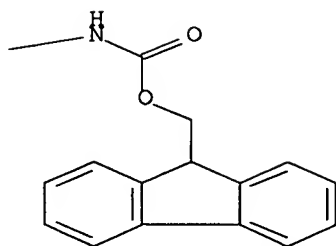
RN 643014-12-4 CAPLUS

CN L-Valinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-leucyl-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

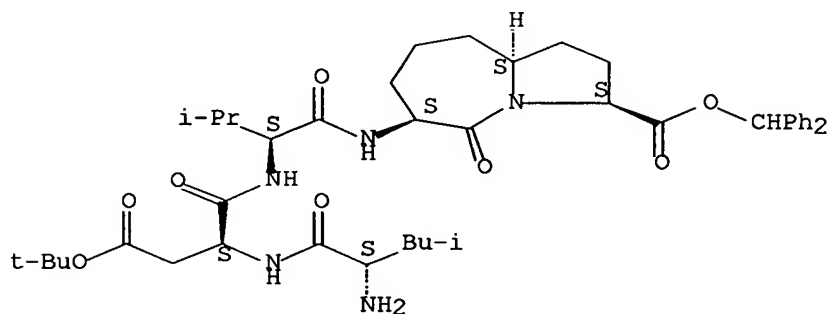




RN 643014-13-5 CAPLUS

CN L-Valinamide, L-leucyl-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

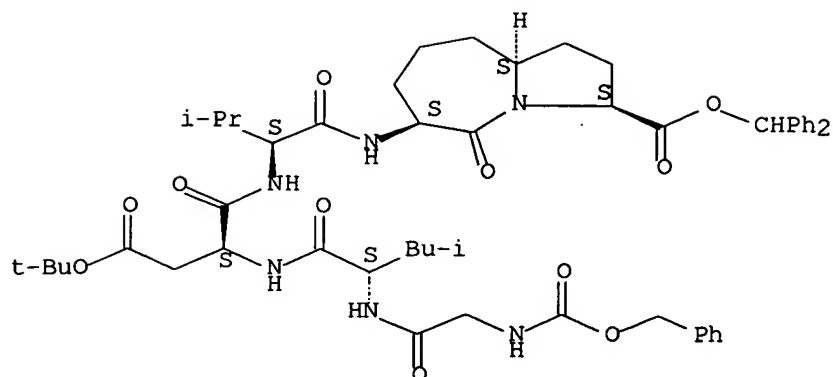
Absolute stereochemistry. Rotation (-).



RN 643014-14-6 CAPLUS

CN L-Valinamide, N-[(phenylmethoxy)carbonyl]glycyl-L-leucyl-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

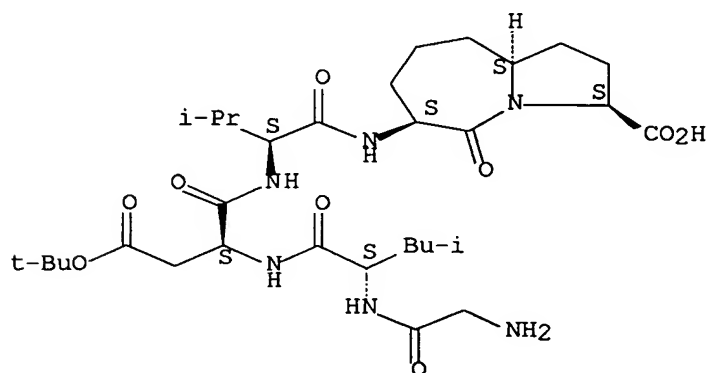
Absolute stereochemistry. Rotation (-).



RN 643014-15-7 CAPLUS

CN L-Valinamide, glycyl-L-leucyl-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-carboxyoctahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 3-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

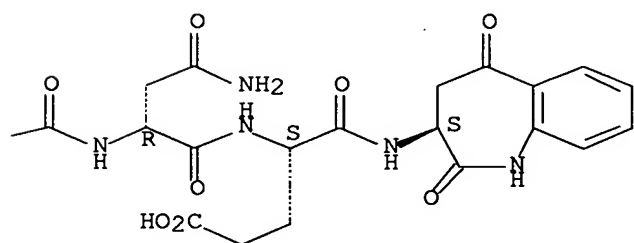
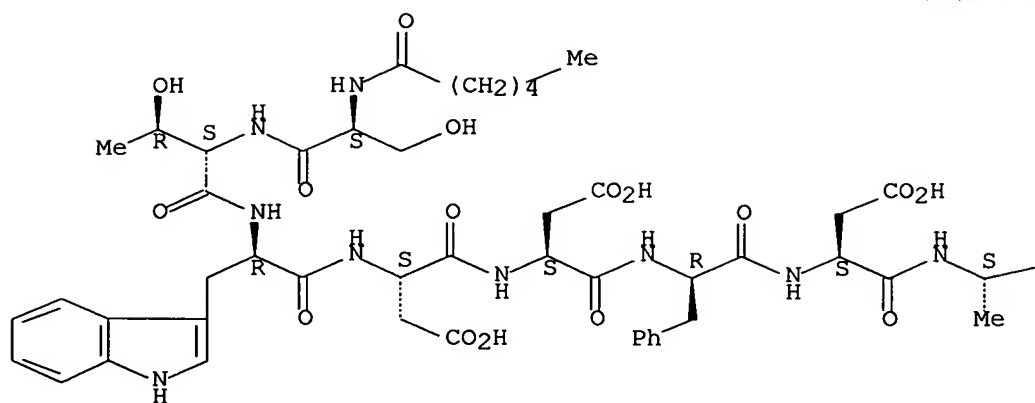
Absolute stereochemistry. Rotation (-).



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:1048904 CAPLUS Full-text  
 DN 142:177094  
 TI Synthesis and derivatization of daptomycin: a chemoenzymatic route to acidic lipopeptide antibiotics  
 AU Gruenewald, Jan; Sieber, Stephan A.; Mahlert, Christoph; Linne, Uwe; Marahiel, Mohamed A.  
 CS Fachbereich Chemie/Biochemie, Philipps-Universitaet Marburg, Marburg, D-35032, Germany  
 SO Journal of the American Chemical Society (2004), 126(51), 17025-17031  
 CODEN: JACSAT; ISSN: 0002-7863  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 142:177094  
 AB Daptomycin is a branched cyclic nonribosomally assembled acidic lipopeptide, which is the first clin. approved antibiotic of this class. Here we show that the recombinant cyclization domain of the Streptomyces coelicolor calcium-dependent antibiotic (CDA) nonribosomal peptide synthetase (NRPS) is a versatile tool for the chemoenzymic generation of daptomycin derivs. Linear CDA undecapeptide thioesters with single exchanges at six daptomycin-specific residues were successfully cyclized by CDA cyclase. Simultaneous incorporation of all six of these residues into the peptide backbone and elongation of the N-terminus of CDA by two residues yielded a daptomycin derivative that lacked only the  $\beta$ -Me group of L-3-methylglutamate. Bioactivity studies with several substrate analogs revealed a significant role of nonproteinogenic constituents for antibacterial potency. In accordance with acidic lipopeptides, the bioactivity of the chemoenzymic assembled daptomycin analog is dependent on the concentration of calcium ions. Single deletions of the four acidic residues in the peptide backbone suggest that only two aspartic acid residues are essential for antimicrobial potency. These two residues are strictly conserved among other nonribosomal acidic lipopeptides and the EF-motif of ribosomally assembled calmodulin. Based on these findings CDA cyclase is a versatile catalyst that can be used to generate novel daptomycin derivs. that are otherwise difficult to obtain by chemical modification of the parental tridecapeptide to improve further its therapeutic activity.  
 IT **831210-86-7P 831210-88-9P**  
 RL: BYP (Byproduct); PREP (Preparation)  
 (preparation and using of recombinant nonribosomal peptide thioester cyclase  
 of calcium-dependent antibiotic from Streptomyces coelicolor as catalyst in peptide cyclization)  
 RN 831210-86-7 CAPLUS  
 CN L- $\alpha$ -Glutamine, N-(1-oxohexyl)-L-seryl-L-threonyl-D-tryptophyl-L- $\alpha$ -aspartyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L- $\alpha$ -aspartyl-L-alanyl-D-asparaginyl-N-[(3S)-2,3,4,5-tetrahydro-2,5-dioxo-1H-1-benzazepin-3-yl]- (9CI) (CA INDEX NAME)

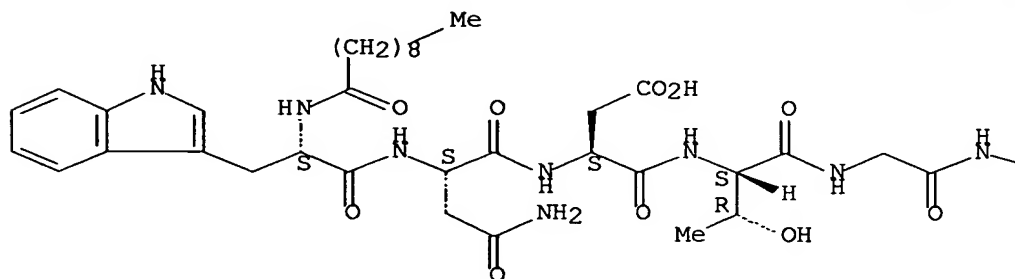
Absolute stereochemistry.



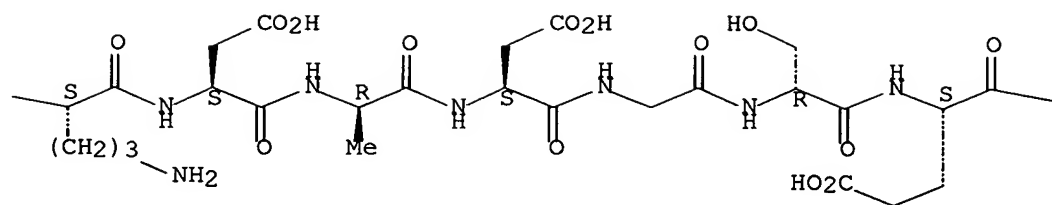
RN 831210-88-9 CAPLUS

CN L-α-Glutamine, N-(1-oxodecyl)-L-tryptophyl-L-asparaginyl-L-α-aspartyl-L-threonylglycyl-L-ornithyl-L-α-aspartyl-D-alanyl-L-α-aspartylglycyl-D-seryl-N-[(3S)-2,3,4,5-tetrahydro-2,5-dioxo-1H-1-benzazepin-3-yl]- (9CI) (CA INDEX NAME)

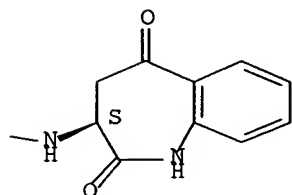
Absolute stereochemistry.



PAGE 1-B



PAGE 1-C



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:887229 CAPLUS Full-text

DN 142:111587

TI Modulation of Notch Processing by  $\gamma$ -Secretase Inhibitors Causes  
Intestinal Goblet Cell Metaplasia and Induction of Genes Known to Specify  
Gut Secretory Lineage Differentiation

AU Milano, Joseph; McKay, Jenny; Dagenais, Claude; Foster-Brown, Linda;  
Pognan, Francois; Gadiant, Reto; Jacobs, Robert T.; Zacco, Anna;  
Greenberg, Barry; Ciaccio, Paul J.

CS Safety Assessment US, AstraZeneca Pharmaceuticals, Wilmington, DE, 19850,  
USA

SO Toxicological Sciences (2004), 82(1), 341-358

CODEN: TOSCF2; ISSN: 1096-6080

PB Oxford University Press

DT Journal

LA English

AB It is anticipated that  $\gamma$ -secretase inhibitors ( $\gamma$ -Sec-I) that modulate Notch processing will alter differentiation in tissues whose architecture is governed by Notch signaling. To explore this hypothesis, Han Wistar rats were dosed for up to 5 days with 10-100  $\mu$ mol/kg b.i.d.  $\gamma$ -Sec-I from three chemical series that inhibit Notch processing in vitro at various potencies (Notch IC50). These included an arylsulfonamide (AS) (142 nM), a dibenzazepine (DBZ) (1.7 nM), and a benzodiazepine (BZ) (2.2 nM). The DBZ and BZ caused dose-dependent intestinal goblet cell metaplasia. In contrast, the AS produced no detectable in vivo toxicity, despite higher exposure to free drug. In a time course using BZ, small intestinal crypt cell and large intestinal glandular cell epithelial apoptosis was observed on days 1-5, followed by goblet cell metaplasia on days 2-5 and crypt epithelial and glandular epithelial regenerative hyperplasia on days 4-5. Gene expression profiling of duodenal samples from BZ-dosed animals revealed significant time-dependent deregulation of mRNAs for various panendocrine, hormonal, and transcription factor genes. Somatostatin, secretin, mucin, CCK, and gastrin mRNAs were elevated twofold or more by day 2, and a number of candidate early-predictive' genes were altered on days 1-2, remaining changed for 4-5 days; these included Deltal, NeuroD, Hes1-regulated adipsin, and the Hes-regulated transcriptional activator of gut secretory lineage differentiation, the rat homolog of Drosophila atonal, Rath1. Western blotting of fecal protein from BZ- and DBZ-dosed animals exhibited increased levels of both anti-Rath1 reactive protein and anti-adipsin reactive proteins, confirming their potential value as noninvasive biomarkers of intestinal goblet metaplasia.

IT 209984-56-5

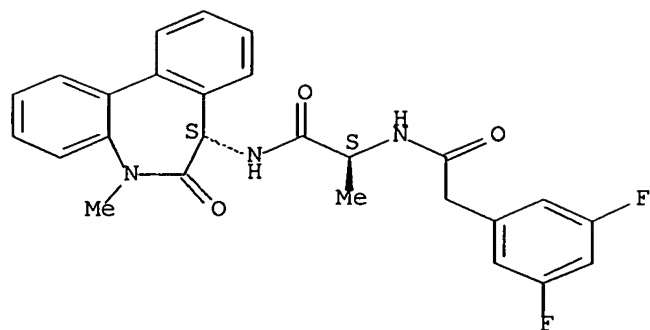
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
(modulation of Notch processing by  $\gamma$ -secretase inhibitors causes  
intestinal goblet cell metaplasia and induction of genes known to  
specify gut secretory lineage differentiation)

RN 209984-56-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-  
dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.





RE.CNT 21      THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:807379 CAPLUS Full-text

DN 142:2526

TI A Signal Peptide Peptidase (SPP) Reporter Activity Assay Based on the Cleavage of Type II Membrane Protein Substrates Provides Further Evidence for an Inverted Orientation of the SPP Active Site Relative to Presenilin  
AU Nyborg, Andrew C.; Jansen, Karen; Ladd, Thomas B.; Fauq, Abdul; Golde, Todd E.

CS Department of Neuroscience, Mayo Clinic College of Medicine, Mayo Clinic, Jacksonville, FL, 32224, USA

SO Journal of Biological Chemistry (2004), 279(41), 43148-43156  
CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

AB Signal peptide peptidase (SPP) is an intramembrane-cleaving protease identified by its cleavage of several type II membrane signal peptides after signal peptidase cleavage. Here the authors describe a novel, quant., cell-based SPP reporter assay. This assay utilizes a substrate consisting of the N-terminus of the ATF6 transcription factor fused to a transmembrane domain susceptible to SPP cleavage in vitro. In cells, cleavage of the substrate releases ATF6 from the membrane. This cleavage can be monitored by detection of an epitope that is unmasked in the cleaved substrate or by luciferase activity induced by the cleaved ATF6 substrate binding to and activating an ATF6 luciferase reporter construct. Using this assay the authors show that (i) SPP is the first aspartyl intramembrane-cleaving protease whose activity increases proportionally to its overexpression and (ii) selectivity of various SPP and  $\gamma$ -secretase inhibitors can be rapidly evaluated. Because this assay was designed based on data suggesting that SPP has an orientation distinct from presenilin and cleaves type II membrane proteins, the authors determined whether the segment of SPP located between the two presumptive catalytic aspartates was in the lumen or cytoplasm. Using site-directed mutagenesis to insert an N-linked glycosylation site the authors show that a portion of this region is present in the lumen. These data provide strong evidence that although the SPP and presenilin active sites have some similarities, their presumptive catalytic domains are inverted. This assay should prove useful for addnl. functional studies of SPP as well as evaluation of SPP and  $\gamma$ -secretase inhibitors.

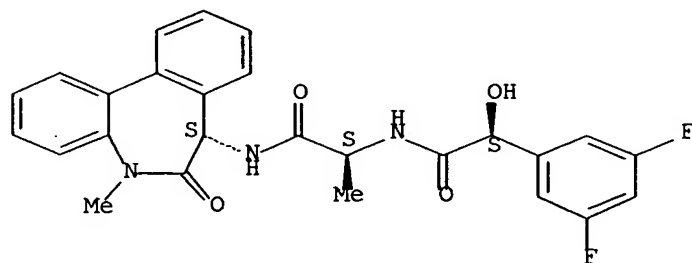
IT 209984-57-6, LY411575

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(signal peptide peptidase luciferase-reporter activity assay and inhibition by peptidase and  $\gamma$ -secretase inhibitors)

RN 209984-57-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

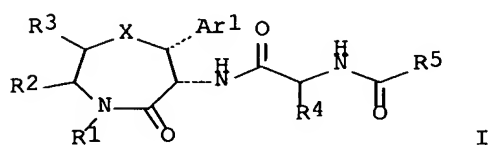
Absolute stereochemistry. Rotation (-).



RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD

L19 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:308419 CAPLUS Full-text  
 DN 140:339634  
 TI Preparation of peptidyl lactams for treatment of neurological disorders  
 IN Becker, Christopher; Dembofsky, Bruce; Jacobs, Robert; Kang, James;  
 Ohnmacht, Cyrus; Rosamond, James; Shenvi, Ashokkumar Bhikkappa; Simpson,  
 Thomas; Woods, James  
 PA Astrazeneca AB, Swed.  
 SO PCT Int. Appl., 188 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004031154	A1	20040415	WO 2003-SE1534	20031002
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1554250	A1	20050720	EP 2003-799234	20031002
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006503862	T2	20060202	JP 2004-541383	20031002
PRAI	SE 2002-2929	A	20021003		
	SE 2002-3829	A	20021218		
	WO 2003-SE1534	W	20031002		
OS	MARPAT 140:339634				
GI					



AB The invention relates to lactams I [X is C, O, NR1, SO2 or S; Ar1 is an (un)substituted 5- or 6-membered aromatic or heterocyclic ring having 0-3 nitrogen, oxygen or sulfur atoms; R1 is H, alk(en)yl, cycloalkyl-, amino-, acyl- or phenylalkyl or cycloalkylalkynyl; R2, R3 are H, alkyl, cycloalkyl, aryl or heteroaryl or R2 and R3 form a fused Ph or cyclohexyl moiety; R4 is H, (un)substituted alkyl, cycloalkyl, heterocyclyl or aryl; R5 is (un)substituted phenylalkyl, 1-hydroxyalkyl, hydroxyphenylmethyl, etc.] or their pharmaceutically-acceptable salts used for the treatment of neurol. disorders, e.g., Alzheimer's disease, related to amyloid  $\beta$  protein production These compds. inhibit  $\gamma$  secretase and thus inhibit the production of amyloid  $\beta$

protein and prevent the formation of neurol. deposits of amyloid protein. Syntheses of lactams I are described in 117 examples. Thus, cis-3-amino-2-(2,5-difluorophenyl)-2,3-dihydro-1,5- benzothiazepin-4-(5R)one was prepared via cyclization of Me  $\beta$ -[(2-aminophenyl)thio]-N-[(benzyloxy)carbonyl]-2,5-difluorophenylalaninate and underwent coupling with N-[(3,5-difluorophenyl)acetyl]-L-alanine to afford N2-[(3,5-difluorophenyl)acetyl]-N1-[(2R,3R)-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide.

IT 680227-97-8P 680228-67-5P 680228-68-6P  
680228-69-7P 680228-70-0P 680228-71-1P  
680228-72-2P 680228-73-3P 680229-09-8P  
680229-63-4P 680229-64-5P 680229-65-6P  
680229-66-7P 680229-67-8P 680229-68-9P  
680229-69-0P

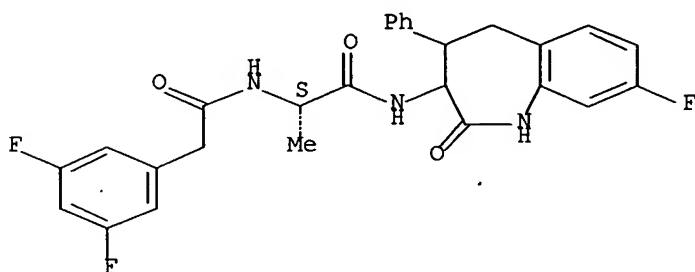
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidyl lactams for treatment of neurol. disorders)

RN 680227-97-8 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-2-[(8-fluoro-2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

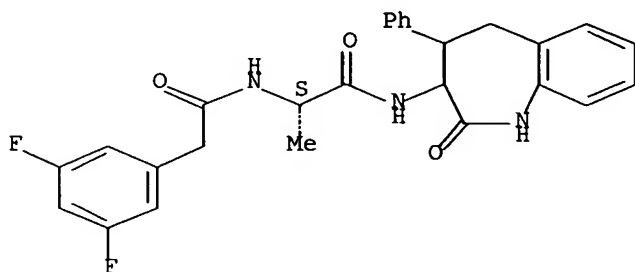
Absolute stereochemistry.



RN 680228-67-5 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

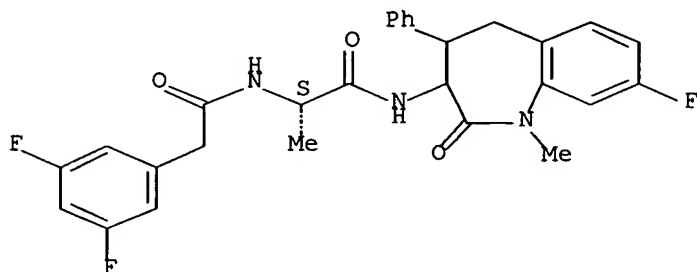
Absolute stereochemistry.



RN 680228-68-6 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-2-[(8-fluoro-2,3,4,5-tetrahydro-1-methyl-2-oxo-4-phenyl-1H-1-benzazepin-3-yl)amino]-1-methyl-2-oxoethyl]-(9CI) (CA INDEX NAME)

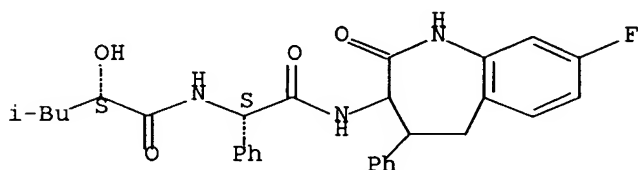
Absolute stereochemistry.



RN 680228-69-7 CAPLUS

CN Benzeneacetamide, N-(8-fluoro-2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl)- $\alpha$ -[[ (2S)-2-hydroxy-4-methyl-1-oxopentyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

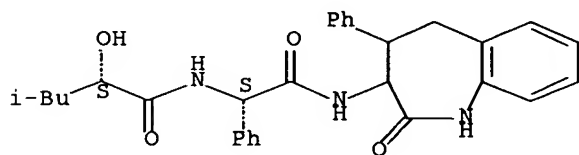
Absolute stereochemistry.



RN 680228-70-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[ (2S)-2-hydroxy-4-methyl-1-oxopentyl]amino]-N-(2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl)-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

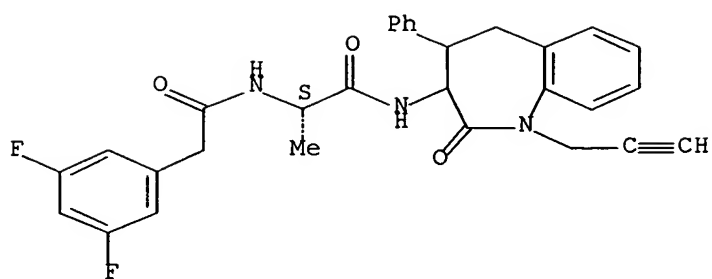
Absolute stereochemistry.



RN 680228-71-1 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[2,3,4,5-tetrahydro-2-oxo-4-phenyl-1-(2-propynyl)-1H-1-benzazepin-3-yl]amino]ethyl]-(9CI) (CA INDEX NAME)

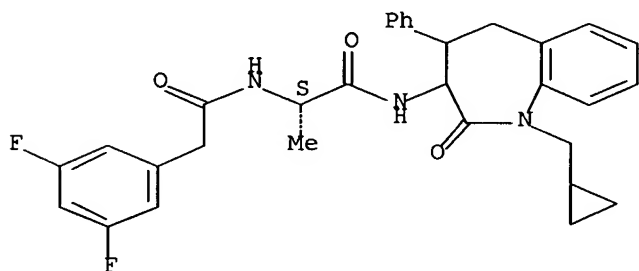
Absolute stereochemistry.



RN 680228-72-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[1-(cyclopropylmethyl)-2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

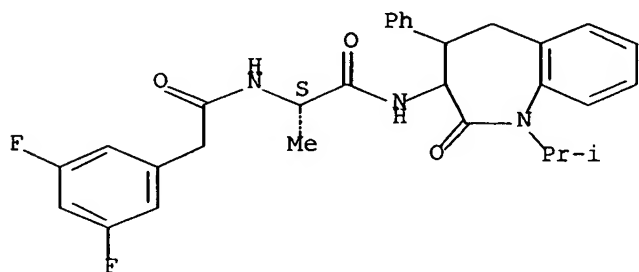
Absolute stereochemistry.



RN 680228-73-3 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[2,3,4,5-tetrahydro-1-(1-methylethyl)-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

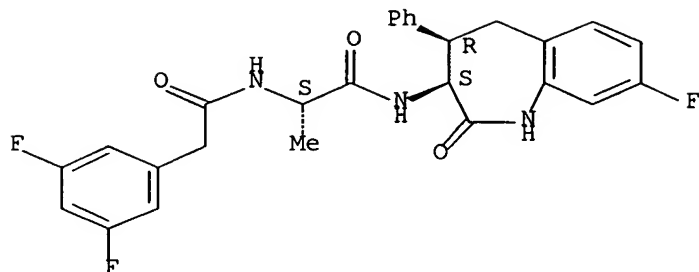
Absolute stereochemistry.



RN 680229-09-8 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-2-[[[(3S,4R)-8-fluoro-2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

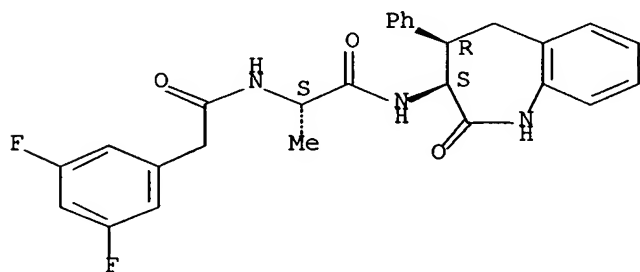
Absolute stereochemistry.



RN 680229-63-4 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (3S,4R)-2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

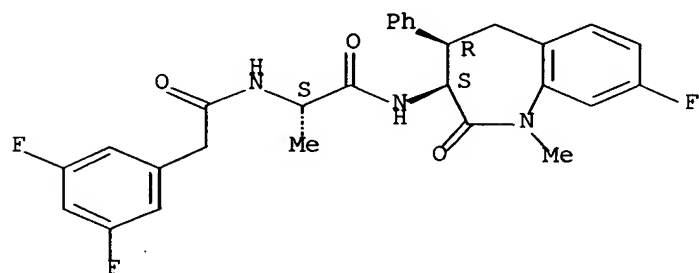
Absolute stereochemistry.



RN 680229-64-5 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-2-[[ (3S,4R)-8-fluoro-2,3,4,5-tetrahydro-1-methyl-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

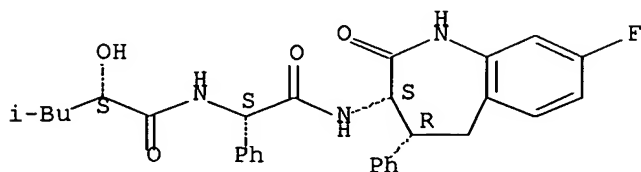


RN 680229-65-6 CAPLUS

CN Benzeneacetamide, N-[(3S,4R)-8-fluoro-2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-

1-benzazepin-3-yl]- $\alpha$ -[[ (2S)-2-hydroxy-4-methyl-1-oxopentyl]amino]-,  
 ( $\alpha$ S)- (9CI) (CA INDEX NAME)

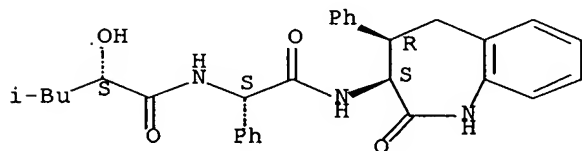
Absolute stereochemistry.



RN 680229-66-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[ (2S)-2-hydroxy-4-methyl-1-oxopentyl]amino]-N-  
 [(3S,4R)-2,3,4,5-tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]-,  
 ( $\alpha$ S)- (9CI) (CA INDEX NAME)

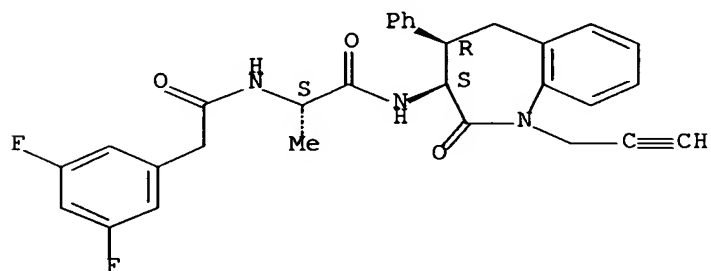
Absolute stereochemistry.



RN 680229-67-8 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (3S,4R)-2,3,4,5-  
 tetrahydro-2-oxo-4-phenyl-1-(2-propynyl)-1H-1-benzazepin-3-yl]amino]ethyl]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

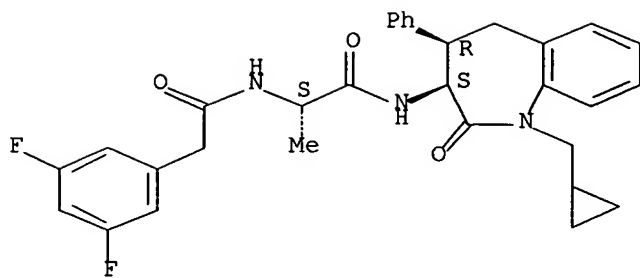


RN 680229-68-9 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[ (3S,4R)-1-(cyclopropylmethyl)-2,3,4,5-  
 tetrahydro-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]amino]-1-methyl-2-oxoethyl]-  
 3,5-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

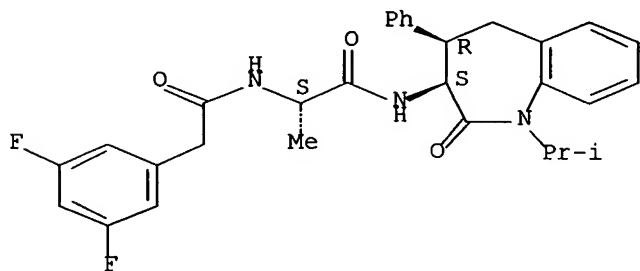




RN 680229-69-0 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (3S,4R)-2,3,4,5-tetrahydro-1-(1-methylethyl)-2-oxo-4-phenyl-1H-1-benzazepin-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

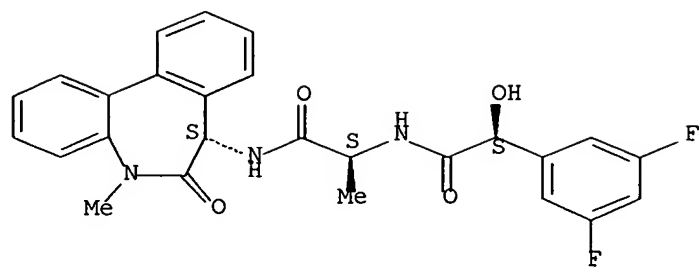
Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:292545 CAPLUS Full-text  
 DN 140:368548  
 TI Studies of A $\beta$  pharmacodynamics in the brain, cerebrospinal fluid, and plasma in young (plaque-free) Tg2576 mice using the  $\gamma$ -secretase inhibitor N2-[(2S)-2-(3,5-difluorophenyl)-2-hydroxyethanoyl]-N1-[(7S)-5-methyl-6-oxo-6,7-dihydro-5H-dibenzo[b,d]azepin-7-yl]-L-alaninamide (LY-411575)  
 AU Lanz, Thomas A.; Hosley, John D.; Adams, Wade J.; Merchant, Kalpana M.  
 CS Department of Neurobiology, Pharmacia Corporation, Kalamazoo, MI, USA  
 SO Journal of Pharmacology and Experimental Therapeutics (2004), 309(1), 49-55  
 CODEN: JPETAB; ISSN: 0022-3565  
 PB American Society for Pharmacology and Experimental Therapeutics  
 DT Journal  
 LA English  
 AB A previous study by us suggests the utility of cerebrospinal fluid (CSF) and plasma A $\beta$  as biomarkers of  $\beta$ - or  $\gamma$ -secretase inhibition. The present study characterized further A $\beta$  pharmacodynamics in these tissues from Tg2576 mice and examined their correlation with brain A $\beta$  after acute treatment with a potent  $\gamma$ -secretase inhibitor, N2-[(2S)-2-(3,5-difluorophenyl)-2-hydroxyethanoyl]-N1-[(7S)-5-methyl-6-oxo-6,7-dihydro-5H-dibenzo[b,d]azepin-7-yl]-L-alaninamide (LY-411575). A single dose of LY-411575 dose-dependently (0.1 - 10 mg/kg p.o.) reduced A $\beta$ (1 - 40) and A $\beta$ (1 - 42) in the CSF and the brain. In contrast, plasma A $\beta$  levels were increased by 0.1 mg/kg LY-411575 and were followed by a dose-dependent reduction at higher doses. The time courses of A $\beta$  reduction and recovery were distinct for the three tissues: maximal declines in A $\beta$  levels were evident by 3 h in the CSF and plasma but not until 9 h in the brain. A recovery in A $\beta$  levels was underway in the CSF by 9 h and nearly completed by 24 h in all tissues. The differential time courses in the three compartments do not seem to be due to pharmacokinetic factors. Five days of twice-daily treatment with LY-411575 not only sustained the A $\beta$  redns. in all tissues but also significantly augmented the efficacy in the brain and plasma. The increased efficacy occurred in the absence of compound accumulation and was consistent with the recovery rates in each compartment. Overall, A $\beta$  in the CSF and not plasma seems to be a better biomarker of brain A $\beta$  reduction; however, the time course of A $\beta$  changes needs to be established in clin. studies.  
 IT 209984-57-6, LY 411575  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (A $\beta$  pharmacodynamics in brain, cerebrospinal fluid, and plasma in young (plaque-free) Tg2576 mice using the  $\gamma$ -secretase inhibitor LY-411575)  
 RN 209984-57-6 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 23      THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:239373 CAPLUS Full-text

DN 141:1035

TI Chronic Treatment with the  $\gamma$ -Secretase Inhibitor LY-411575 Inhibits  $\beta$ -Amyloid Peptide Production and Alters Lymphopoiesis and Intestinal Cell Differentiation

AU Wong, Gwendolyn T.; Manfra, Denise; Poulet, Frederique M.; Zhang, Qi; Josien, Hubert; Bara, Thomas; Engstrom, Laura; Pinzon-Ortiz, Maria; Fine, Jay S.; Lee, Hu-Jung J.; Zhang, Lili; Higgins, Guy A.; Parker, Eric M.

CS Chemical Research, Immunology, Departments of Central Nervous System Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA

SO Journal of Biological Chemistry (2004), 279(13), 12876-12882

CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

AB Inhibition of  $\gamma$ -secretase, one of the enzymes responsible for the cleavage of the amyloid precursor protein (APP) to produce the pathogenic  $\beta$ -amyloid ( $A\beta$ ) peptides, is an attractive approach to the treatment of Alzheimer disease. In addition to APP, however, several other  $\gamma$ -secretase substrates have been identified (e.g. Notch), and altered processing of these substrates by  $\gamma$ -secretase inhibitors could lead to unintended biol. consequences. To study the in vivo consequences of  $\gamma$ -secretase inhibition, the  $\gamma$ -secretase inhibitor LY-411575 was administered to C57BL/6 and TgCRND8 APP transgenic mice for 15 days. Although most tissues were unaffected, doses of LY-411575 that inhibited  $A\beta$  production had marked effects on lymphocyte development and on the intestine. LY-411575 decreased overall thymic cellularity and impaired intrathymic differentiation at the CD4-CD8-CD44+CD25+ precursor stage. No effects on peripheral T cell populations were noted following LY-411575 treatment, but evidence for the altered maturation of peripheral B cells was observed. In the intestine, LY-411575 treatment increased goblet cell number and drastically altered tissue morphol. These effects of LY-411575 were not seen in mice that were administered LY-D, a diastereoisomer of LY-411575, which is a very weak  $\gamma$ -secretase inhibitor. These studies show that inhibition of  $\gamma$ -secretase has the expected benefit of reducing  $A\beta$  in a murine model of Alzheimer disease but has potentially undesirable biol. effects as well, most likely because of the inhibition of Notch processing.

IT 209984-57-6, LY 411575

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

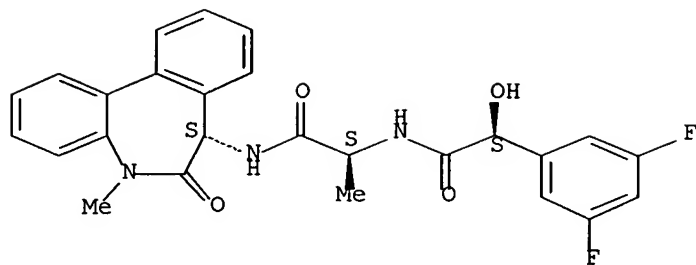
(chronic treatment with the  $\gamma$ -secretase inhibitor LY-411575

inhibits  $\beta$ -amyloid peptide production and alters lymphopoiesis and intestinal cell differentiation)

RN 209984-57-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **693788-17-9**

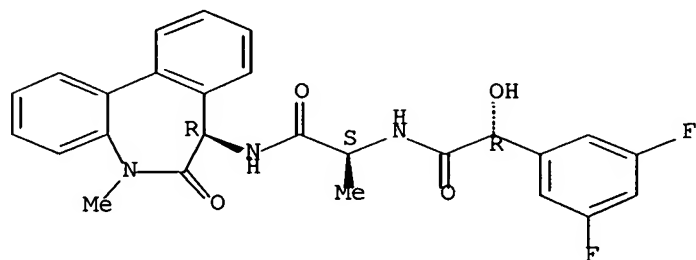
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(chronic treatment with the  $\gamma$ -secretase inhibitor LY-411575 inhibits  $\beta$ -amyloid peptide production and alters lymphopoiesis and intestinal cell differentiation)

RN 693788-17-9 CAPLUS

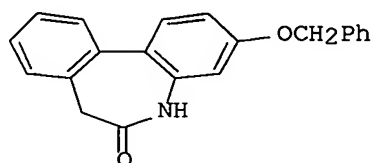
CN Benzeneacetamide, N-[(1S)-2-[[ (7R)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

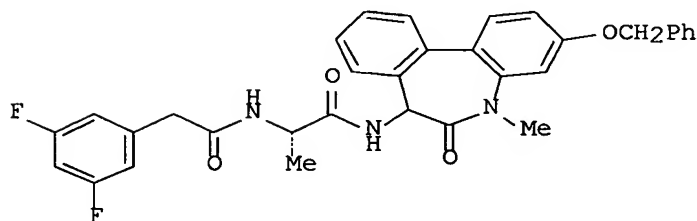


RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:153513 CAPLUS Full-text  
 DN 140:375058  
 TI Highly efficient synthesis of medium-sized lactams via intramolecular  
 Staudinger-aza-Wittig reaction of  $\omega$ -azido pentafluorophenyl ester:  
 synthesis and biological evaluation of LY411575 analogues  
 AU Fuwa, Haruhiko; Okamura, Yumiko; Morohashi, Yuichi; Tomita, Taisuke;  
 Iwatsubo, Takeshi; Kan, Toshiyuki; Fukuyama, Tohru; Natsugari, Hideaki  
 CS Graduate School of Pharmaceutical Sciences, The University of Tokyo,  
 Bunkyo-ku, Tokyo, 113-0033, Japan  
 SO Tetrahedron Letters (2004), 45(11), 2323-2326  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 OS CASREACT 140:375058  
 GI



I

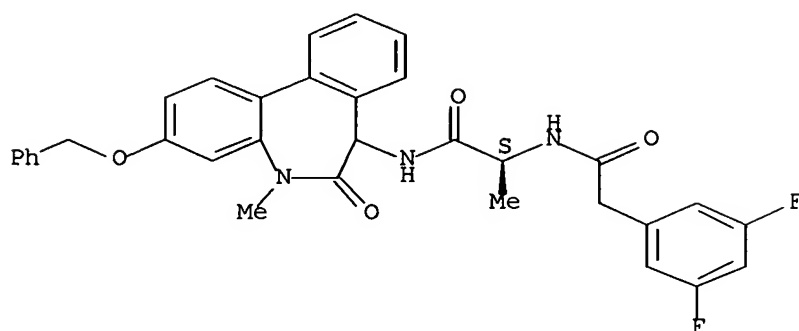


II

AB A highly efficient method for the synthesis of medium-sized lactams based on  
 intramol. Staudinger-aza-Wittig reaction of  $\omega$ -azido pentafluorophenyl ester  
 has been developed. A variety of 7-10 membered lactams, e.g., I, were  
 synthesized in excellent yields. Application of the method to the synthesis  
 of analogs of a potent  $\gamma$ -secretase inhibitor LY411575, e.g., II, and their  
 biol. evaluation are also described.  
 IT **683277-96-5P 683277-97-6P 683277-99-8P**  
**683278-00-4P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant  
 or reagent)  
 (preparation and  $\gamma$ -secretase inhibition activity of LY411575 analogs  
 employing lactams generated via intramol. Staudinger-aza-Wittig  
 reaction of  $\omega$ -azido pentafluorophenyl esters)  
 RN 683277-96-5 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[[6,7-dihydro-5-methyl-6-oxo-3-(phenylmethoxy)-  
 5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)

(CA INDEX NAME)

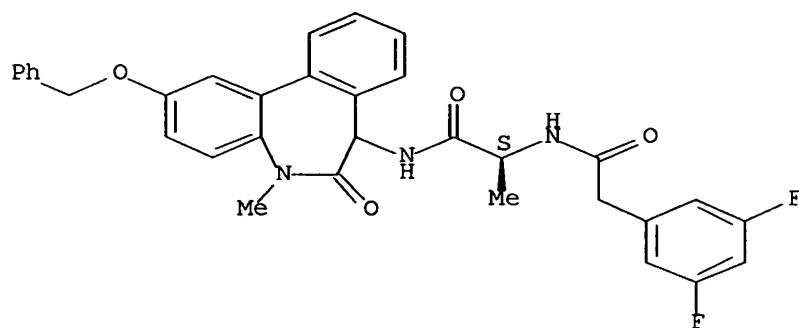
Absolute stereochemistry.



RN 683277-97-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[6,7-dihydro-5-methyl-6-oxo-2-(phenylmethoxy)-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

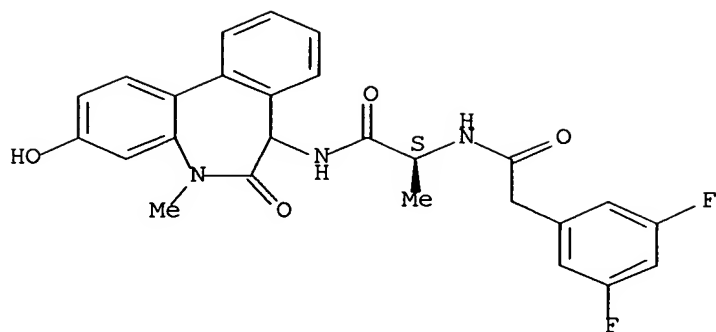
Absolute stereochemistry.



RN 683277-99-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-3-hydroxy-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

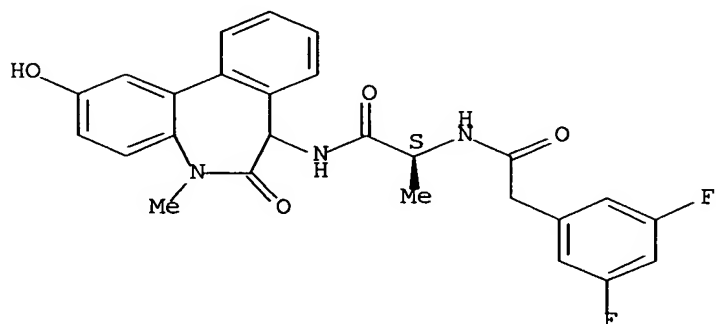
Absolute stereochemistry.



RN 683278-00-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-2-hydroxy-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



IT 209984-57-6DP, LY 411575, Analogs 683277-95-4P  
683278-01-5P 683278-02-6P 683278-03-7P  
683278-04-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)

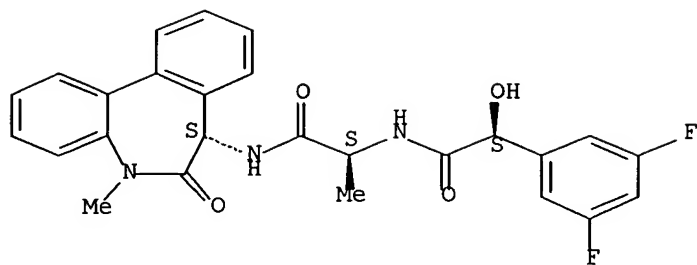
(preparation and  $\gamma$ -secretase inhibition activity of LY411575 analogs  
employing lactams generated via intramol. Staudinger-aza-Wittig  
reaction of  $\omega$ -azido pentafluorophenyl esters)

RN 209984-57-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

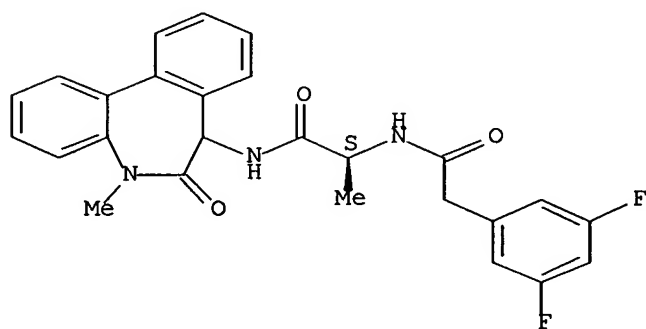




RN 683277-95-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

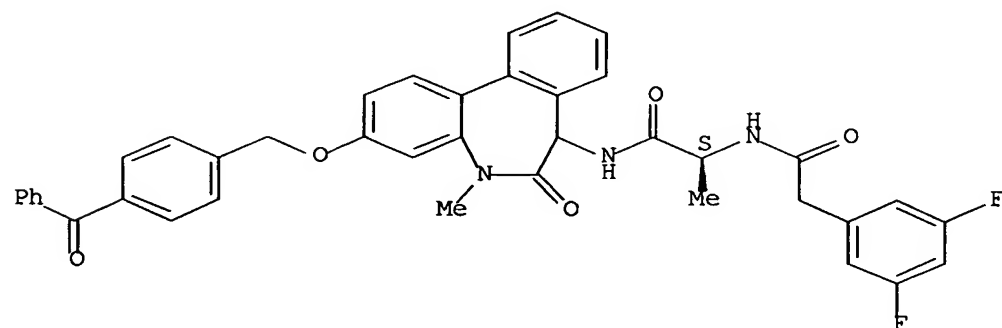
Absolute stereochemistry.



RN 683278-01-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[3-[(4-benzoylphenyl)methoxy]-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

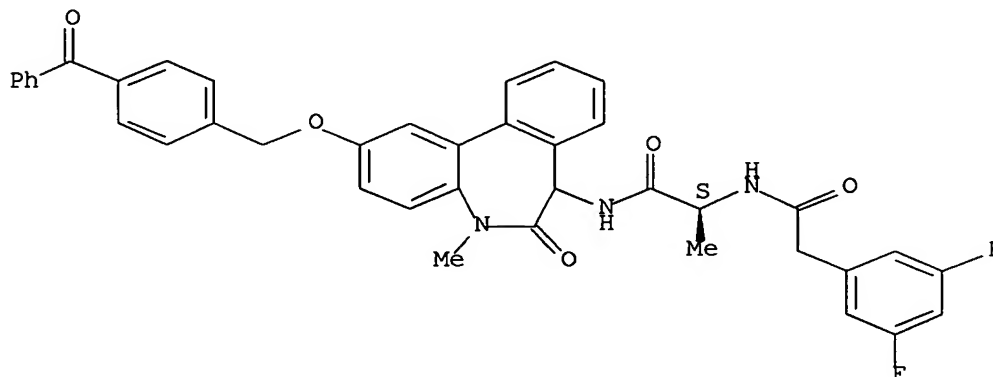
Absolute stereochemistry.



RN 683278-02-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[2-[(4-benzoylphenyl)methoxy]-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

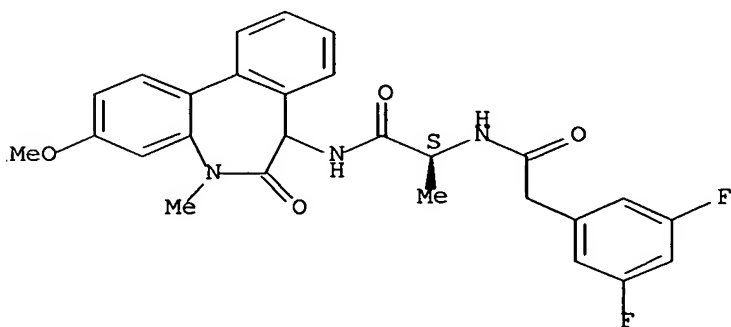
Absolute stereochemistry.



RN 683278-03-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-3-methoxy-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

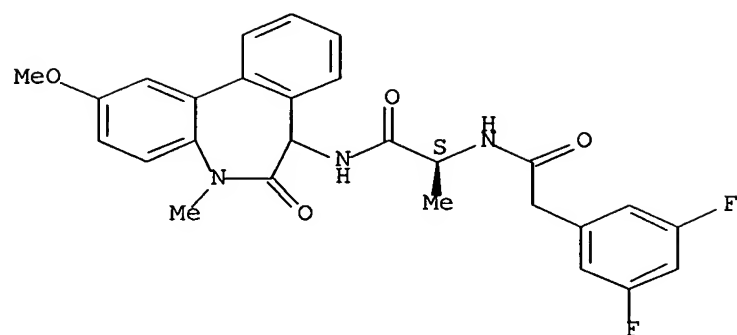
Absolute stereochemistry.



RN 683278-04-8 CAPLUS

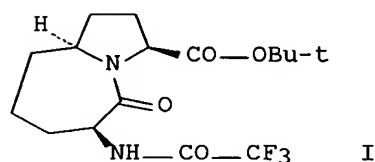
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-2-methoxy-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



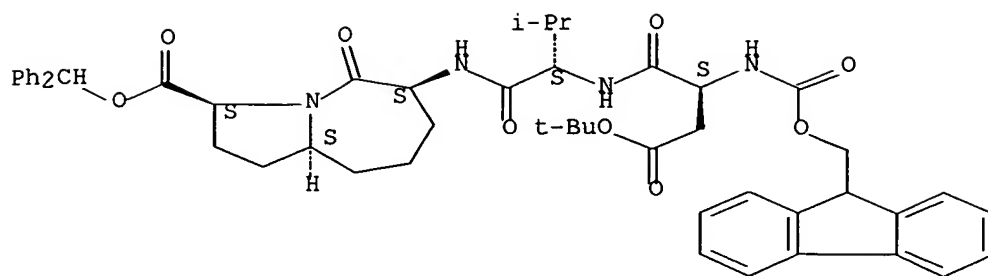
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:867341 CAPLUS Full-text  
 DN 140:94273  
 TI Synthesis of an external  $\beta$ -turn based on the GLDV motif of cell  
 adhesion proteins  
 AU Davies, David E.; Doyle, Paul M.; Farrant, R. Duncan; Hill, Richard D.;  
 Hitchcock, Peter B.; Sanderson, Paul N.; Young, Douglas W.  
 CS Medicines Research Centre, GlaxoSmithKline, Stevenage, Herts, SG1 2NY, UK  
 SO Tetrahedron Letters (2003), 44(49), 8887-8891  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 OS CASREACT 140:94273  
 GI



AB The (3S,6S,10S)-7/5 bicyclic lactam (I), designed as an external turn  
 constraint, was synthesized by a new stereoselective route involving  
 Eschenmoser condensation. Calculated preferred conformations compare well  
 with the preferred solid state conformation, obtained by X-ray crystallog. The  
 lactam I was not a turn mimic in its own right but could be used as an  
 external constraint to prepare a cyclic peptide containing the integrin  
 recognition motif GLDV. High-resolution NMR measurements were consistent with  
 this compound having a single backbone conformation.  
 IT **643014-10-2P 643014-11-3P 643014-12-4P**  
**643014-13-5P 643014-14-6P 643014-15-7P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and evaluation of an external  $\beta$ -turn and a cyclic GLDV  
 peptide containing it)  
 RN 643014-10-2 CAPLUS  
 CN L-Valinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L- $\alpha$ -aspartyl-N-  
 [(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-  
 a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

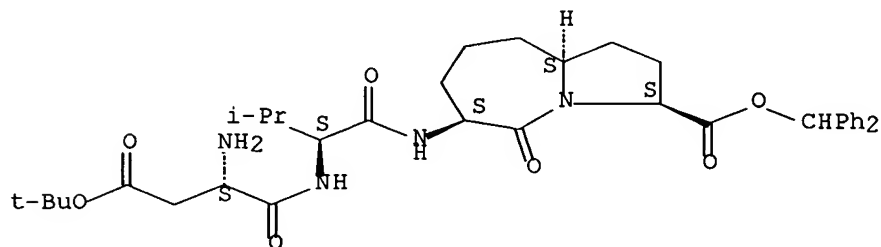
Absolute stereochemistry. Rotation (-).



RN 643014-11-3 CAPLUS

CN L-Valinamide, L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

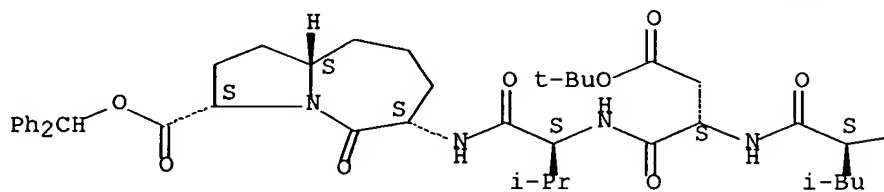


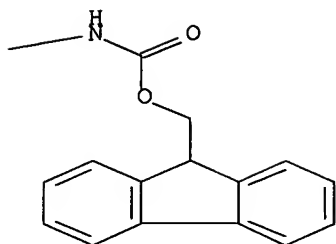
RN 643014-12-4 CAPLUS

CN L-Valinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-leucyl-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

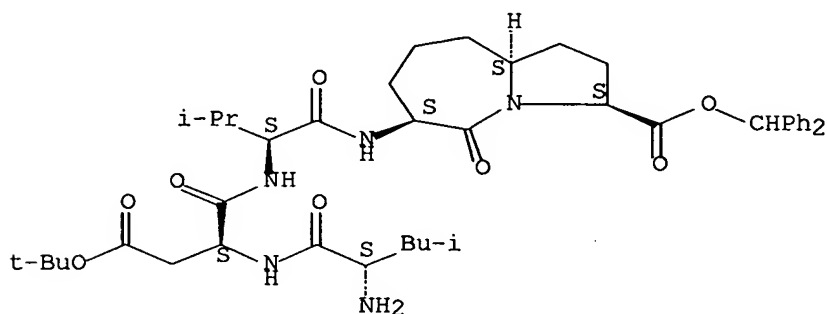




RN 643014-13-5 CAPLUS

CN L-Valinamide, L-leucyl-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

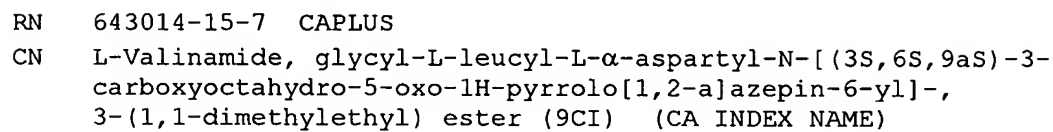
Absolute stereochemistry. Rotation (-).



RN 643014-14-6 CAPLUS

CN L-Valinamide, N-[(phenylmethoxy)carbonyl]glycyl-L-leucyl-L- $\alpha$ -aspartyl-N-[(3S,6S,9aS)-3-[(diphenylmethoxy)carbonyl]octahydro-5-oxo-1H-pyrrolo[1,2-a]azepin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



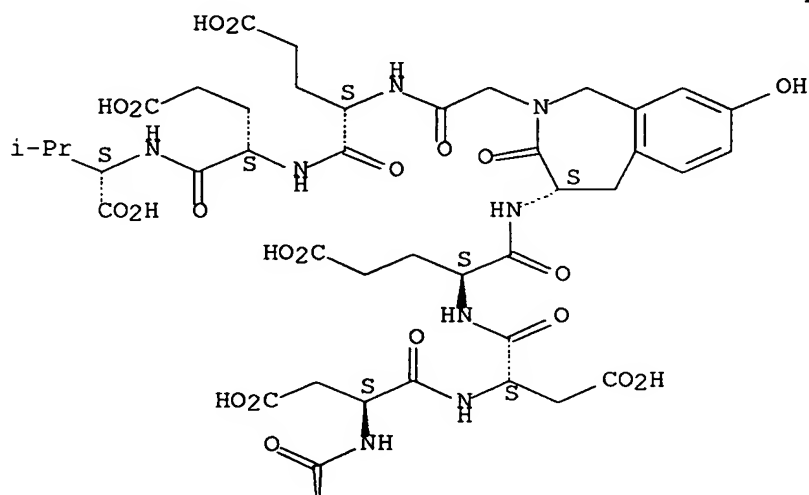
Chemical structure of compound 10, a complex molecule featuring a bicyclic thioether core. The structure includes an isopropyl group (i-Pr), a tert-butoxy group (t-BuO), and an isobutyl group (Bu-i). The molecule is shown with stereochemistry indicated by wedges and dashes.

RE.CNT 19        THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
                 ALL CITATIONS AVAILABLE IN THE RE FORMAT

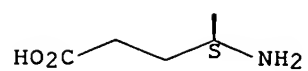
L19 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:797700 CAPLUS Full-text  
 DN 140:107390  
 TI Conformational constraints of tyrosine in protein tyrosine kinase  
 substrates: Information about preferred bioactive side-chain orientation  
 AU Ruzza, Paolo; Calderan, Andrea; Donella-Deana, Arianna; Biondi, Barbara;  
 Cesaro, Luca; Osler, Alessio; Elardo, Stefano; Guiotto, Andrea; Pinna,  
 Lorenzo A.; Borin, Gianfranco  
 CS Padova Unit, CNR, Institute of Biomolecular Chemistry, Padua, 35131, Italy  
 SO Biopolymers (2003), 71(4), 478-488  
 CODEN: BIPMAA; ISSN: 0006-3525  
 PB John Wiley & Sons, Inc.  
 DT Journal  
 LA English  
 OS CASREACT 140:107390  
 AB The side-chain orientation of a tyrosine residue located in a peptide, which  
 is an excellent substrate of Syk tyrosine kinase, was fixed in the gauche (+)  
 or gauche (-) conformation by using the 7-hydroxy-1,2,3,4- tetrahydro  
 isoquinoline-3-carboxylic (Htc) structure. The tyrosine trans conformation  
 was blocked by using an aminobenzazepine-type (Hba) structure. The proposed  
 side-chain orientations were confirmed by the anal. of the 1H-NMR parameters:  
 chemical shifts, coupling consts., and nuclear Overhauser effects to the  
 tyrosine constraints in the different analogs. This "rotamer scan" of the  
 phosphorylatable residue allowed us to generate optimal substrates in terms of  
 both phosphorylation efficiency and selectivity for Syk tyrosine kinase. In  
 contrast, these conformationally restricted tyrosine analogs were not  
 tolerated by the Src-related tyrosine kinases Lyn and c-Fgr.  
 IT **446256-02-6P**  
 RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic  
 preparation); BIOL (Biological study); PREP (Preparation)  
 (conformational constraints of tyrosine in protein tyrosine kinase  
 substrates in relation to preferred bioactive side-chain orientation)  
 RN 446256-02-6 CAPLUS  
 CN L-Valine, L- $\alpha$ -glutamyl-L- $\alpha$ -aspartyl-L- $\alpha$ -aspartyl-L-  
 $\alpha$ -glutamyl-(4S)-4-amino-1,3,4,5-tetrahydro-8-hydroxy-3-oxo-2H-2-  
 benzazepine-2-acetyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



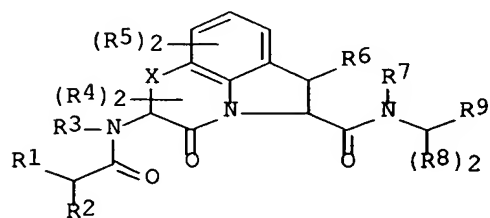




RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:633407 CAPLUS Full-text  
 DN 139:164981  
 TI Preparation of granzyme B inhibitors  
 IN Willoughby, Christopher; Chapman, Kevin T.  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003065987	A2	20030814	WO 2003-US2941	20030131
	WO 2003065987	A3	20040219		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2474917	AA	20030814	CA 2003-2474917	20030131
	EP 1474093	A2	20041110	EP 2003-737582	20030131
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005522430	T2	20050728	JP 2003-565413	20030131
	US 2006019945	A1	20060126	US 2004-503155	20040728
PRAI	US 2002-354251P	P	20020204		
	WO 2003-US2941	W	20030131		
OS	MARPAT 139:164981				
GI					



I

AB The peptide derivs. I [X = CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>; R<sub>1</sub> and R<sub>2</sub> = H, (un)substituted alkyl, alkoxy, cycloalkyl, aryl, heteroaryl, amide, or R<sub>1</sub> and R<sub>2</sub> may be joined together with the carbon atom to form a five or six membered monocyclic ring, optionally containing 1-3 heteroatoms; with the proviso that R<sub>1</sub> and R<sub>2</sub> are both not hydrogen, R<sub>3</sub> and R<sub>7</sub> = H, or (un)substituted alkyl; R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>8</sub> = H, halo, OH, or (un)substituted alkyl; R<sub>9</sub> = (un)substituted heteroaryl] were prepared as granzyme B inhibitors, and are useful for treating autoimmune and chronic inflammatory diseases. Thus, (2S,5S)-4-oxo-5-[[N-(phenylacetyl)-L-isoleucyl]amino]-N-(1H-1,2,3-triazol-4-ylmethyl)-1,2,4,5,6,7-hexahydroazepino(3,2,1-hi)indole-2-carboxamide was prepared by coupling of N-

(phenylacetyl)-L-isoleucine with benzyl (2S,5S)-5-[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]-4-oxo-1,2,4,5,6,7-hexahydroazepino(3,2,1-hi)indole-2-carboxylate and 1-(1H-1,2,3-triazol-4-yl)methylamine and showed inhibitory activity against granzyme B  $K_i = 13$  nM.

IT 498555-57-0P 498555-58-1P 573998-87-5P

573998-88-6P 573998-90-0P

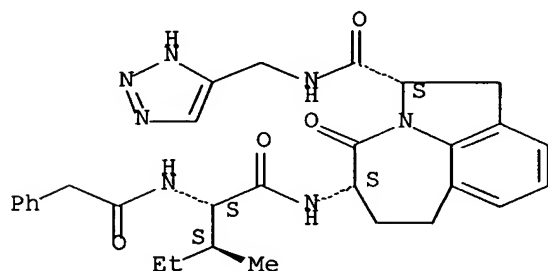
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide by coupling derivs. as granzyme B inhibitors without inhibition of caspases for treating of autoimmune, inflammatory and related diseases)

RN 498555-57-0 CAPLUS

CN Azepino[3,2,1-hi]indole-2-carboxamide, 1,2,4,5,6,7-hexahydro-5-[[ (2S,3S)-3-methyl-1-oxo-2-[(phenylacetyl)amino]pentyl]amino]-4-oxo-N-(1H-1,2,3-triazol-4-ylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

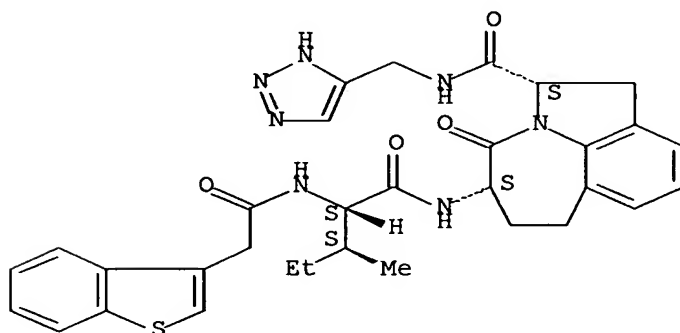
Absolute stereochemistry.



RN 498555-58-1 CAPLUS

CN Azepino[3,2,1-hi]indole-2-carboxamide, 5-[[ (2S,3S)-2-[(benzo[b]thien-3-ylacetyl)amino]-3-methyl-1-oxopentyl]amino]-1,2,4,5,6,7-hexahydro-4-oxo-N-(1H-1,2,3-triazol-4-ylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

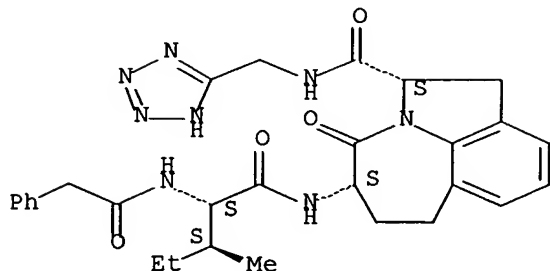
Absolute stereochemistry.



RN 573998-87-5 CAPLUS

CN Azepino[3,2,1-hi]indole-2-carboxamide, 1,2,4,5,6,7-hexahydro-5-[[ (2S,3S)-3-methyl-1-oxo-2-[(phenylacetyl)amino]pentyl]amino]-4-oxo-N-(1H-tetrazol-5-ylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

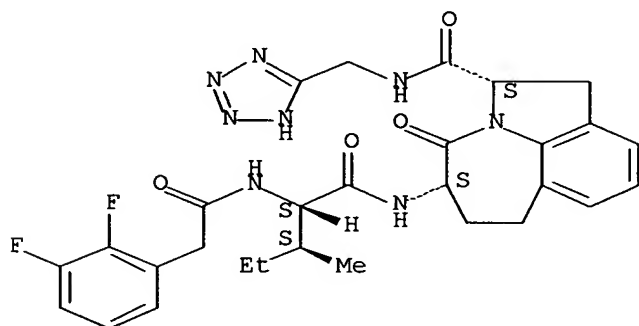
Absolute stereochemistry.



RN 573998-88-6 CAPLUS

CN Azepino[3,2,1-hi]indole-2-carboxamide, 5-[[[(2S,3S)-2-[[[(2,3-difluorophenyl)acetyl]amino]-3-methyl-1-oxopentyl]amino]-1,2,4,5,6,7-hexahydro-4-oxo-N-(1H-tetrazol-5-ylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

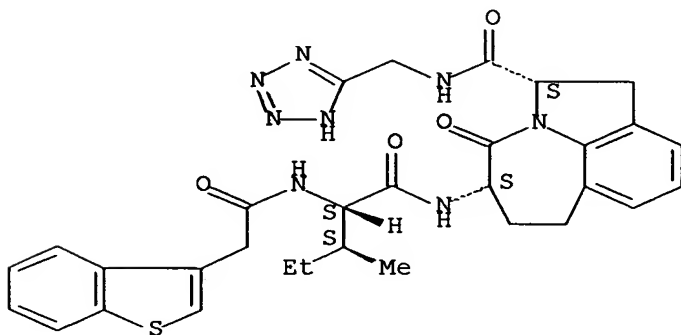
Absolute stereochemistry.



RN 573998-90-0 CAPLUS

CN Azepino[3,2,1-hi]indole-2-carboxamide, 5-[[[(2S,3S)-2-[(benzo[b]thien-3-ylacetyl)amino]-3-methyl-1-oxopentyl]amino]-1,2,4,5,6,7-hexahydro-4-oxo-N-(1H-tetrazol-5-ylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 573999-05-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

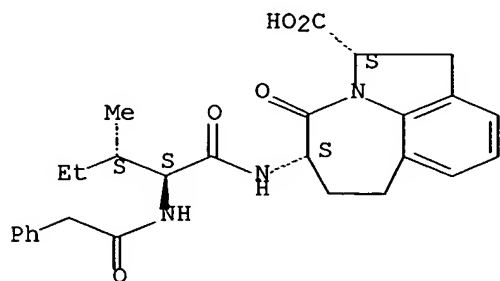
(preparation of peptide by coupling derivs. as granzyme B inhibitors without

inhibition of caspases for treating of autoimmune, inflammatory and related diseases)

RN 573999-05-0 CAPLUS

CN Azepino[3,2,1-hi]indole-2-carboxylic acid, 1,2,4,5,6,7-hexahydro-5-[[[(2S,3S)-3-methyl-1-oxo-2-[(phenylacetyl)amino]pentyl]amino]-4-oxo-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:415932 CAPLUS Full-text

DN 139:127922

TI Catalytic Site-Directed  $\gamma$ -Secretase Complex Inhibitors Do Not  
Discriminate Pharmacologically between Notch S3 and  $\beta$ -APP Cleavages

AU Lewis, Huw D.; Perez Revuelta, Blanca I. Perez; Nadin, Alan; Neduvelil,  
Joe G.; Harrison, Timothy; Pollack, Scott J.; Shearman, Mark S.

CS Departments of Biochemistry and Molecular Biology and Medicinal Chemistry,  
The Neuroscience Research Centre, Merck Sharp Dohme Research Laboratories,  
Harlow Essex, CM20 2QR, UK

SO Biochemistry (2003), 42(24), 7580-7586

CODEN: BICHAW; ISSN: 0006-2960

PB American Chemical Society

DT Journal

LA English

AB The generation of  $\gamma$ -secretase inhibitors which block the release of  $\beta$ -amyloid peptide ( $A\beta$ ) has long been an attractive therapeutic avenue for treatment or prevention of Alzheimer's disease (AD). Such inhibitors would reduce levels of  $A\beta$  available for aggregation into toxic assemblies that lead to the plaque pathol. found in affected brain tissue. Cumulative evidence suggests that the S3 cleavage of Notch is also dependent on presenilins (PS) and is carried out by the multimeric PS-containing  $\gamma$ -secretase complex. It is therefore possible that Notch function could be affected by  $\gamma$ -secretase inhibitors. To assess the relation between the cleavage of these substrates in the same system, Western blot cleavage assays have been established using a human cell line stably expressing both the  $\beta$ -amyloid precursor protein ( $\beta$ -APP) and the truncated Notch1 receptor fragment Notch $\Delta E$ . Thus, a direct correlation may be made, following inhibitor treatment, of the decrease in the levels of the cleavage products,  $A\beta$  peptide and the Notch intracellular domain (NICD), as well as the increase in stabilized levels of both substrates. This anal. has been performed with a range of selected  $\gamma$ -secretase inhibitors from six distinct structural classes. Changes in all four species usually occur in concert and with remarkably good agreement. A significant cleavage window is not clearly apparent in any case. Thus, these Notch and  $\beta$ -APP cleavages cannot be dissected apart easily since they show the same pharmacol. profile of inhibition. Whether this translates into proportionally reduced Notch signaling in vivo, however, remains to be seen.

IT 209984-57-6

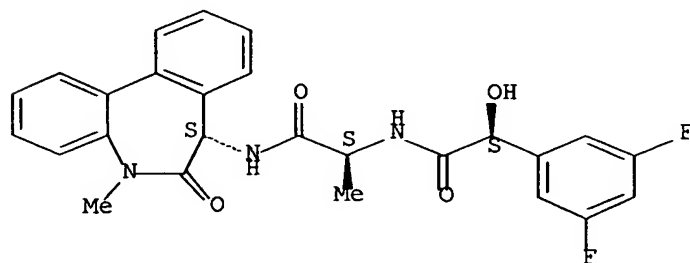
RL: PAC (Pharmacological activity); BIOL (Biological study)

(catalytic site-directed  $\gamma$ -secretase complex inhibitors do not  
discriminate pharmacol. between Notch S3 and  $\beta$ -APP cleavages)

RN 209984-57-6 CAPLUS

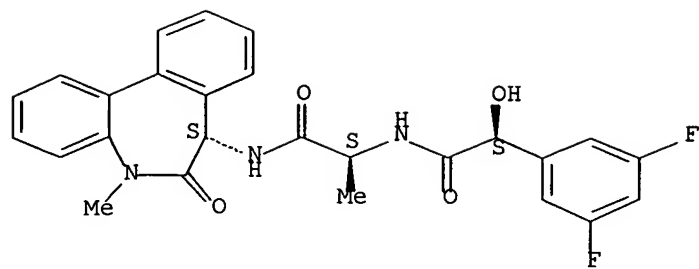
CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L19 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:346420 CAPLUS Full-text  
 DN 139:159884  
 TI Targeting Presenilin-type Aspartic Protease Signal Peptide Peptidase with  
 $\gamma$ -Secretase Inhibitors  
 AU Weihofen, Andreas; Lemberg, Marius K.; Friedmann, Elena; Rueeger,  
 Heinrich; Schmitz, Albert; Paganetti, Paolo; Rovelli, Giorgio; Martoglio,  
 Bruno  
 CS Institute of Biochemistry, Swiss Federal Institute of Technology (ETH),  
 ETH-Hoenggerberg, Zurich, 8093, Switz.  
 SO Journal of Biological Chemistry (2003), 278(19), 16528-16533  
 CODEN: JBCHA3; ISSN: 0021-9258  
 PB American Society for Biochemistry and Molecular Biology  
 DT Journal  
 LA English  
 AB Presenilin is implicated in the pathogenesis of Alzheimer's disease. It is  
 thought to constitute the catalytic subunit of the  $\gamma$ -secretase complex that  
 catalyzes intramembrane cleavage of  $\beta$ -amyloid precursor protein, the last step  
 in the generation of amyloidogenic A $\beta$  peptides. The latter are major  
 constituents of amyloid plaques in the brain of Alzheimer's disease patients.  
 Inhibitors of  $\gamma$ -secretase are considered potential therapeutics for the  
 treatment of this disease because they prevent production of A $\beta$  peptides.  
 Recently, the authors discovered a family of presenilin-type aspartic  
 proteases. The founding member, signal peptide peptidase, catalyzes  
 intramembrane cleavage of distinct signal peptides in the endoplasmic  
 reticulum membrane of animals. In humans, the protease plays a crucial role in  
 the immune system. Moreover, it is exploited by the hepatitis C virus for the  
 processing of the structural components of the virion and hence is an  
 attractive target for anti-infective intervention. Signal peptide peptidase  
 and presenilin share identical active site motifs and both catalyze  
 intramembrane proteolysis. These common features let the authors speculate  
 that  $\gamma$ -secretase inhibitors directed against presenilin may also inhibit  
 signal peptide peptidase. Here the authors demonstrate that some of the most  
 potent known  $\gamma$ -secretase inhibitors efficiently inhibit signal peptide  
 peptidase. However, the authors found compds. that showed higher specificity  
 for one or the other protease. The authors findings highlight the possibility  
 of developing selective inhibitors aimed at reducing A $\beta$  generation without  
 affecting other intramembrane-cleaving aspartic proteases.  
 IT 209984-57-6, LY 411575  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (targeting presenilin-type aspartic protease signal peptide peptidase  
 with  $\gamma$ -secretase inhibitors in relation to therapeutic uses)  
 RN 209984-57-6 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-  
 dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -  
 hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

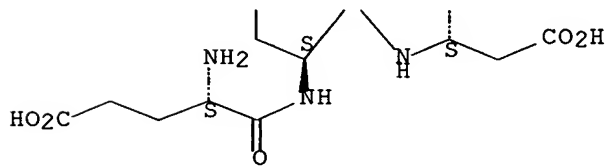
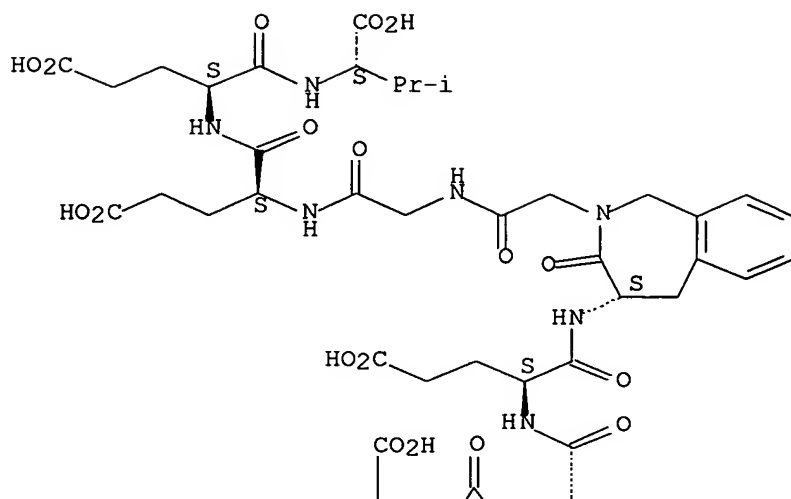


RE.CNT 53      THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L19 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:692680 CAPLUS Full-text  
 DN 138:397991  
 TI Synthesis of selective substrates for Syk PTK  
 AU Ruzza, Paolo; Calderan, Andrea; Donella-Deana, Arianna; Cesaro, Luca;  
 Elardo, Stefano; Pinna, Lorenzo A.; Borin, Gianfranco  
 CS Biopolymers Research Center of CNR, Padua, 35131, Italy  
 SO Peptides: The Wave of the Future, Proceedings of the Second International  
 and the Seventeenth American Peptide Symposium, San Diego, CA, United  
 States, June 9-14, 2001 (2001), 982-983. Editor(s): Lebl, Michal;  
 Houghten, Richard A. Publisher: American Peptide Society, San Diego,  
 Calif.  
 CODEN: 69DBAL; ISBN: 0-9715560-0-8  
 DT Conference  
 LA English  
 AB Starting from the peptide corresponding to the sequence 392-399 of the HS1  
 protein (H-Pro-Glu-Gly-Asp-Tyr-Glu-Glu-Val-OH), a good substrate for Syk  
 protein tyrosine kinase (PTK), a series of analogs of this peptide was  
 synthesized. First, in order to improve the phosphorylation by Syk, residues  
 392-295 were replaced by the more acidic residues H-Glu-Asp-Asp-Glu-. Then, a  
 rotamer scan of the phosphorylatable tyrosine was carried out by replacing it  
 with L-Htc, D-Htc, or [Hba-Gly], an aminobenzazepine-type structure containing  
 the phenolic ring. The selectivity of the synthetic peptides towards two Src-  
 like kinases (Lyn and c-Fgr) and towards Syk was evaluated. Fixing the Tyr  
 side chain in the PTK substrates into the gauche (+) or trans conformations  
 was not a neg. determinant for substrate recognition by Syk tyrosine kinase.  
 However, the introduction of these two constraints in the peptide substrates  
 was not tolerated by Lyn and c-Fgr. This lack of tolerance of the Src-like  
 PTKs suggests a greater substrate stringency of this kinase family as compared  
 with Syk PTK.  
 IT **528880-38-8P**  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);  
 BIOL (Biological study); PREP (Preparation)  
 (protein kinase substrate; synthesis of peptide substrates for protein  
 tyrosine kinase Syk and relationship of substrate conformation to  
 suitability as kinase substrates)  
 RN 528880-38-8 CAPLUS  
 CN L-Valine, L- $\alpha$ -glutamyl-L- $\alpha$ -aspartyl-L- $\alpha$ -aspartyl-L-  
 $\alpha$ -glutamyl-(4S)-4-amino-1,3,4,5-tetrahydro-3-oxo-2H-2-benzazepine-2-  
 acetylglycyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:691231 CAPLUS Full-text

DN 138:362337

TI Oxazole- and imidazole-based Ser-Leu dipeptide mimetics in potent inhibitors of antigen presentation by MHC class II DR molecules

AU Sarabu, Ramakanth; Bolin, David R.; Campbell, Robert; Cooper, Joel P.; Cox, Donald; Gaizband, Diana; Makofske, Raymond; Nagy, Zoltan; Olson, Gary L.

CS Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SO Drug Design and Discovery (2002), 18(1), 3-7

CODEN: DDDIEV; ISSN: 1055-9612

PB Harwood Academic Publishers

DT Journal

LA English

AB Imidazole and oxazole derivs. were designed and prepared as dipeptide mimetics to replace the Ser-Leu dipeptide sequence of Ro-25-9980 (Ac-(Cha)-RAMA-S-L-NH<sub>2</sub>), a peptidic inhibitor of antigen binding to major histocompatibility complex (MHC) class II DR mols. linked to rheumatoid arthritis (RA). The most potent analog in binding assays (IC<sub>50</sub> = 30 nM in DRB1\*0401 binding; 1.6 times as potent as Ro 25-9980) was Ac-(Cha)RAMA-(S)S-ψ(oxazole)-L-NH<sub>2</sub>. The SAR of peptide hybrids 10 to 24, prepared by incorporating the dipeptide mimetics was discussed. Of these hybrids analogs that incorporated the imidazole and oxazole mimetics as well as optimized variants at positions 3 to 5, were found to have 70 to 80 nM binding affinity comparable to the parent peptide in DRB1\*0401 binding and were also active in DRB1\*0101 binding, while being resistant to proteolysis by cathepsin B. Both of these compds. showed inhibitory activity in an antigen-stimulated T-cell proliferation assay, indicating their potential to suppress autoimmune responses and as leads for therapeutic agents to treat RA.

IT 522653-42-5P

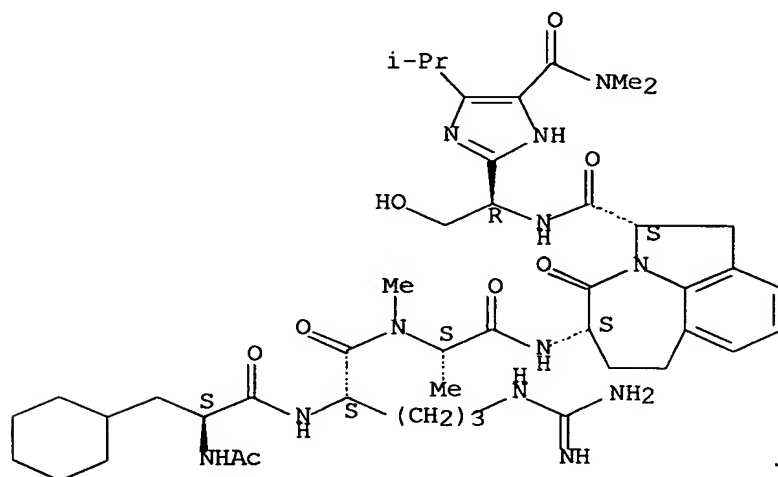
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oxazole- and imidazole-based Ser-Leu dipeptide mimetics in potent inhibitors of antigen presentation by MHC class II DR mols.)

RN 522653-42-5 CAPLUS

CN L-Alaninamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-N-[(2S,5S)-2-[[[(1R)-1-[4-[(dimethylamino)carbonyl]-5-(1-methylethyl)-1H-imidazol-2-yl]-2-hydroxyethyl]amino]carbonyl]-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indol-5-yl]-N2-methyl- (9CI) (CA INDEX NAME)

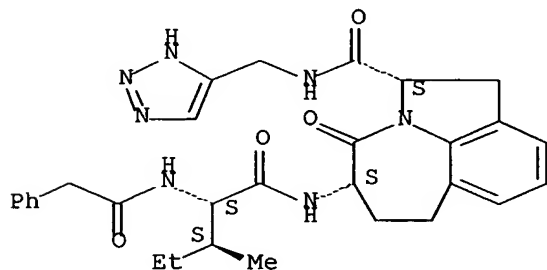
Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

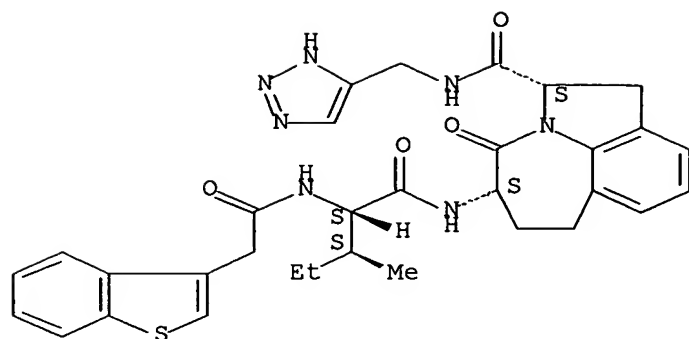
L19 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:543691 CAPLUS Full-text  
 DN 138:180203  
 TI Discovery of potent, selective human granzyme B inhibitors that inhibit CTL mediated apoptosis  
 AU Willoughby, Christopher A.; Bull, Herbert G.; Garcia-Calvo, Margarita; Jiang, Joanne; Chapman, Kevin T.; Thornberry, Nancy A.  
 CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2002), 12(16), 2197-2200  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB A novel class of small mol. human granzyme B inhibitors is reported. One of the compound has a  $K_i$  of 7 nM against human granzyme B and blocks CTL mediated apoptosis with an  $IC_{50}$  of 3 micromolar.  
 IT **498555-57-0 498555-58-1**  
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (discovery of potent, selective human granzyme B inhibitors that inhibit cytotoxic T lymphocytes (CTL) mediated apoptosis)  
 RN 498555-57-0 CAPLUS  
 CN Azepino[3,2,1-hi]indole-2-carboxamide, 1,2,4,5,6,7-hexahydro-5-[[[(2S,3S)-3-methyl-1-oxo-2-[(phenylacetyl)amino]pentyl]amino]-4-oxo-N-(1H-1,2,3-triazol-4-ylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 498555-58-1 CAPLUS  
 CN Azepino[3,2,1-hi]indole-2-carboxamide, 5-[[[(2S,3S)-2-[(benzo[b]thien-3-ylacetyl)amino]-3-methyl-1-oxopentyl]amino]-1,2,4,5,6,7-hexahydro-4-oxo-N-(1H-1,2,3-triazol-4-ylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:529600 CAPLUS Full-text

DN 138:165594

TI Specific monitoring of Syk protein kinase activity by peptide substrates including constrained analogs of tyrosine

AU Donella-Deana, Arianna; Ruzza, Paolo; Cesaro, Luca; Brunati, Anna Maria; Calderan, Andrea; Borin, Gianfranco; Pinna, Lorenzo A.

CS Dipartimento di Chimica Biologica and Centro di Studio delle Biomembrane, University of Padova, CNR, Padua, 35121, Italy

SO FEBS Letters (2002), 523(1-3), 48-52

CODEN: FEBLAL; ISSN: 0014-5793

PB Elsevier Science B.V.

DT Journal

LA English

AB The ability of Syk protein tyrosine kinase (PTK) to phosphorylate peptides where tyrosine is replaced by conformationally constrained analogs has been exploited to develop highly selective substrates suitable for the specific monitoring of Syk activity. In particular we have synthesized a peptidomimetic, RRRAAEDDE(L-Htc)EEV (syktide), with the 3(S)-7-hydroxy-1,2,3,4-tetrahydroisoquinoline-3-carboxyl acid residue (L-Htc) substituted for tyrosine. Although syktide is phosphorylated by Syk with remarkable efficiency ( $K_{cat} = 73 \text{ min}^{-1}$ ,  $K_m = 11 \mu\text{M}$ ), it is not affected to any appreciable extent by a variety of PTKs tested thus far. These properties make syktide the first choice as substrate for the specific monitoring of Syk.

IT 446256-02-6

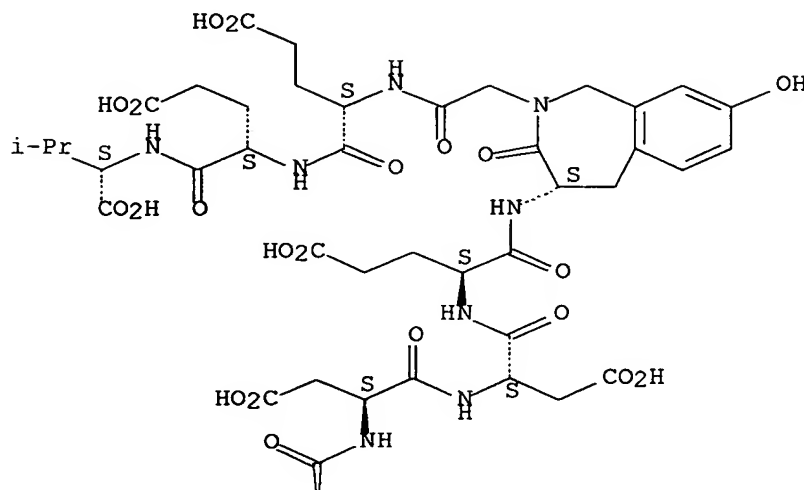
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(peptide substrates that contain constrained analogs of Tyr permit specific monitoring of Syk protein kinase activity)

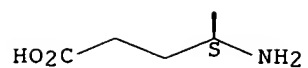
RN 446256-02-6 CAPLUS

CN L-Valine, L- $\alpha$ -glutamyl-L- $\alpha$ -aspartyl-L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-(4S)-4-amino-1,3,4,5-tetrahydro-8-hydroxy-3-oxo-2H-2-benzazepine-2-acetyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





RE.CNT 27      THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:465802 CAPLUS Full-text

DN 137:41761

TI Lactam compound preparation for  $\beta$ -amyloid peptide release inhibition

IN Audia, James Edmund; John, Varghese; Latimer, Lee H.; McDaniel, Stacey Leigh; Nissen, Jeffrey Scott; Thorsett, Eugene D.; Tung, Jay S.

PA Eli Lilly and Company, USA; Elan Pharmaceuticals, Inc.

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

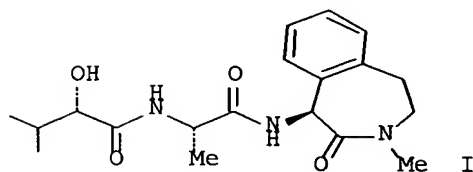
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2002047671	A2	20020620	WO 2001-US27799	20011105
	WO 2002047671	A3	20030306		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2427227	AA	20020620	CA 2001-2427227	20011105
	AU 2002043192	A5	20020624	AU 2002-43192	20011105
	EP 1341531	A2	20030910	EP 2001-989070	20011105
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	BR 2001015427	A	20031007	BR 2001-15427	20011105
	JP 2004517090	T2	20040610	JP 2002-549245	20011105
	NZ 525854	A	20040625	NZ 2001-525854	20011105
	ZA 2003003789	A	20040816	ZA 2003-3789	20030515
	NO 2003002236	A	20030710	NO 2003-2236	20030516
	US 2005261495	A1	20051124	US 2003-416771	20031030
PRAI	US 2000-249552P	P	20001117		
	WO 2001-US27799	W	20011105		

GI



AB The present invention provides (N)-[(S)-2-hydroxy-3-methylbutyryl]-1-(L-alanyl)-(S)-1-amino-3-methyl-4,5,6,7-tetrahydro-2H-3-benzazepin-2-one (I) for inhibition of  $\beta$ -amyloid release. Synthetic examples for the preparation of I, pharmaceutical preps., and cellular screen for the detection of inhibitors of



$\beta$ -amyloid production and in vivo suppression of  $\beta$ -amyloid release and/or synthesis are given.

IT **425386-60-3P**

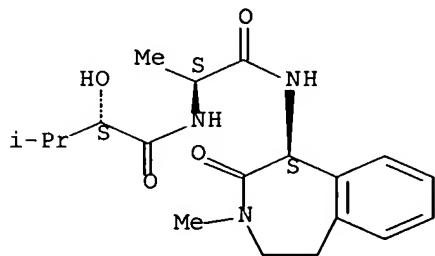
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(lactam compound preparation for  $\beta$ -amyloid peptide release inhibition)

RN 425386-60-3 CAPLUS

CN Butanamide, 2-hydroxy-3-methyl-N-[(1S)-1-methyl-2-oxo-2-[[ (1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L19 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:391740 CAPLUS Full-text

DN 136:386399

TI Preparation of lactam derivative useful for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis

IN Koenig, Thomas Mitchell; Mitchell, David; Nissen, Jeffrey Scott

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 66 pp.

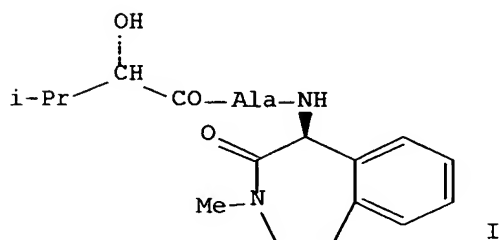
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2002040508	A2	20020523	WO 2001-US27796	20011102
	WO 2002040508	A3	20030327		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2425558	AA	20020523	CA 2001-2425558	20011102
	AU 2002024322	A5	20020527	AU 2002-24322	20011102
	EP 1345955	A2	20030924	EP 2001-996549	20011102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005538031	T2	20051215	JP 2002-543516	20011102
	US 2004077627	A1	20040422	US 2003-415057	20030903
PRAI	US 2000-249655P	P	20001117		
	WO 2001-US27796	W	20011102		
OS	CASREACT 136:386399; MARPAT 136:386399				
GI					



AB Crystalline (L-alaninylamino)benzazepinone derivative I was prepared by a process involving coupling of (S)-1-amino-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one with tert-butoxycarbonyl-L-alanine, deprotection, and reaction with (S)-2-hydroxy-3-methylbutyric acid. I is useful for inhibiting

$\beta$ -amyloid peptide release and/or its synthesis and for treating alzheimer's disease.

IT **425386-60-3P**

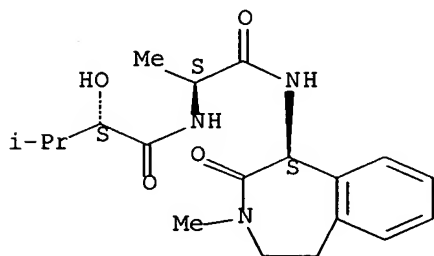
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of lactam derivative useful for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis)

RN 425386-60-3 CAPLUS

CN Butanamide, 2-hydroxy-3-methyl-N-[(1S)-1-methyl-2-oxo-2-[[ (1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L19 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:391689 CAPLUS Full-text

DN 136:386397

TI Preparation of lactam derivative useful for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis

IN Koenig, Thomas Mitchell; Audia, James Edmund; Mitchell, David; McDaniel, Stacey Leigh; Buccilli, Lynne Ann; Engel, Gary Lowell; Aikins, James Abraham

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 77 pp.

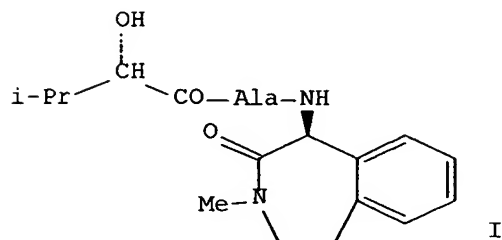
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040451	A2	20020523	WO 2001-US27795	20011102
	WO 2002040451	A3	20030828		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2425497	AA	20020523	CA 2001-2425497	20011102
	AU 2002024321	A5	20020527	AU 2002-24321	20011102
	BR 2001015424	A	20031021	BR 2001-15424	20011102
	EP 1353910	A2	20031022	EP 2001-996530	20011102
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004521084	T2	20040715	JP 2002-542779	20011102
	NZ 525365	A	20050429	NZ 2001-525365	20011102
	US 2004248878	A1	20041209	US 2003-415548	20030428
	ZA 2003003411	A	20040802	ZA 2003-3411	20030502
	HR 2003000385	A1	20030831	HR 2003-385	20030514
	NO 2003002215	A	20030716	NO 2003-2215	20030515
PRAI	US 2000-249656P	P	20001117		
	WO 2001-US27795	W	20011102		
OS	CASREACT 136:386397; MARPAT 136:386397				
GI					



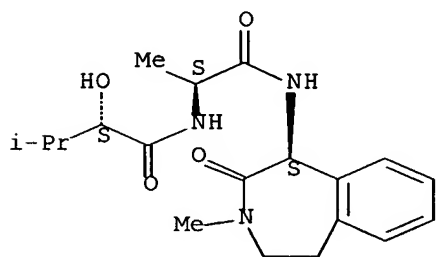
AB Crystalline (L-alaninylamino)benzazepinone derivative I was prepared by a process involving coupling of (S)-1-amino-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one with tert-butoxycarbonyl-L-alanine, deprotection, and reaction with (S)-2-hydroxy-3-methylbutyric acid. I is useful for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis and for treating alzheimer's disease.

IT **425386-60-3P**  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of lactam derivative useful for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis)

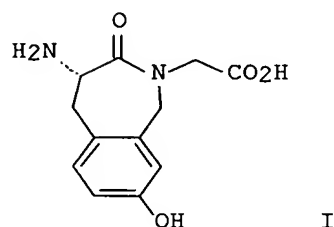
RN 425386-60-3 CAPLUS

CN Butanamide, 2-hydroxy-3-methyl-N-[(1S)-1-methyl-2-oxo-2-[[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

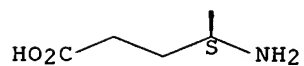
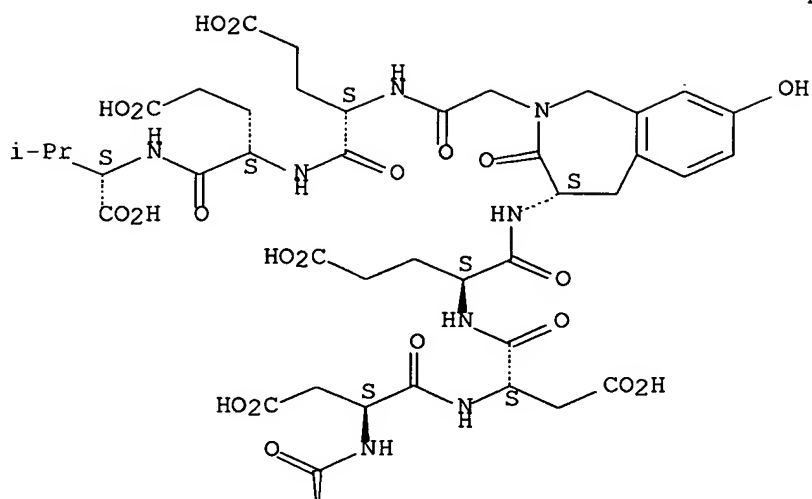


L19 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:324794 CAPLUS Full-text  
 DN 137:169770  
 TI Synthesis of a conformationally constrained tyrosine-glycine dipeptide  
 mimetic: design of a potential substrate of Syk kinase  
 AU Ruzza, Paolo; Calderan, Andrea; Osler, Alessio; Elardo, Stefano; Borin,  
 Gianfranco  
 CS CNR-Biopolymer Research Center, Padua, 1-35131, Italy  
 SO Tetrahedron Letters (2002), 43(20), 3769-3771  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 137:169770  
 GI



AB The synthesis of a conformationally restricted tyrosine-glycine dipeptide  
 mimetic I and its insertion into an octapeptide is described.  
 IT **446256-02-6P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of conformationally constrained tyrosine-glycine dipeptide  
 mimetic and its insertion into octapeptide)  
 RN 446256-02-6 CAPLUS  
 CN L-Valine, L- $\alpha$ -glutamyl-L- $\alpha$ -aspartyl-L- $\alpha$ -aspartyl-L-  
 $\alpha$ -glutamyl-(4S)-4-amino-1,3,4,5-tetrahydro-8-hydroxy-3-oxo-2H-2-  
 benzazepine-2-acetyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:90074 CAPLUS Full-text

DN 136:151440

TI Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

IN Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok; Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George; Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita

PA Schering Corporation, USA; Corvas International, Inc.

SO PCT Int. Appl., 197 pp.

CODEN: PIXXD2

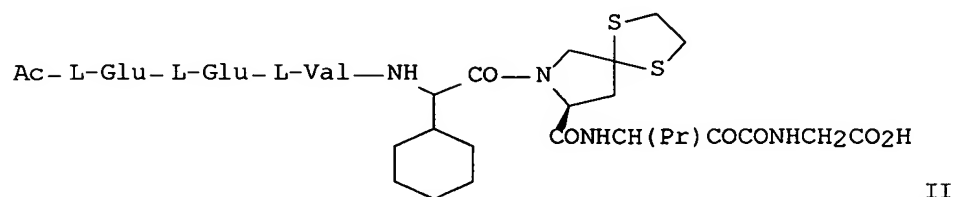
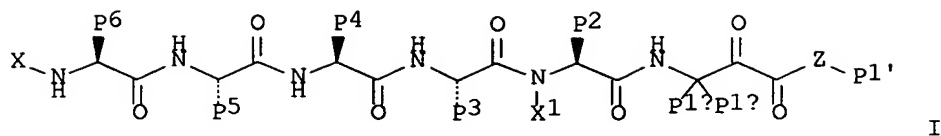
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2002008256	A2	20020131	WO 2001-US22826	20010719
	WO 2002008256	A3	20020829		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2418204	AA	20020131	CA 2001-2418204	20010719
	US 2003036501	A1	20030220	US 2001-909062	20010719
	US 6800434	B2	20041005		
	EP 1301528	A2	20030416	EP 2001-959046	20010719
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004515465	T2	20040527	JP 2002-514160	20010719
	US 2005059606	A1	20050317	US 2004-934141	20040903
PRAI	US 2000-220109P	P	20000721		
	US 2001-909062	A3	20010719		
	WO 2001-US22826	W	20010719		
OS	MARPAT 136:151440				
GI					





AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclisulfonyl, heterocyclialkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclialkylcarbonyl, heterocyclialkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl, heterocyclialkoxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heterocyclialaminocarbonyl, arylaminocarbonyl, or heteroarylaminocarbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclialkyl, cycloalkylalkyl, heterocyclialkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring containing 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclialkyl, heterocyclialkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prepared via peptide coupling in solution and showed  $K_i = 1-100$  nM for inhibition of HCV protease.

IT **393521-51-2P**

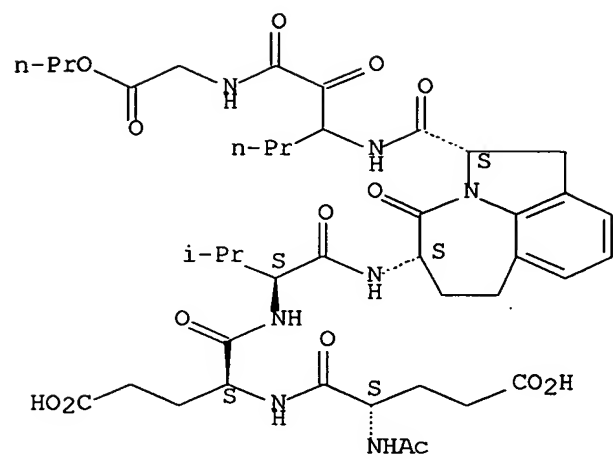
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393521-51-2 CAPLUS

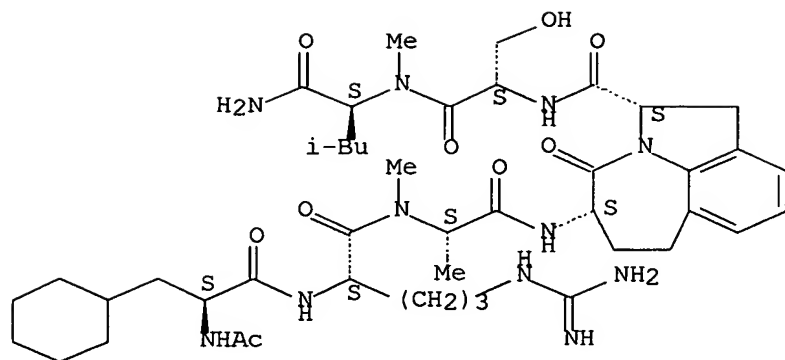
CN Glycine, N-acetyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-L-valyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-3-amino-2-oxohexanoyl-, 6-propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2000:309557 CAPLUS Full-text  
 DN 133:114587  
 TI Peptide and peptide mimetic inhibitors of antigen presentation by HLA-DR Class II MHC molecules. Design, structure-activity relationships, and x-ray crystal structures  
 AU Bolin, David R.; Swain, Amy L.; Sarabu, Ramakanth; Berthel, Steven J.; Gillespie, Paul; Hubby, Nicholas J. S.; Makofske, Raymond; Orzechowski, Lucja; Perrotta, Agostino; Toth, Katherine; Cooper, Joel P.; Jiang, Nan; Falcioni, Fiorenza; Campbell, Robert; Cox, Donald; Gaizband, Diana; Belunis, Charles J.; Vidovic, Damir; Ito, Kouichi; Crowther, Robert; Kammlott, Ursula; Zhang, Xiaolei; Palermo, Robert; Weber, David; Guenot, Jeanmarie; Nagy, Zoltan; Olson, Gary L.  
 CS Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA  
 SO Journal of Medicinal Chemistry (2000), 43(11), 2135-2148  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB Mol. features of ligand binding to MHC class II HLA-DR mols. have been elucidated through a combination of peptide structure-activity studies and structure-based drug design, resulting in analogs with nanomolar affinity in binding assays. Stabilization of lead compds. against cathepsin B cleavage by N-methylation of noncrit. backbone NH groups or by dipeptide mimetic substitutions has generated analogs that compete effectively against protein antigens in cellular assays, resulting in inhibition of T-cell proliferation. Crystal structures of four ternary complexes of different peptide mimetics with the rheumatoid arthritis-linked MHC DRB1\*0401 and the bacterial superantigen SEB have been obtained. Peptide-sugar hybrids have also been identified using a structure-based design approach in which the sugar residue replaces a dipeptide. These studies illustrate the complementary roles played by phage display library methods, peptide analog SAR, peptide mimetics substitutions, and structure-based drug design in the discovery of inhibitors of antigen presentation by MHC class II HLA-DR mols.  
 IT 285142-74-7 285142-79-2 285142-80-5  
 285142-84-9 285142-89-4  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (peptide and peptide mimetic inhibitors of antigen presentation by HLA-DR class II MHC mols. design, structure-activity relationships, and x-ray crystal structures)  
 RN 285142-74-7 CAPLUS  
 CN L-Leucinamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-N-methyl-L-alanyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-L-seryl-N2-methyl- (9CI) (CA INDEX NAME)

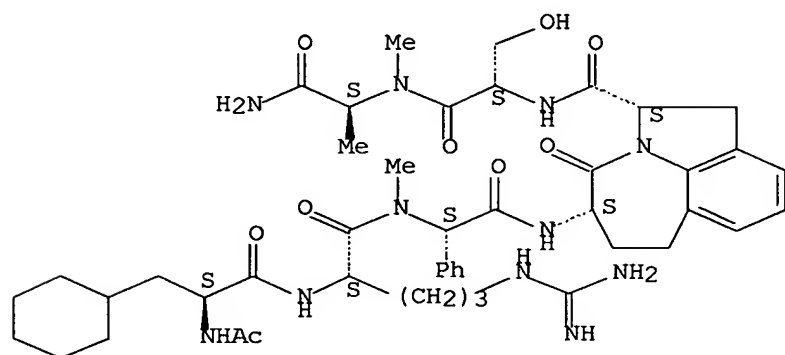
Absolute stereochemistry.



RN 285142-79-2 CAPLUS

CN L-Alaninamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-(2S)-N-methyl-2-phenylglycyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-L-seryl-N2-methyl- (9CI) (CA INDEX NAME)

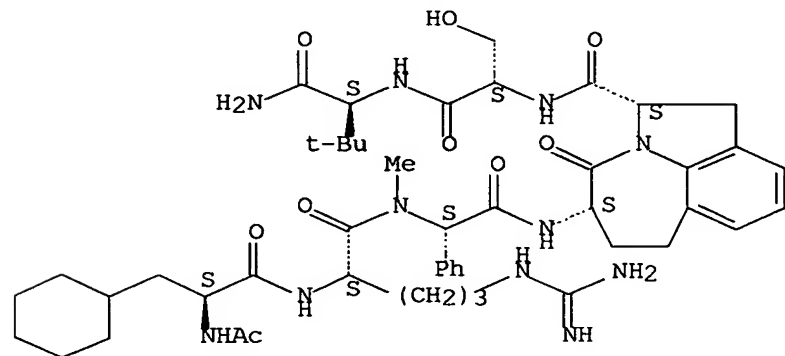
Absolute stereochemistry.



RN 285142-80-5 CAPLUS

CN L-Valinamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-(2S)-N-methyl-2-phenylglycyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-L-seryl-3-methyl- (9CI) (CA INDEX NAME)

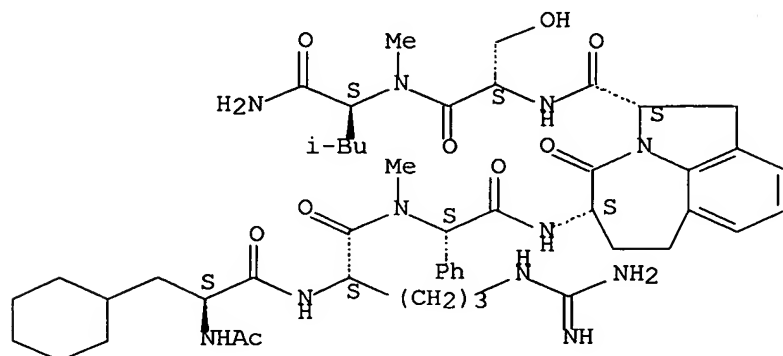
Absolute stereochemistry.



RN 285142-84-9 CAPLUS

CN L-Leucinamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-(2S)-N-methyl-2-phenylglycyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-L-seryl-N2-methyl- (9CI) (CA INDEX NAME)

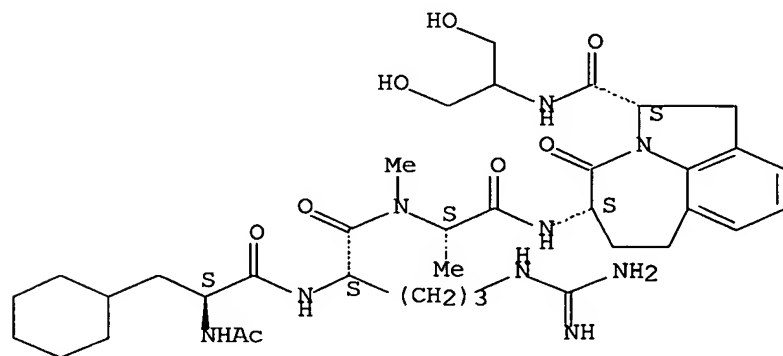
Absolute stereochemistry.



RN 285142-89-4 CAPLUS

CN L-Alaninamide, N-acetyl-3-cyclohexyl-L-alanyl-L-arginyl-N-[(2S,5S)-1,2,4,5,6,7-hexahydro-2-[[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]carbonyl]-4-oxoazepino[3,2,1-hi]indol-5-yl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:227868 CAPLUS Full-text

DN 132:262407

TI Biological reagents and methods for determining the mechanism in the generation of  $\beta$ -amyloid peptide

IN Audia, James E.; Hyslop, Paul A.; Nissen, Jeffrey S.; Thompson, Richard C.; Tung, Jay S.; Tanner, Laura I.

PA Elan Pharmaceuticals, Inc., USA; Eli Lilly and Company

SO PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000019210	A2	20000406	WO 1999-US22684	19990929
	WO 2000019210	A3	20010118		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9962780	A1	20000417	AU 1999-62780	19990929
	EP 1131634	A2	20010912	EP 1999-950039	19990929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6486350	B1	20021126	US 1999-408283	19990929
	JP 2003524601	T2	20030819	JP 2000-572665	19990929
	US 2003069445	A1	20030410	US 2002-217459	20020814
PRAI	US 1998-160082P	P	19980930		
	US 1999-408283	A3	19990929		
	WO 1999-US22684	W	19990929		

OS MARPAT 132:262407

AB Biol. reagents are described which comprise compds. that inhibit  $\beta$ -amyloid peptide release and/or its synthesis. These compds. have utility in determining the cellular mechanism involved in the generation of  $\beta$ -amyloid peptide. The synthesis of these compds. are described extensively.

IT **209984-57-6P**

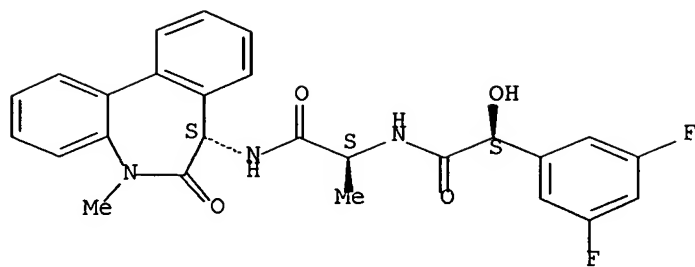
RL: ARU (Analytical role, unclassified); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(synthesis of biol. reagents and methods for determining mechanism in generation of  $\beta$ -amyloid peptide)

RN 209984-57-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 209984-58-7P 209984-68-9P

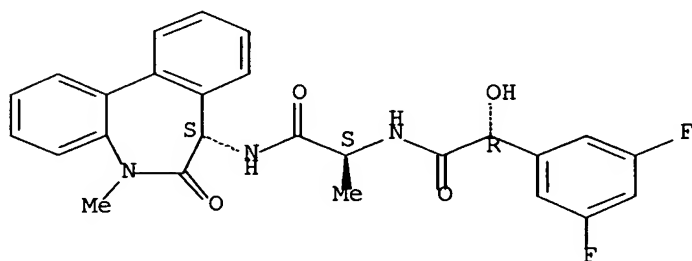
RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(synthesis of biol. reagents and methods for determining mechanism in generation of  $\beta$ -amyloid peptide)

RN 209984-58-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

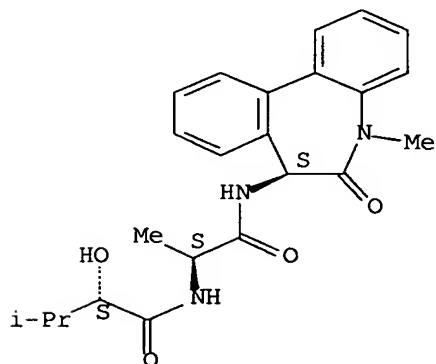
Absolute stereochemistry. Rotation (-).



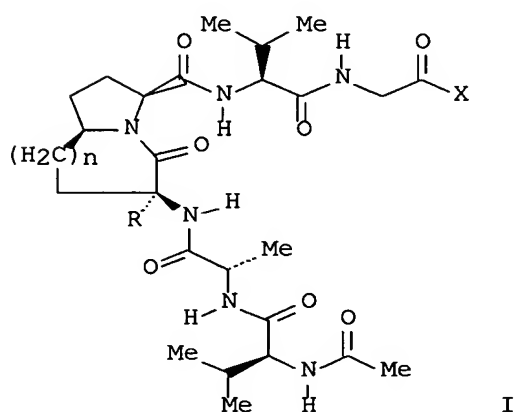
RN 209984-68-9 CAPLUS

CN Butanamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-2-hydroxy-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



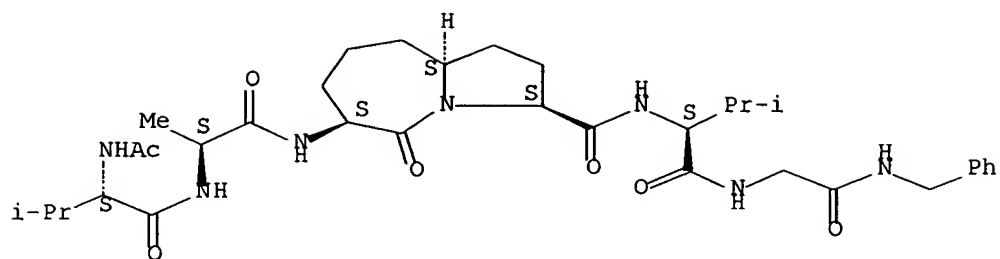
L19 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2000:176965 CAPLUS Full-text  
 DN 133:4951  
 TI Rationally designed bicyclic lactams control different turn motifs and folding patterns in hexapeptide mimics  
 AU Belvisi, Laura; Gennari, Cesare; Maddar, Annemieke; Mielgo, Antonia; Potenza, Donatella; Scolastico, Carlo  
 CS Dipartimento di Chimica Organica e Industriale, Universita degli Studi di Milano, Milan, I-20133, Italy  
 SO European Journal of Organic Chemistry (2000), (5), 695-699  
 CODEN: EJOCFK; ISSN: 1434-193X  
 PB Wiley-VCH Verlag GmbH  
 DT Journal  
 LA English  
 GI



AB Conformational anal. of N-acetylated hexapeptide mimics I (R = benzyl, H; X = MeO, PhCH<sub>2</sub>NH; n = 1,2), incorporating a bicyclic lactam, was carried out by a combination of <sup>1</sup>H-NMR spectroscopy, IR spectroscopy, and computer modeling. The nature of the bicyclic lactam detcs. the turn motifs and the folding patterns of these constrained peptides. The 5,6-bicyclic lactam derivs. I (R, X, n = benzyl, MeO, 1; benzyl, PhCH<sub>2</sub>NH, 1), characterized by a type-II' β-turn, are very compact intramolecularly H-bonded structures. The 5,7-bicyclic lactam I (R = H; X = MeO; n = 2), characterized by an inverse γ-turn, is a quite flexible tweezer-like structure.  
 IT **220719-83-5 220719-86-8**  
 RL: PRP (Properties)  
 (mol. structure/conformational anal. of bicyclic lactam hexapeptide mimics)  
 RN 220719-83-5 CAPLUS  
 CN Glycinamide, N-acetyl-L-valyl-L-alanyl-(3S,6S,9aS)-6-aminooctahydro-5-oxo-1H-pyrrolo[1,2-a]azepine-3-carbonyl-L-valyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

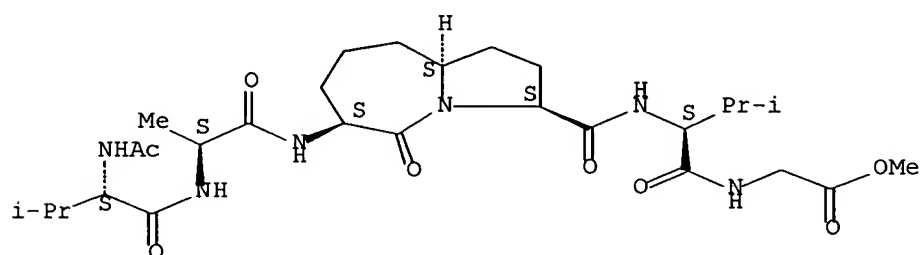




RN 220719-86-8 CAPLUS

CN Glycine, N-acetyl-L-valyl-L-alanyl-(3S,6S,9aS)-6-amino-octahydro-5-oxo-1H-pyrrolo[1,2-a]azepine-3-carbonyl-L-valyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:53681 CAPLUS Full-text

DN 132:108302

TI Preparation of CS-1 peptidomimetics and their compositions

IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.; He, Ya-Bo; Huyghe, Bernard G.; Chen, Paul G.

PA Cytel Corporation, USA

SO PCT Int. Appl., 266 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000002903	A1	20000120	WO 1998-US26605	19981215
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9919153	A1	20000201	AU 1999-19153	19981215
PRAI	US 1998-113689	A	19980710		
	WO 1998-US26605	W	19981215		

OS MARPAT 132:108302

AB Peptidomimetics R1CONR2CHR3CONR4CH(CONR5R6)CH2CO2H [R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, alkyl, phenylalkyl or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl, dialkyl thioether, or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, an optionally substituted 5-, 6-, or 7-membered heterocyclic ring containing 1 or 2 nitrogen atoms, a pyridobenzazepine moiety, or a group CHR7CO-AR8R9 (A = N and R7, R8, R9 = alkyl, a ring structure, etc. or A = O and R7 = alkyl, a ring structure, etc., R8 = alkyl, and R9 is absent)] were prepared as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-L-Leu-Asp-Phe-D-Pro-NH2 was prepared and assayed for binding inhibition potency (313 relative to a standard compound).

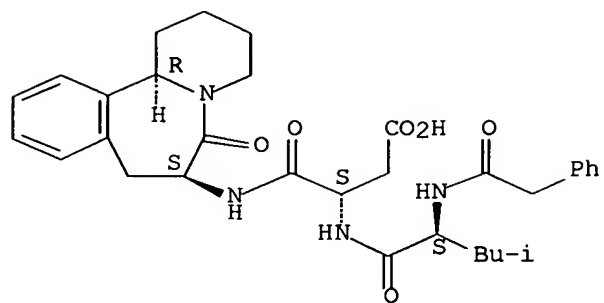
IT 209601-14-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of CS-1 peptidomimetics and their compns.)

RN 209601-14-9 CAPLUS

CN L- $\alpha$ -Asparagine, N-(phenylacetyl)-L-leucyl-N-[(7S,12bR)-1,2,3,4,6,7,8,12b-octahydro-6-oxopyrido[2,1-a][2]benzazepin-7-yl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:819353 CAPLUS Full-text

DN 132:64534

TI Preparation of cyclic amino acid compounds for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis

IN Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.; Mcdaniel, Stacey L.; Stucky, Russell D.; Porter, Warren J.

PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company; et al.

SO PCT Int. Appl., 714 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	-----	-----	-----	-----
PI	WO 9967221	A1	19991229	WO 1999-US14193	19990622
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2325389	AA	19991229	CA 1999-2325389	19990622
	AU 9947101	A1	20000110	AU 1999-47101	19990622
	EP 1089980	A1	20010411	EP 1999-930594	19990622
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002518483	T2	20020625	JP 2000-555875	19990622
	US 2005192265	A1	20050901	US 2004-2922	20041203
PRAI	US 1998-102507	A2	19980622		
	WO 1999-US14193	W	19990622		
	US 2003-392332	A3	20030320		
OS	MARPAT 132:64534				
AB	Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepared for inhibition of $\beta$ -amyloid peptide release and/or its synthesis. Thus, (S)-3-[[N-(2-thiophenecarbonyl)-L-alaninyl]amino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepared via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit $\beta$ -amyloid peptide production by at least 30% as compared to the control.				
IT	209994-34-3P 253161-95-4P 253322-71-3P 253323-64-7P 253323-65-8P 253323-67-0P 253323-69-2P 253323-73-8P 253323-74-9P 253323-83-0P 253323-84-1P 253323-88-5P 253324-19-5P 253324-20-8P 253324-22-0P 253324-23-1P 253324-24-2P 253324-31-1P 253324-32-2P 253324-34-4P 253324-35-5P				

253324-36-6P 253324-38-8P 253324-40-2P  
 253324-41-3P 253324-42-4P 253324-43-5P  
 253324-44-6P 253324-45-7P 253324-46-8P  
 253324-47-9P 253324-48-0P 253324-68-4P  
 253324-73-1P 253324-74-2P 253324-82-2P  
 253324-83-3P 253324-84-4P 253324-85-5P  
 253324-86-6P 253324-87-7P 253324-88-8P  
 253324-89-9P

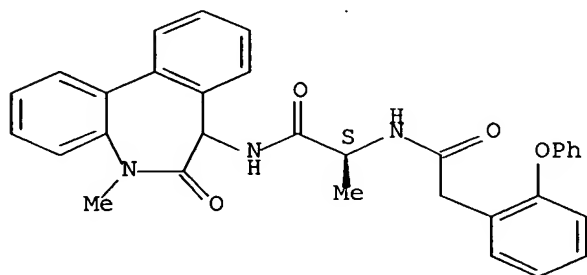
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic amino acid compds. for inhibiting  $\beta$ -amyloid peptide release)

RN 209994-34-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-phenoxy- (9CI) (CA INDEX NAME)

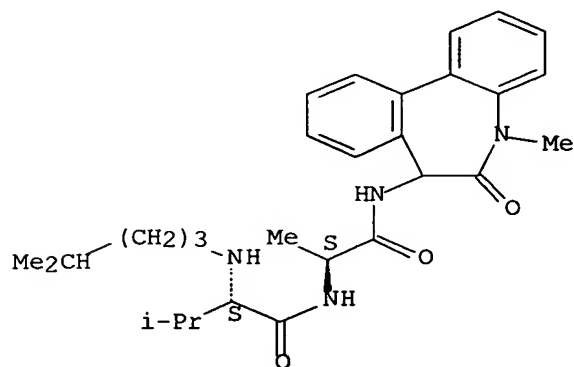
Absolute stereochemistry.



RN 253161-95-4 CAPLUS

CN L-Alaninamide, N-(4-methylpentyl)-L-valyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

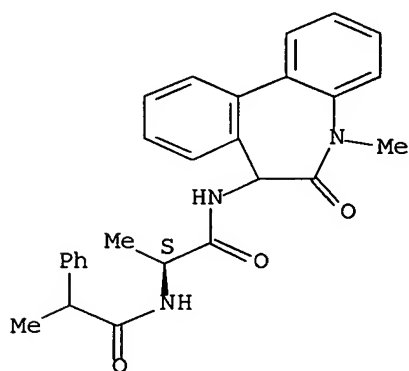


RN 253322-71-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- $\alpha$ -methyl- (9CI)

(CA INDEX NAME)

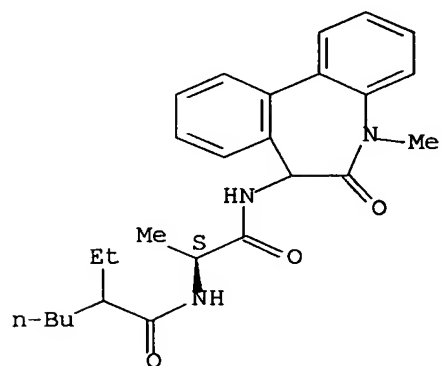
Absolute stereochemistry.



RN 253323-64-7 CAPLUS

CN Hexanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-ethyl- (9CI) (CA INDEX NAME)

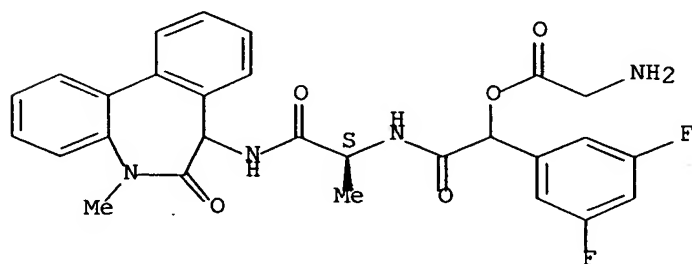
Absolute stereochemistry.



RN 253323-65-8 CAPLUS

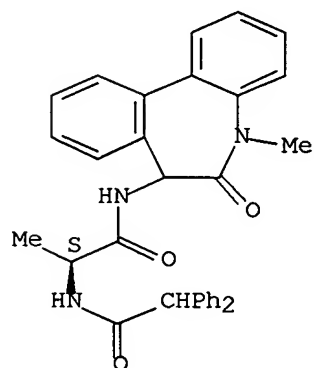
CN L-Alaninamide, glycy-3,5-difluoro-α-hydroxybenzeneacetyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



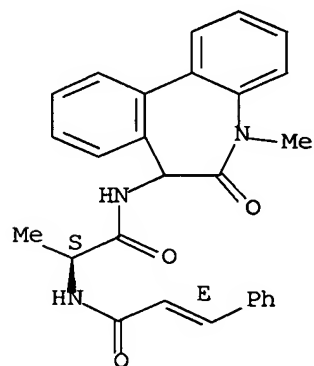
RN 253323-67-0 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- $\alpha$ -phenyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



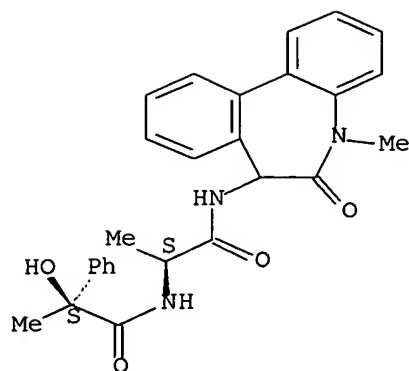
RN 253323-69-2 CAPLUS  
 CN 2-Propenamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



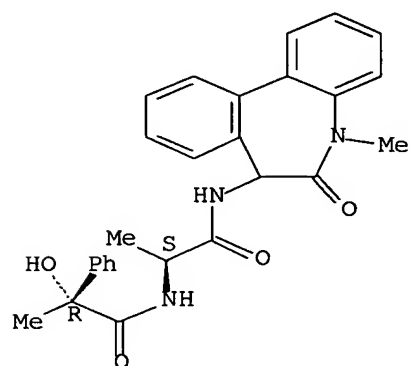
RN 253323-73-8 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- $\alpha$ -hydroxy- $\alpha$ -methyl-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



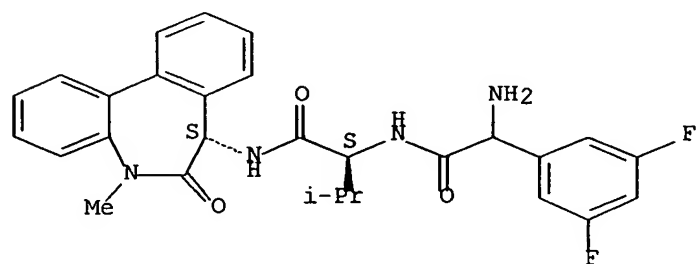
RN 253323-74-9 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy-α-methyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253323-83-0 CAPLUS  
 CN L-Valinamide, 2-(3,5-difluorophenyl)glycyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

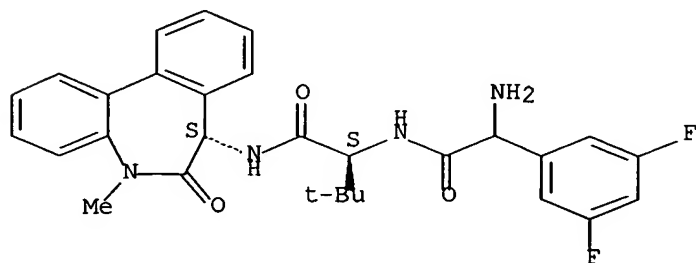




RN 253323-84-1 CAPLUS

CN L-Valinamide, 2-(3,5-difluorophenyl)glycyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]-3-methyl- (9CI) (CA INDEX NAME)

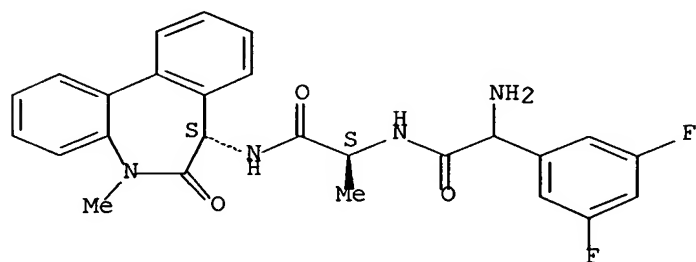
Absolute stereochemistry.



RN 253323-88-5 CAPLUS

CN L-Alaninamide, 2-(3,5-difluorophenyl)glycyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

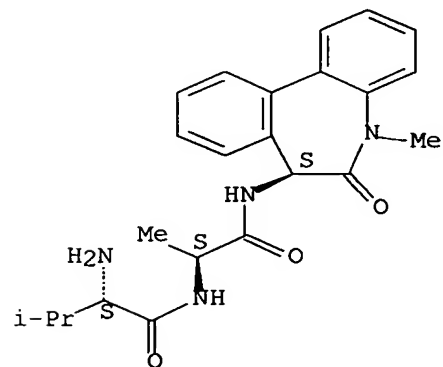
Absolute stereochemistry.



RN 253324-19-5 CAPLUS

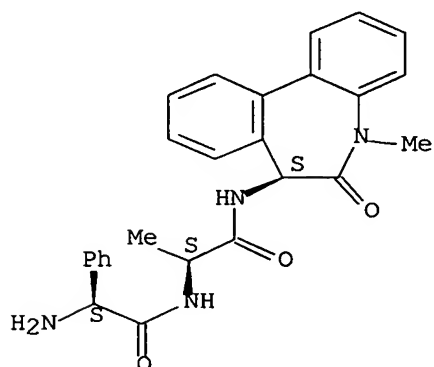
CN L-Alaninamide, L-valyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



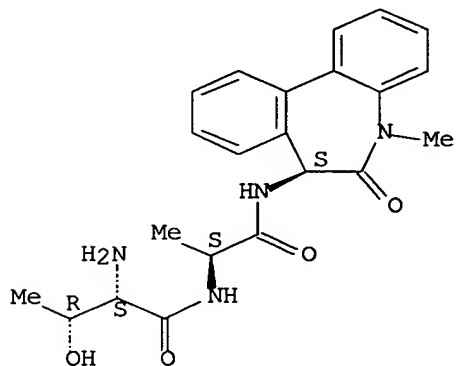
RN 253324-20-8 CAPLUS  
CN L-Alaninamide, (2S)-2-phenylglycyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



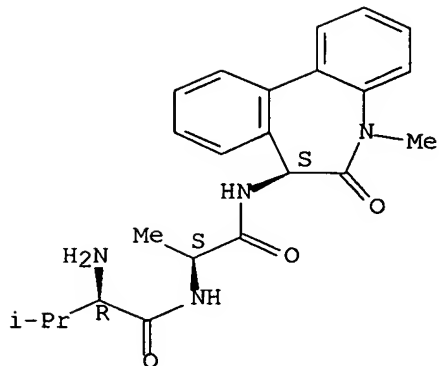
RN 253324-22-0 CAPLUS  
CN L-Alaninamide, L-threonyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253324-23-1 CAPLUS  
CN L-Alaninamide, D-valyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

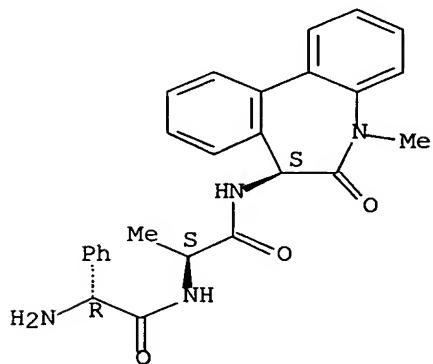
Absolute stereochemistry.



RN 253324-24-2 CAPLUS

CN L-Alaninamide, (2R)-2-phenylglycyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

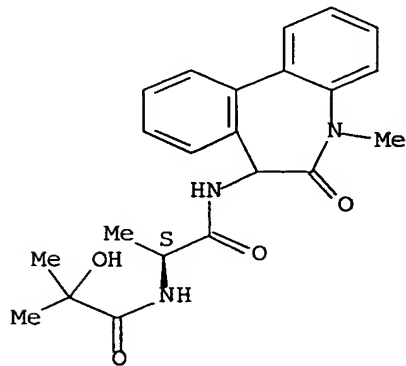
Absolute stereochemistry.



RN 253324-31-1 CAPLUS

CN Propanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

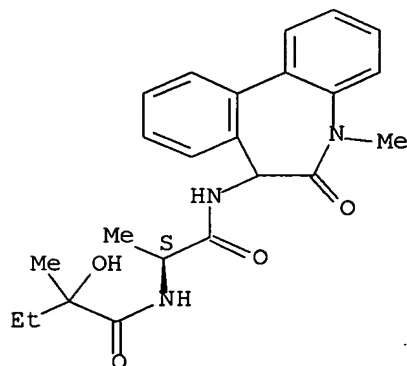
Absolute stereochemistry.



RN 253324-32-2 CAPLUS

CN Butanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

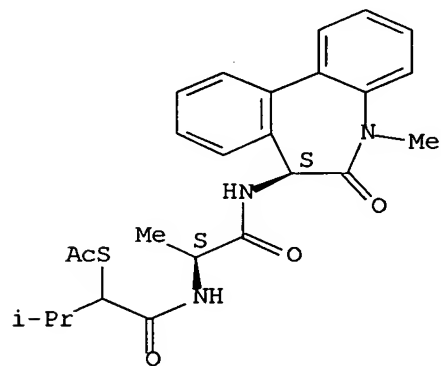
Absolute stereochemistry.



RN 253324-34-4 CAPLUS

CN Ethanethioic acid, S-[1-[[[(1S)-2-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]amino]carbonyl]-2-methylpropyl] ester (9CI) (CA INDEX NAME)

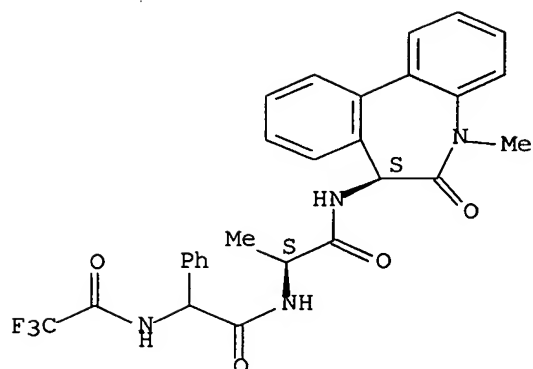
Absolute stereochemistry.



RN 253324-35-5 CAPLUS

CN L-Alaninamide, 2-phenyl-N-(trifluoroacetyl)glycyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

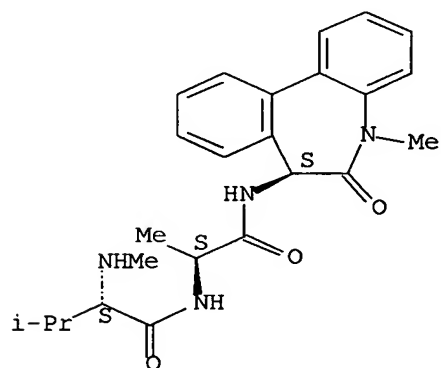
Absolute stereochemistry.



RN 253324-36-6 CAPLUS

CN L-Alaninamide, N-methyl-L-valyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

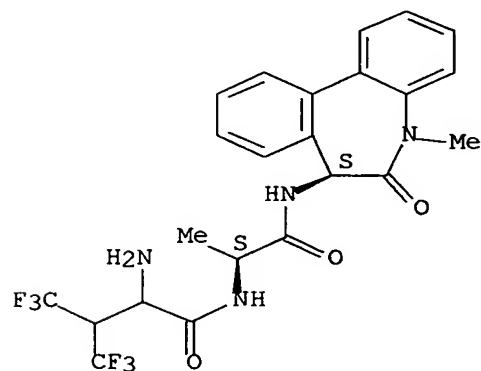
Absolute stereochemistry.



RN 253324-38-8 CAPLUS

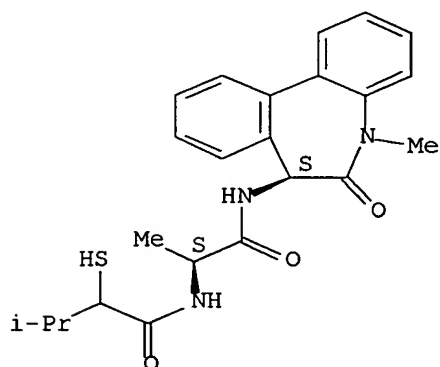
CN L-Alaninamide, 4,4,4,4',4',4'-hexafluorovalyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



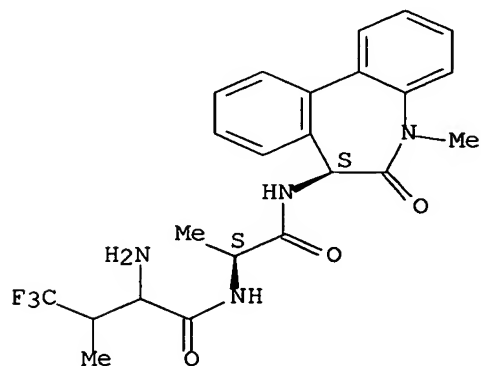
RN 253324-40-2 CAPLUS  
CN Butanamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-2-mercapto-3-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



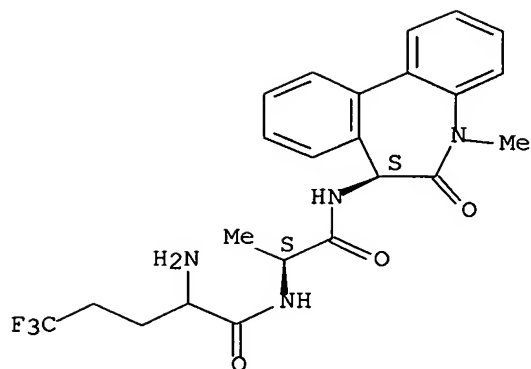
RN 253324-41-3 CAPLUS  
CN L-Alaninamide, 4,4,4-trifluorovalyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253324-42-4 CAPLUS  
CN L-Alaninamide, 5,5,5-trifluoronorvalyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

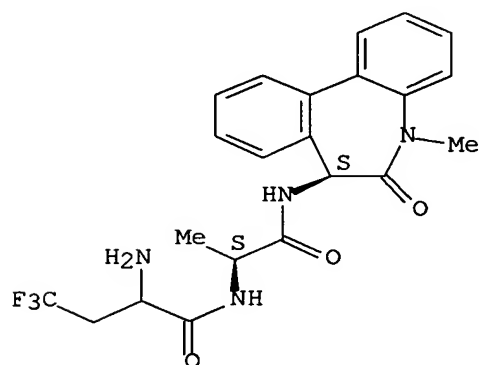
Absolute stereochemistry.



RN 253324-43-5 CAPLUS

CN Butanamide, 2-amino-N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-4,4,4-trifluoro- (9CI)  
(CA INDEX NAME)

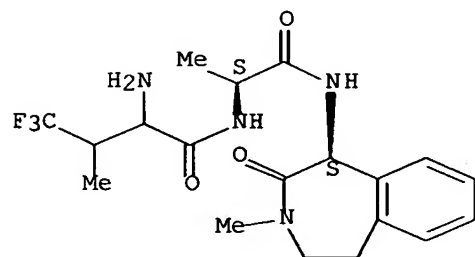
Absolute stereochemistry.



RN 253324-44-6 CAPLUS

CN L-Alaninamide, 4,4,4-trifluorovalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

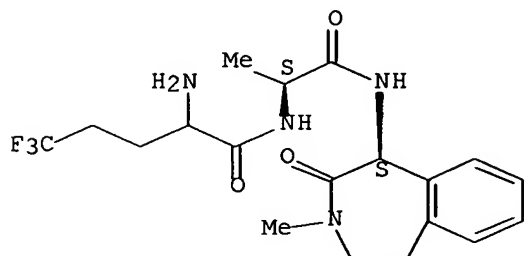
Absolute stereochemistry.



RN 253324-45-7 CAPLUS

CN L-Alaninamide, 5,5,5-trifluoronorvalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

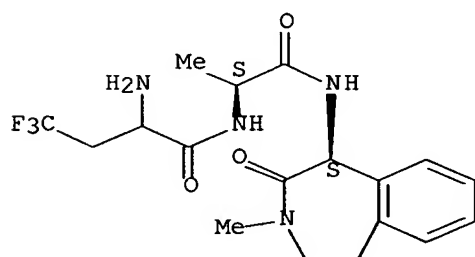
Absolute stereochemistry.



RN 253324-46-8 CAPLUS

CN Butanamide, 2-amino-4,4,4-trifluoro-N-[(1S)-1-methyl-2-oxo-2-[[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI)  
(CA INDEX NAME)

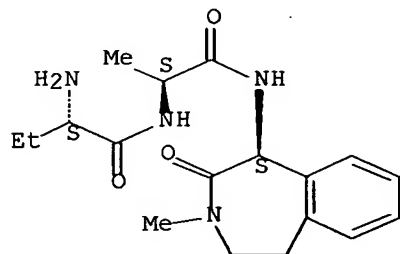
Absolute stereochemistry.



RN 253324-47-9 CAPLUS

CN Butanamide, 2-amino-N-[(1S)-1-methyl-2-oxo-2-[[[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

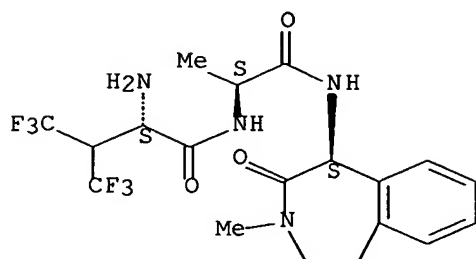


RN 253324-48-0 CAPLUS

CN L-Alaninamide, 4,4,4,4',4',4'-hexafluoro-L-valyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)



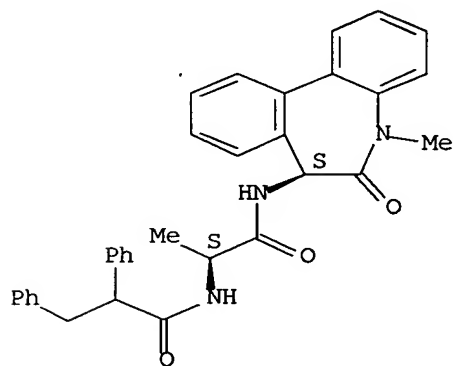
Absolute stereochemistry.



RN 253324-68-4 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-α-phenyl- (9CI)  
(CA INDEX NAME)

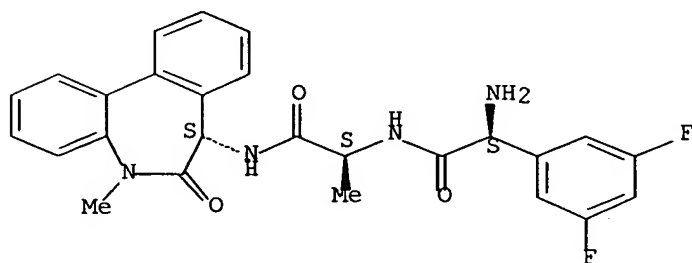
Absolute stereochemistry.



RN 253324-73-1 CAPLUS

CN L-Alaninamide, (2S)-2-(3,5-difluorophenyl)glycyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

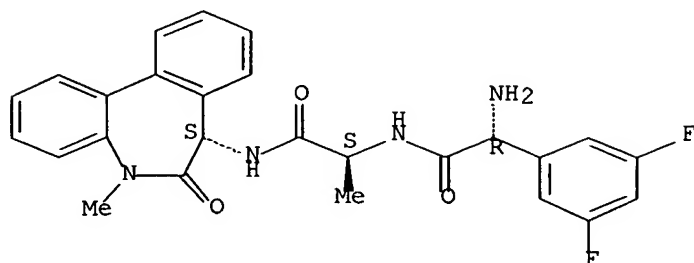


RN 253324-74-2 CAPLUS

CN L-Alaninamide, (2R)-2-(3,5-difluorophenyl)glycyl-N-[(7S)-6,7-dihydro-5-

methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

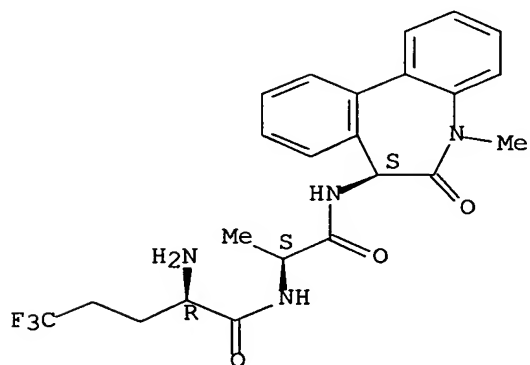
Absolute stereochemistry.



RN 253324-82-2 CAPLUS

CN L-Alaninamide, 5,5,5-trifluoro-D-norvalyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

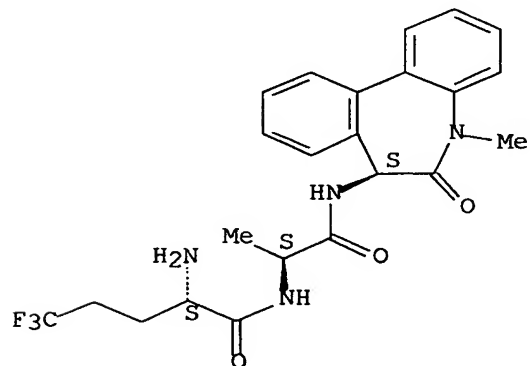
Absolute stereochemistry.



RN 253324-83-3 CAPLUS

CN L-Alaninamide, 5,5,5-trifluoro-L-norvalyl-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]- (9CI) (CA INDEX NAME)

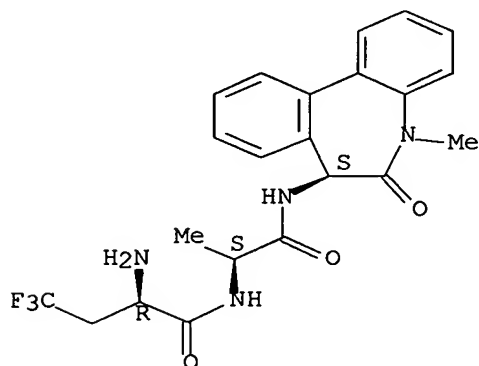
Absolute stereochemistry.



RN 253324-84-4 CAPLUS

CN Butanamide, 2-amino-N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-4,4,4-trifluoro-, (2R)-(9CI) (CA INDEX NAME)

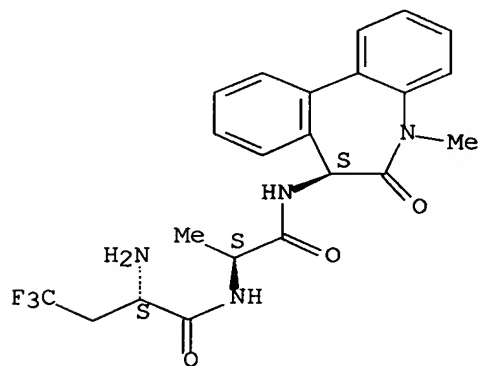
Absolute stereochemistry.



RN 253324-85-5 CAPLUS

CN Butanamide, 2-amino-N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-4,4,4-trifluoro-, (2S)-(9CI) (CA INDEX NAME)

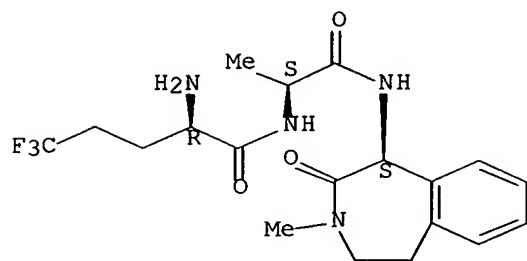
Absolute stereochemistry.



RN 253324-86-6 CAPLUS

CN L-Alaninamide, 5,5,5-trifluoro-D-norvalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

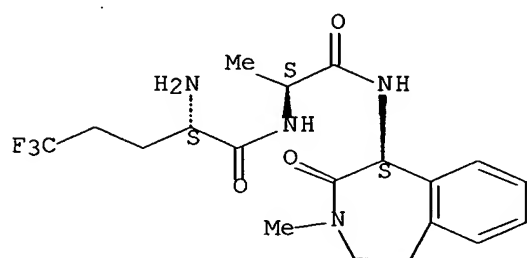
Absolute stereochemistry.



RN 253324-87-7 CAPLUS

CN L-Alaninamide, 5,5,5-trifluoro-L-norvalyl-N-[(1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]- (9CI) (CA INDEX NAME)

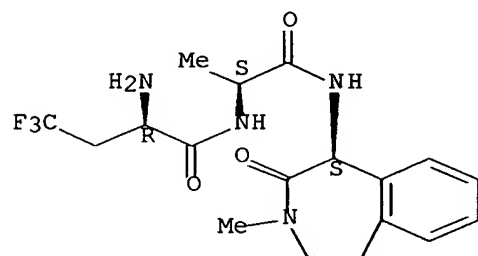
Absolute stereochemistry.



RN 253324-88-8 CAPLUS

CN Butanamide, 2-amino-4,4,4-trifluoro-N-[(1S)-1-methyl-2-oxo-2-[[1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2R)- (9CI) (CA INDEX NAME)

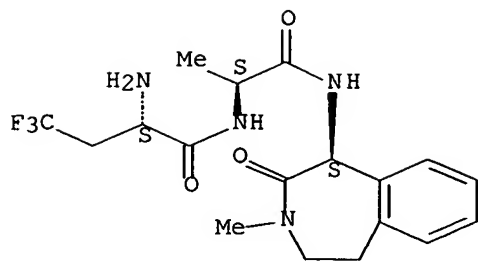
Absolute stereochemistry.



RN 253324-89-9 CAPLUS

CN Butanamide, 2-amino-4,4,4-trifluoro-N-[(1S)-1-methyl-2-oxo-2-[[1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 253325-01-8P 253325-02-9P

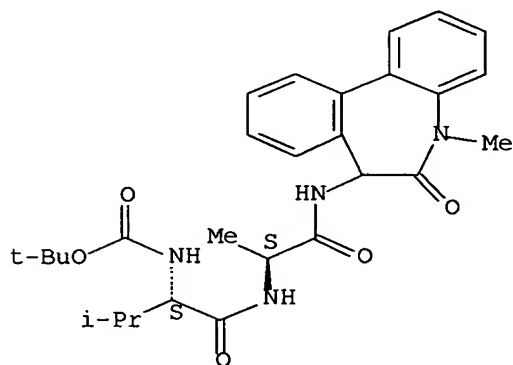
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclic amino acid compds. for inhibiting  $\beta$ -amyloid peptide release)

RN 253325-01-8 CAPLUS

CN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

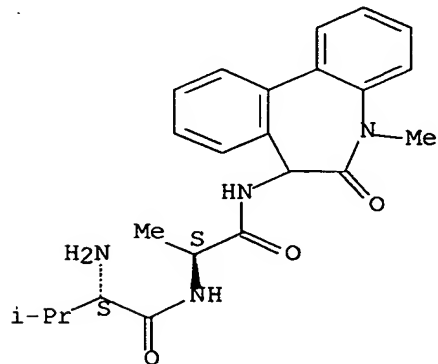
Absolute stereochemistry.



RN 253325-02-9 CAPLUS

CN L-Alaninamide, L-valyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:819351 CAPLUS Full-text

DN 132:64532

TI Preparation of cyclic amino acid compounds for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis

IN Audia, James E.; Porter, Warren J.; Thompson, Richard C.; Wilkie, Stephen C.; Stack, Douglas R.; Shi, Qing

PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company

SO PCT Int. Appl., 287 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967219	A1	19991229	WO 1999-US14096	19990622
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2324474	AA	19991229	CA 1999-2324474	19990622
	AU 9947079	A1	20000110	AU 1999-47079	19990622
	EP 1089977	A1	20010411	EP 1999-930566	19990622
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002518481	T2	20020625	JP 2000-555873	19990622
	US 6552013	B1	20030422	US 1999-338121	19990622
	US 2003149022	A1	20030807	US 2002-326081	20021223
	US 6838455	B2	20050104		
	US 2005192265	A1	20050901	US 2004-2922	20041203
PRAI	US 1998-102507	A2	19980622		
	US 1998-150704P	P	19980930		
	US 1998-162757	A2	19980930		
	US 1998-160067P	P	19980622		
	US 1999-338121	A3	19990622		
	WO 1999-US14096	W	19990622		
	US 2003-392332	A3	20030320		

OS MARPAT 132:64532

AB Compds. R1ZNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl; Z is represented by -T-CX'X''V- where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group, V is alkylene or substituted alkylene or R1 and Z together form aryl or (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; n = 1 or 2] were prepared for inhibition of  $\beta$ -amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-[2-(3,5-difluorophenyl)ethyl]-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepared by reductive alkylation of 5-(S)-(L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride with 3,5-difluorophenylacetaldehyde using sodium cyanoborohydride. Compds. of the invention inhibit  $\beta$ -amyloid peptide production by at least 30% as compared to the control when employed at 10  $\mu$ g/mL.

IT 253161-95-4P

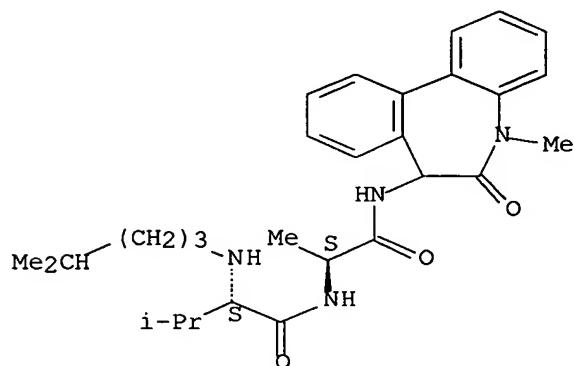
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic amino acid compds. for inhibiting  $\beta$ -amyloid peptide release)

RN 253161-95-4 CAPLUS

CN L-Alaninamide, N-(4-methylpentyl)-L-valyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:738408 CAPLUS Full-text

DN 132:102406

TI Ligands for  $\kappa$ -opioid and ORL1 receptors identified from a conformationally constrained peptide combinatorial library. [Erratum to document cited in CA132:113]

AU Becker, Jerome A. J.; Wallace, Andrew; Garzon, Aaron; Ingallinella, Paolo; Bianchi, Elisabetta; Cortese, Riccardo; Simonin, Frederic; Keiffer, Brigitte L.; Pessi, Antonello

CS Ecole Supérieure de Biotechnologie de Strasbourg, Illkirch, 67400, Fr.

SO Journal of Biological Chemistry (1999), 274(46), 33177

CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

AB The stereochem. of the BTD scaffold (Fig. 3) and the BTD-III peptide (Fig. 8) is incorrect as drawn; the two amino acid arms should be above the plane of the paper (where the BTD lies) and not below. The correct figures are given.

IT 250787-42-9 250787-44-1

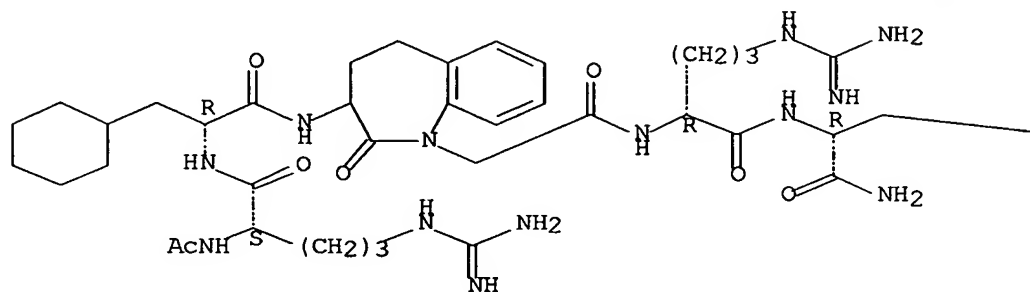
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(ligands for human opioid receptors identified from conformationally constrained peptide combinatorial library (Erratum))

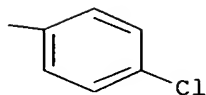
RN 250787-42-9 CAPLUS

CN D-Phenylalaninamide, N2-acetyl-L-arginyl-3-cyclohexyl-D-alanyl-3-amino-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepine-1-acetyl-D-arginyl-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

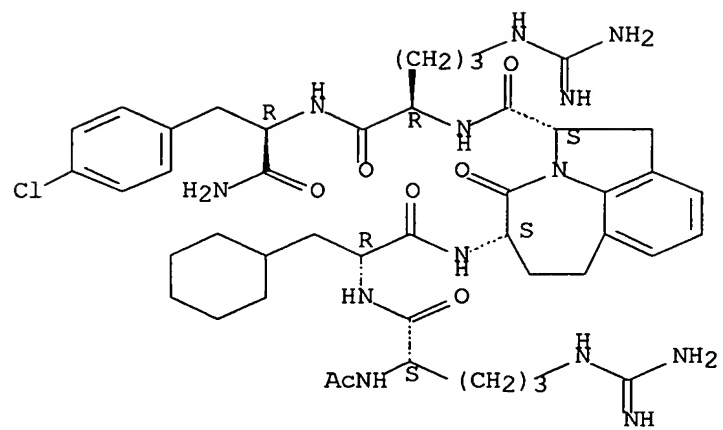


RN 250787-44-1 CAPLUS

CN D-Phenylalaninamide, N2-acetyl-L-arginyl-3-cyclohexyl-D-alanyl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-D-arginyl-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L19 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:637709 CAPLUS Full-text

DN 132:113

TI Ligands for  $\kappa$ -opioid and ORL1 receptors identified from a conformationally constrained peptide combinatorial library

AU Becker, Jerome A. J.; Wallace, Andrew; Garzon, Aaron; Ingallinella, Paolo; Bianchi, Elisabetta; Cortese, Riccardo; Simonin, Frederic; Kieffer, Brigitte L.; Pessi, Antonello

CS Ecole Supérieure de Biotechnologie de Strasbourg, Illkirch, 67400, Fr.

SO Journal of Biological Chemistry (1999), 274(39), 27513-27522

CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

AB The authors have screened a synthetic peptide combinatorial library composed of 2 + 107  $\beta$ -turn-constrained peptides in binding assays on four structurally related receptors, the human opioid receptors  $\mu$ ,  $\delta$ , and  $\kappa$  and the opioid receptor-like ORL1. Sixty-six individual peptides were synthesized from the primary screening and tested in the four receptor binding assays. Three peptides composed essentially of unnatural amino acids were found to show high affinity for human  $\kappa$ -opioid receptor. Investigation of their activity in agonist-promoted stimulation of [<sup>35</sup>S]guanosine 5'-3-O-(thio)triphosphate binding assay revealed that the authors have identified the first inverse agonist as well as peptidic antagonists for  $\kappa$ -receptors. To fine-tune the potency and selectivity of these  $\kappa$ -peptides the authors replaced their turn-forming template by other turn mimetic mols. This "turn-scan" process allowed the discovery of compds. with modified selectivity and activity profiles. One peptide displayed comparable affinity and partial agonist activity toward all four receptors. Interestingly, another peptide showed selectivity for the ORL1 receptor and displayed antagonist activity at ORL1 and agonist activity at opioid receptors. In conclusion, the authors have identified peptides that represent an entirely new class of ligands for opioid and ORL1 receptors and exhibit novel pharmacol. activity. This study demonstrates that conformationally constrained peptide combinatorial libraries are a rich source of ligands that are more suitable for the design of nonpeptidal drugs.

IT **250787-42-9 250787-44-1**

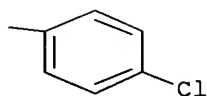
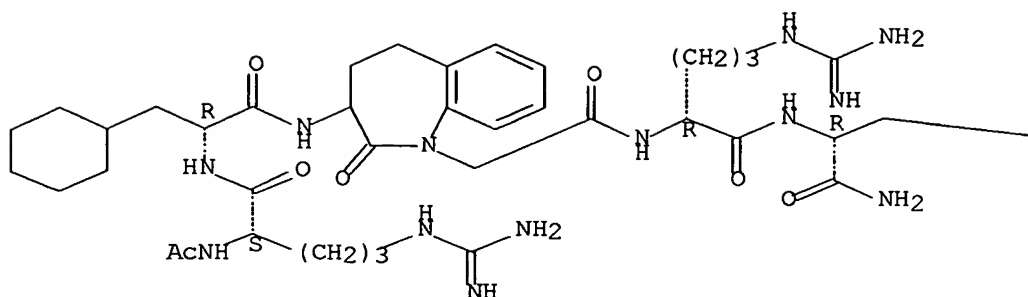
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(ligands for human opioid receptors identified from conformationally constrained peptide combinatorial library)

RN 250787-42-9 CAPLUS

CN D-Phenylalaninamide, N2-acetyl-L-arginyl-3-cyclohexyl-D-alanyl-3-amino-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepine-1-acetyl-D-arginyl-4-chloro-(9CI) (CA INDEX NAME)

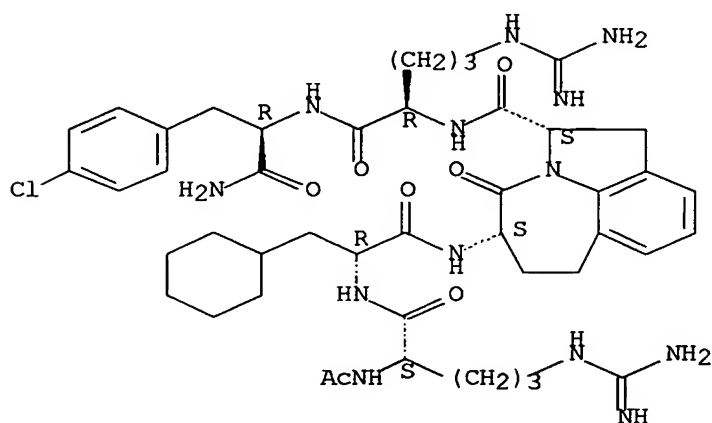
Absolute stereochemistry.



RN 250787-44-1 CAPLUS

CN D-Phenylalaninamide, N2-acetyl-L-arginyl-3-cyclohexyl-D-alanyl- (2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl-D-arginyl-4-chloro- (9CI) (CA INDEX NAME)

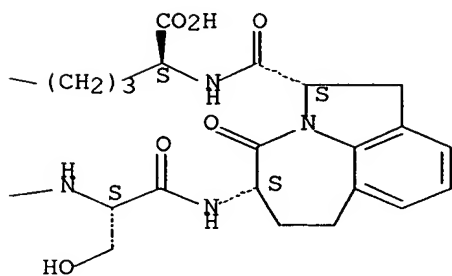
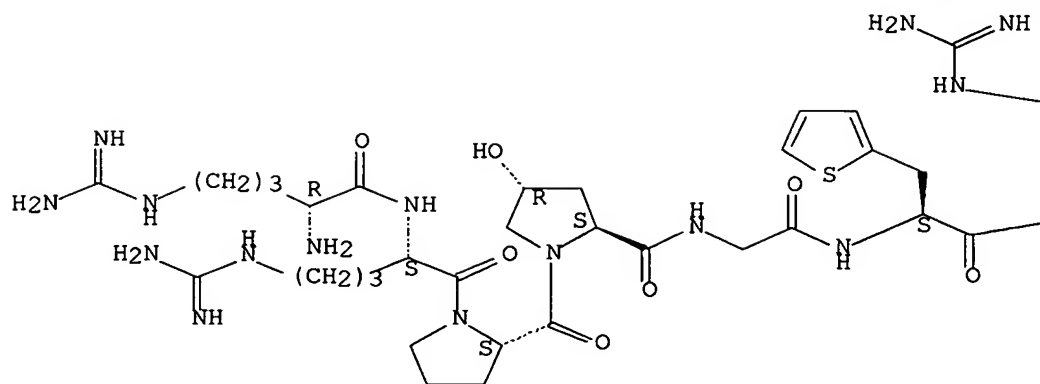
Absolute stereochemistry.



RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1999:596173 CAPLUS Full-text  
 DN 132:3544  
 TI Synthesis and Characterization of Bradykinin B2 Receptor Agonists  
 Containing Constrained Dipeptide Mimics  
 AU Amblard, Muriel; Daffix, Isabelle; Berge, Gilbert; Calmes, Monique; Dodey,  
 Pierre; Pruneau, Didier; Paquet, Jean-Luc; Luccarini, Jean-Michel;  
 Belichard, Pierre; Martinez, Jean  
 CS Laboratoire des Aminoacides Peptides et Proteines, Universites Montpellier  
 I et II Faculte de Pharmacie, Montpellier, 34060, Fr.  
 SO Journal of Medicinal Chemistry (1999), 42(20), 4193-4201  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB We have previously shown that substitution of the D-Tic-Oic dipeptide by a  
 (3S)-[amino]-5-(carbonylmethyl)-2,3-dihydro-1,5-benzothiazepin-4(5H)-one (D-  
 BT) moiety in the bradykinin B2 receptor antagonist HOE 140 resulted in a full  
 potent and selective bradykinin B2 receptor agonist (H-DArg-Arg-Pro-Hyp-Gly-  
 Thi-Ser-D-BT-Arg-OH, JMV 1116) exhibiting a high affinity for the human  
 receptor (K<sub>i</sub> 0.7 nM). In the present study, we have investigated the effects  
 of replacement of the D-Tic-Oic moiety by various constrained dipeptide  
 mimetics. The resulting compds. were tested for their binding affinity toward  
 the cloned human B2 receptor and for their functional interaction with the  
 bradykinin-induced contraction of isolated human umbilical vein.  
 Subsequently, we have designed novel bradykinin B2 receptor agonists which are  
 likely to be resistant to enzymic cleavage by endopeptidases and which might  
 represent interesting new pharmacol. tools. In an attempt to increase the  
 potency of compound JMV 1116, both its N-terminal part and the D-BT moiety  
 were modified. Substitution of the D-arginine residue by a L-lysine residue  
 led to a 10-fold more potent bradykinin B2 ligand [compound JMV 1465 (K<sub>i</sub> 0.07  
 nM)], retaining full agonist activity on human umbilical vein. Substitution of  
 the D-BT moiety by a (3S)-[amino]-5-(carbonylmethyl)-2,3- dihydro-8-methyl-  
 1,5-benzothiazepin-4(5H)-one [D-BT(Me)] moiety led to compound JMV 1609 which  
 exhibited a higher agonist activity (pD<sub>2</sub> = 7.4) than JMV 1116 (pD<sub>2</sub> = 6.8).  
 IT **250682-57-6P 250682-58-7P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (preparation, binding affinity, functional interaction of bradykinin B2  
 analogs and bradykinin B2 receptor agonists containing constrained  
 dipeptide mimics)  
 RN 250682-57-6 CAPLUS  
 CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-  
 (2-thienyl)-L-alanyl-L-seryl-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-4-  
 oxoazepino[3,2,1-hi]indole-2-carbonyl- (9CI) (CA INDEX NAME)

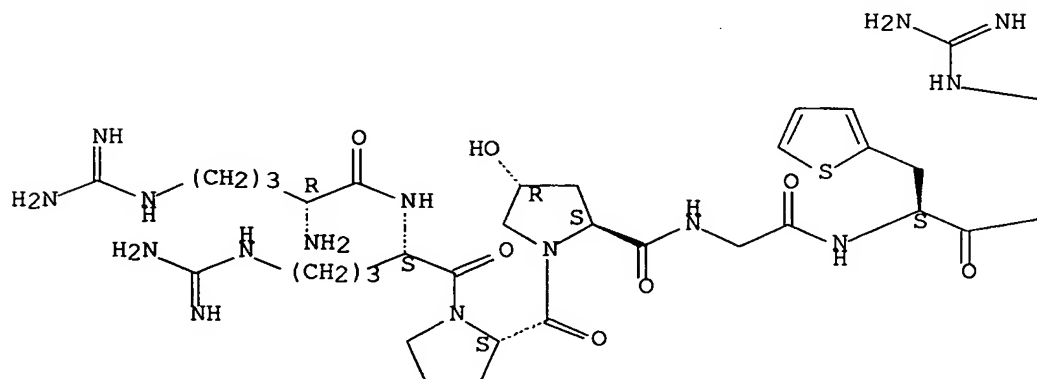
Absolute stereochemistry.

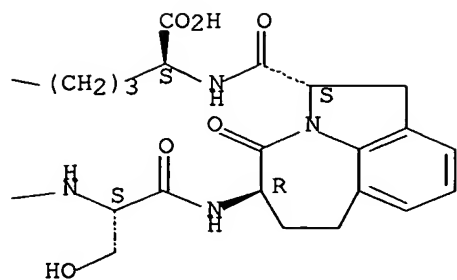


RN 250682-58-7 CAPLUS

CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-glycyl-3-(2-thienyl)-L-alanyl-L-seryl-(2S,5R)-5-amino-1,2,4,5,6,7-hexahydro-4-oxoazepino[3,2,1-hi]indole-2-carbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:505686 CAPLUS Full-text

DN 131:139496

TI Fibronectin CS-1 peptidomimetics for inhibiting binding of CS-1 to VLA-4 and for treating immunoinflammatory conditions

IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.

PA Cytel Corporation, USA

SO U.S., 81 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	US 5936065	A	19990810	US 1995-462424	19950605
	CA 2177840	AA	19950615	CA 1994-2177840	19941205
	CN 1142832	A	19970212	CN 1994-194969	19941205
	US 5688913	A	19971118	US 1995-435286	19950505
	US 6117840	A	20000912	US 1997-837154	19970414
	US 6103870	A	20000815	US 1997-923026	19970903
PRAI	US 1993-164101	B2	19931206		
	US 1994-349024	B2	19941202		
	US 1995-435286	A1	19950505		

OS MARPAT 131:139496

AB Peptidomimetic compds. are disclosed that inhibit the binding between the VLA-4 and the fibronectin CS-1 compound Pharmaceutical compns. containing a contemplated compound and methods for treating immunoinflammatory conditions using the compound are also disclosed.

IT 209601-14-9

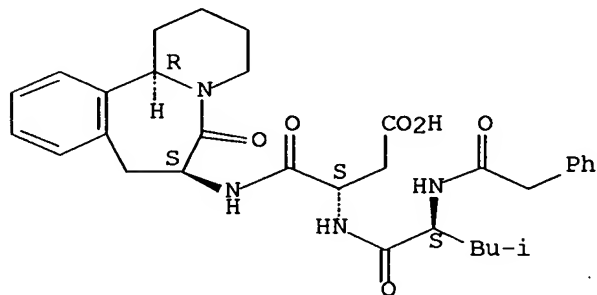
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fibronectin CS-1 peptidomimetics for inhibiting binding of CS-1 to VLA-4 and for treating immunoinflammatory conditions)

RN 209601-14-9 CAPLUS

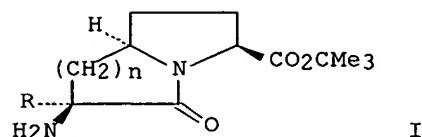
CN L- $\alpha$ -Asparagine, N-(phenylacetyl)-L-leucyl-N-[(7S,12bR)-1,2,3,4,6,7,8,12b-octahydro-6-oxopyrido[2,1-a][2]benzazepin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1999:89646 CAPLUS Full-text  
 DN 130:196946  
 TI Solid-phase synthesis of peptides containing reverse-turn mimetic bicyclic lactams  
 AU Gennari, Cesare; Mielgo, Antonia; Potenza, Donatella; Scolastico, Carlo; Piarulli, Umberto; Manzoni, Leonardo  
 CS Dipartimento Chimica Organica Industriale, Univ. Studi Milano, Milan, Italy  
 SO European Journal of Organic Chemistry (1999), (2), 379-388  
 CODEN: EJOCFK; ISSN: 1434-193X  
 PB Wiley-VCH Verlag GmbH  
 DT Journal  
 LA English  
 GI

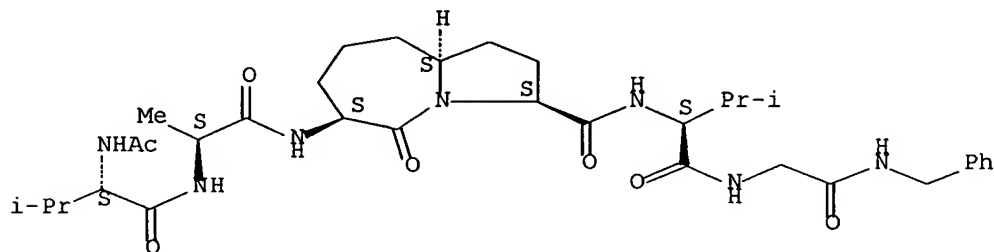


AB The solid-phase synthesis and characterization of a series of peptides containing reverse-turn mimetic bicyclic lactams I ( $n = 3$ ,  $R = H$ ;  $n = 2$ ,  $R = PhCH_2$ ) is reported. The bicyclic lactams possess high structural similarity to the 2 central residues of a  $\beta$ -turn. Amino acid conjugates of these bicyclic lactams were synthesized on solid supports following a 9-fluorenylmethoxycarbonyl (Fmoc) protection strategy on Wang-Merrifield resin. Coupling between amino acids was accomplished by diisopropylcarbodiimide (DIC)/hydroxyazabenzotriazole (HOAt). Coupling between amino acids and the mimics was performed with the potent Carpino's reagent, O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (HATU). The final compds. were cleaved from the resin and obtained as N-acetylated Me esters or benzyl amides.

IT **220719-83-5P 220719-86-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (solid-phase synthesis of peptides containing reverse-turn mimetic bicyclic lactams)

RN 220719-83-5 CAPLUS  
 CN Glycinamide, N-acetyl-L-valyl-L-alanyl-(3S,6S,9aS)-6-aminoctahydro-5-oxo-1H-pyrrolo[1,2-a]azepine-3-carbonyl-L-valyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

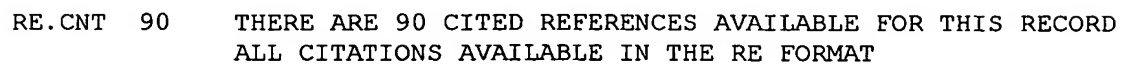
Absolute stereochemistry. Rotation (-).



RN 220719-86-8 CAPLUS  
 CN Glycine, N-acetyl-L-valyl-L-alanyl-(3S,6S,9aS)-6-aminoctahydro-5-oxo-1H-pyrrolo[1,2-a]azepine-3-carbonyl-L-valyl-, methyl ester (9CI) (CA INDEX NAME)

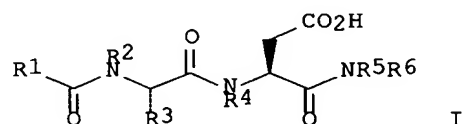
Absolute stereochemistry. Rotation (-).





L19 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1998:668012 CAPLUS Full-text  
 DN 129:290438  
 TI Preparation of CS-1 peptidomimetics and their compositions  
 IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.  
 PA Cytel Corp., USA  
 SO U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 349,024.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5821231	A	19981013	US 1995-461056	19950605
	CA 2177840	AA	19950615	CA 1994-2177840	19941205
	CN 1142832	A	19970212	CN 1994-194969	19941205
	US 5688913	A	19971118	US 1995-435286	19950505
	US 6117840	A	20000912	US 1997-837154	19970414
	US 6103870	A	20000815	US 1997-923026	19970903
PRAI	US 1993-164101	B2	19931206		
	US 1994-349024	A2	19941202		
	US 1995-435286	A1	19950505		
OS	MARPAT 129:290438				
GI					



AB Peptidomimetics I (R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, Me or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, 1,1-diphenylmethine, or the R5 ring structure) were prepared as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-Leu-Asp-Phe-D-Pro-NH2 was prepared and assayed for binding inhibition potency (313 relative to a standard compound).

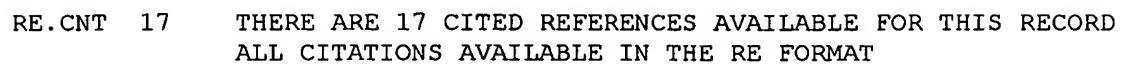
IT 209601-14-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of CS-1 peptidomimetics and their compns.)

RN 209601-14-9 CAPLUS

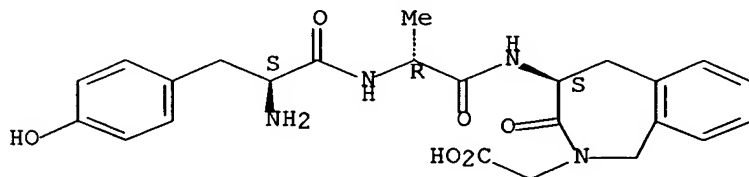
CN L- $\alpha$ -Asparagine, N-(phenylacetyl)-L-leucyl-N-[(7S,12bR)-1,2,3,4,6,7,8,12b-octahydro-6-oxopyrido[2,1-a][2]benzazepin-7-yl]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



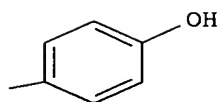
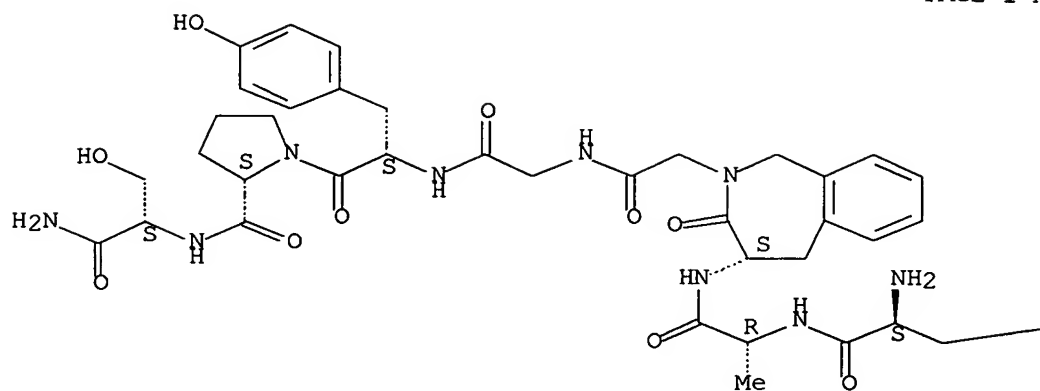
L19 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1998:646608 CAPLUS Full-text  
 DN 130:52711  
 TI Sidechain conformational constraints as a basis for opioid peptidomimetics  
 AU Tourwe, D.; Toth, G.; Verschuere, K.; Mannekens, E.; Diem, T. Nguyen Thi;  
 Verheyden, P.; Jaspers, H.; Peter, A.; Borsodi, A.  
 CS Vrije Universiteit Brussel, Organische Chemie, Brussels, B-1050, Belg.  
 SO Actualites de Chimie Therapeutique (1996), 22, 93-99  
 CODEN: ACHTD9; ISSN: 0338-8999  
 PB Editions Scientifiques et Medicales Elsevier  
 DT Journal  
 LA English  
 AB In this symposium work, the authors present the strategy to prepare highly  
 constrained opioid peptide analogs and discuss the bioactive conformation of  
 the tripeptides (whose conformation is important for potency and selectivity)  
 at the N-termini of dermorphin and deltorphin. For dermorphin analogs  
 containing constrained amino acids, the receptor-binding affinities for  $\mu$ ,  $\delta$ ,  
 and  $\kappa$  opioid receptors were given.  
 IT **183617-54-1 217090-94-3 217090-96-5**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); BIOL (Biological study)  
 (effects of side-chain conformational constraints in opioid  
 peptidomimetics to receptor-binding affinities)  
 RN 183617-54-1 CAPLUS  
 CN D-Alaninamide, L-tyrosyl-N-[(4S)-2-(carboxymethyl)-2,3,4,5-tetrahydro-3-  
 oxo-1H-2-benzazepin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 217090-94-3 CAPLUS  
 CN Dermorphin, 3-[(4S)-4-amino-1,3,4,5-tetrahydro-3-oxo-2H-2-benzazepine-2-  
 acetic acid]- (9CI) (CA INDEX NAME)

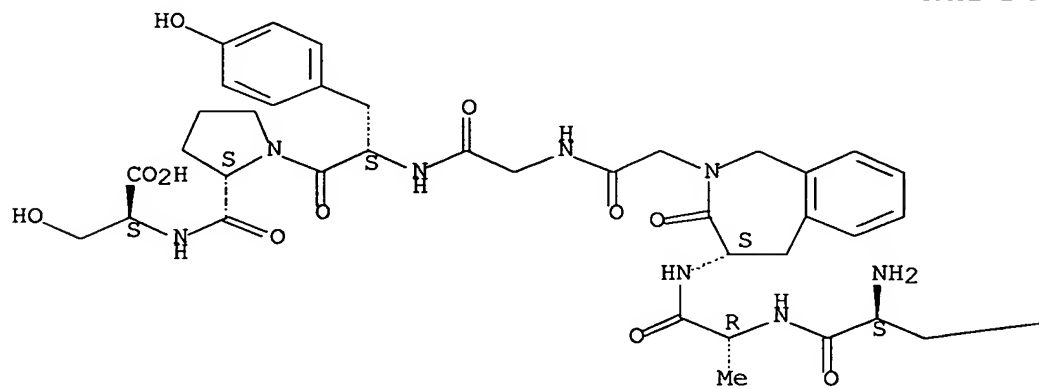
Absolute stereochemistry.

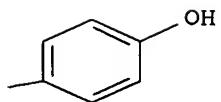


RN 217090-96-5 CAPLUS

CN Dermorphin, 3-[(4S)-4-amino-1,3,4,5-tetrahydro-3-oxo-2H-2-benzazepine-2-acetic acid]-7-L-serine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





IT 217090-88-5

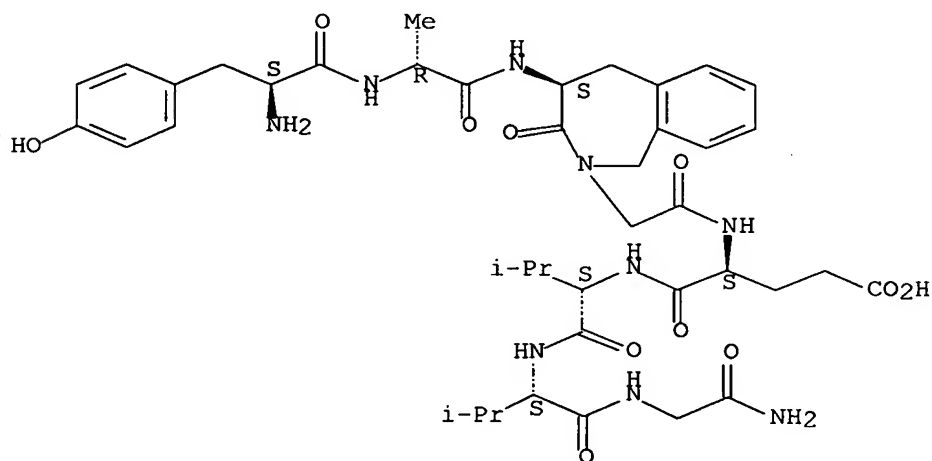
RL: PRP (Properties)

(effects of side-chain conformational constraints in opioid  
peptidomimetics to receptor-binding affinities)

RN 217090-88-5 CAPLUS

CN Glycinamide, L-tyrosyl-D-alanyl-(4S)-4-amino-1,3,4,5-tetrahydro-3-oxo-2H-2-  
benzazepine-2-acetyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 42 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:479505 CAPLUS Full-text

DN 129:122870

TI Preparation of cycloalkyl, lactam, lactone and related compounds for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis

IN Wu, Jing; Tung, Jay S.; Thorsett, Eugene D.; Pleiss, Michael A.; Nissen, Jeffrey S.; Neitz, Jeffrey; Latimer, Lee H.; John, Varghese; Freedman, Stephen; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Droste, James J.; Henry, Steven S.; Mcdaniel, Stacey L.; Scott, William Leonard; Stucky, Russell D.; Porter, Warren J.

PA Athena Neurosciences, Inc., USA; Eli Lilly & Co.

SO PCT Int. Appl., 889 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 9828268	A2	19980702	WO 1997-US22986	19971222
	WO 9828268	A3	19981008		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9711537	A	19980625	ZA 1997-11537	19971222
	CA 2272305	AA	19980702	CA 1997-2272305	19971222
	AU 9857007	A1	19980717	AU 1998-57007	19971222
	AU 749658	B2	20020627		
	EP 951466	A2	19991027	EP 1997-953208	19971222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1242007	A	20000119	CN 1997-180901	19971222
	BR 9714517	A	20000704	BR 1997-14517	19971222
	JP 2000511932	T2	20000912	JP 1998-528867	19971222
	NZ 335583	A	20010330	NZ 1997-335583	19971222
	TW 568914	B	20040101	TW 1997-86119638	19971223
	MX 9905844	A	20000731	MX 1999-5844	19990621
	NO 9903098	A	19990820	NO 1999-3098	19990622
	US 2002045747	A1	20020418	US 2001-916282	20010730
	US 2002055500	A1	20020509	US 2001-916440	20010730
	US 6653303	B1	20031125	US 2003-336824	20030106
	US 6667305	B1	20031223	US 2003-336745	20030106
	US 6683075	B1	20040127	US 2003-336806	20030106
	US 2004043977	A1	20040304	US 2003-336687	20030106
	US 2004058900	A1	20040325	US 2003-336767	20030106
	US 2005203080	A1	20050915	US 2003-733877	20031212
	US 2005182046	A1	20050818	US 2004-777247	20040213
	US 2005215541	A1	20050929	US 2004-951992	20040929
	US 6951854	B2	20051004		
	US 2005272666	A1	20051208	US 2004-1610	20041202
PRAI	US 1996-64851P	P	19961223		
	US 1996-780025	A1	19961223		
	US 1997-996422	A3	19971222		
	WO 1997-US22986	W	19971222		
	US 2001-915263	A1	20010726		

US 2001-915342	A3	20010727
US 2001-915362	A3	20010727
US 2001-915379	A3	20010727
US 2001-915480	A3	20010727
US 2001-915564	A3	20010727
US 2001-916440	A1	20010730
US 2003-336687	B3	20030106
US 2003-336767	A3	20030106

OS MARPAT 129:122870

AB Disclosed are compds.  $R_1ZmNHYNCHpR_2C(X)R_3$  [ $R_1$  = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl or aryl, heteroaryl, or heterocyclic;  $R_2$  and  $R_3$  form a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl ring which is optionally fused;  $X$  = oxo, thioxo, hydroxyl, thiol, or hydro;  $Y$  =  $CHR_4CONH$  where  $R_4$  = (un)substituted alkyl, alkenyl, or alkynyl or cycloalkyl, aryl, heteroaryl, or heterocyclic;  $Z$  is  $TCX'X''CO$  where  $T$  is a bond,  $O$ ,  $S$ ,  $NR_5$  ( $R_5$  =  $H$ , acyl, alkyl, aryl, or heteroaryl),  $X'$  and  $X''$  are  $H$ ,  $OH$ , or  $F$  or  $X'X''$  = oxo;  $m$ ,  $p$  =  $0$ ,  $1$ ;  $n$  =  $0$ ,  $1$ ,  $2$ ] which inhibit  $\beta$ -amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Thus, 3-[[ $N'$ -(3,4- methylenedioxyphenylacetyl)- $L$ -alaninyl]amino]-2,3-dihydro-1-methyl-5- phenyl-1H-1,4-benzodiazepin-2-one was prepared by coupling of 3-( $L$ -alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2- one with 3,4-methylenedioxyphenylacetic acid.

IT 209983-57-3P 209984-09-8P 209984-10-1P  
 209984-11-2P 209984-13-4P 209984-14-5P  
 209984-15-6P 209984-17-8P 209984-18-9P  
 209984-23-6P 209984-24-7P 209984-25-8P  
 209984-26-9P 209984-27-0P 209984-29-2P  
 209984-56-5P 209984-57-6P 209984-58-7P  
 209984-59-8P 209984-60-1P 209984-61-2P  
 209984-62-3P 209984-63-4P 209984-64-5P  
 209984-65-6P 209984-66-7P 209984-67-8P  
 209984-68-9P 209984-69-0P 209984-70-3P  
 209984-71-4P 209984-72-5P 209984-73-6P  
 209984-74-7P 209984-75-8P 209984-76-9P  
 209984-77-0P 209984-78-1P 209984-80-5P  
 209984-81-6P 209984-82-7P 209984-83-8P  
 209984-84-9P 209984-85-0P 209984-86-1P  
 209984-87-2P 209984-88-3P 209984-89-4P  
 209984-90-7P 209984-91-8P 209984-92-9P  
 209984-93-0P 209984-94-1P 209992-82-5P  
 209992-83-6P 209992-84-7P 209992-85-8P  
 209992-86-9P 209992-87-0P 209992-88-1P  
 209992-89-2P 209992-90-5P 209992-91-6P  
 209992-92-7P 209992-93-8P 209992-94-9P  
 209992-95-0P 209992-96-1P 209992-97-2P  
 209992-98-3P 209992-99-4P 209993-00-0P  
 209993-01-1P 209993-02-2P 209993-03-3P  
 209993-04-4P 209993-05-5P 209993-06-6P  
 209993-07-7P 209993-08-8P 209993-09-9P  
 209993-10-2P 209993-11-3P 209993-12-4P  
 209993-13-5P 209993-14-6P 209993-15-7P  
 209993-16-8P 209993-17-9P 209993-18-0P  
 209993-19-1P 209993-20-4P 209993-21-5P  
 209993-22-6P 209993-23-7P 209993-24-8P  
 209993-25-9P 209993-26-0P 209993-27-1P  
 209993-28-2P 209993-29-3P 209993-30-6P  
 209993-31-7P 209993-32-8P 209993-33-9P  
 209993-34-0P 209993-35-1P 209993-36-2P  
 209993-37-3P 209993-38-4P 209993-39-5P



209993-40-8P 209993-41-9P 209993-42-0P  
 209993-43-1P 209993-44-2P 209993-45-3P  
 209993-46-4P 209993-47-5P 209993-48-6P  
 209993-49-7P 209993-50-0P 209993-51-1P  
 209993-52-2P 209993-53-3P 209993-54-4P  
 209993-55-5P 209993-56-6P 209993-57-7P  
 209993-58-8P 209993-59-9P 209993-60-2P  
 209993-61-3P 209993-62-4P 209993-63-5P  
 209993-64-6P 209993-65-7P 209993-66-8P  
 209993-67-9P 209993-68-0P 209993-69-1P  
 209993-70-4P 209993-71-5P 209993-72-6P  
 209993-73-7P 209993-74-8P 209993-75-9P  
 209993-76-0P 209993-77-1P 209993-78-2P  
 209993-79-3P 209993-80-6P 209993-81-7P  
 209993-82-8P 209993-83-9P 209993-84-0P  
 209993-85-1P 209993-86-2P 209993-87-3P  
 209993-88-4P 209993-89-5P 209993-90-8P  
 209993-91-9P 209993-92-0P 209993-93-1P  
 209993-94-2P 209993-95-3P 209993-96-4P  
 209993-97-5P 209993-98-6P 209993-99-7P  
 209994-00-3P 209994-01-4P 209994-02-5P  
 209994-03-6P 209994-04-7P 209994-05-8P  
 209994-07-0P 209994-08-1P 209994-09-2P  
 209994-10-5P 209994-11-6P 209994-12-7P  
 209994-13-8P 209994-14-9P 209994-15-0P  
 209994-16-1P 209994-17-2P 209994-18-3P  
 209994-19-4P 209994-20-7P 209994-21-8P  
 209994-22-9P 209994-23-0P 209994-24-1P  
 209994-25-2P 209994-26-3P 209994-27-4P  
 209994-28-5P 209994-29-6P 209994-30-9P  
 209994-31-0P 209994-32-1P 209994-33-2P  
 209994-34-3P 209994-35-4P 209994-36-5P  
 209994-37-6P 209994-38-7P 209994-39-8P  
 209994-40-1P 209994-41-2P 209994-42-3P  
 209994-43-4P 209994-44-5P 209994-45-6P  
 209994-46-7P 209994-47-8P 209994-48-9P  
 209994-49-0P 209994-50-3P 209994-51-4P  
 209994-52-5P 209994-53-6P 209994-54-7P  
 209994-55-8P 209994-56-9P 209994-57-0P  
 209994-58-1P 209994-59-2P 209994-60-5P  
 209994-61-6P 209994-62-7P 209994-63-8P  
 209994-64-9P

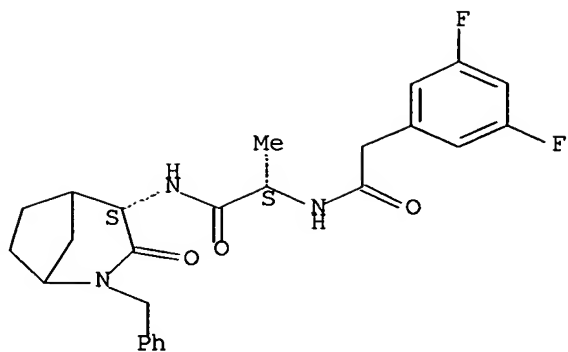
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cycloalkyl, lactam, lactone and related compds. for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis)

RN 209983-57-3 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (4S)-3-oxo-2-(phenylmethyl)-2-azabicyclo[3.2.1]oct-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

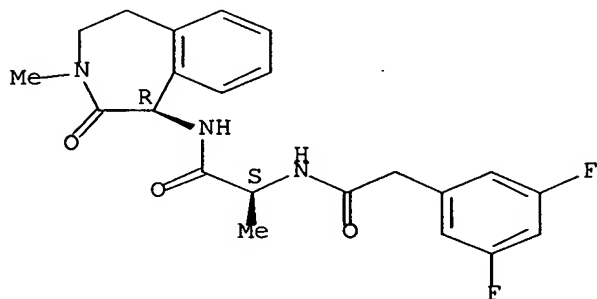
Absolute stereochemistry.



RN 209984-09-8 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (1R)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

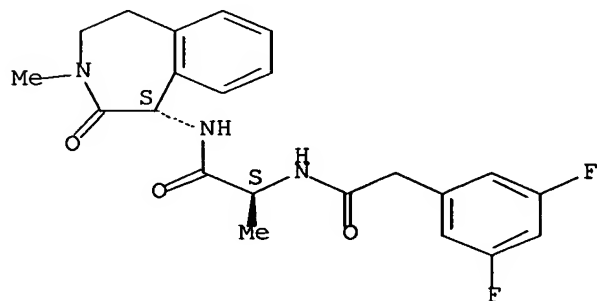
Absolute stereochemistry.



RN 209984-10-1 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (1S)-2,3,4,5-tetrahydro-3-methyl-2-oxo-1H-3-benzazepin-1-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

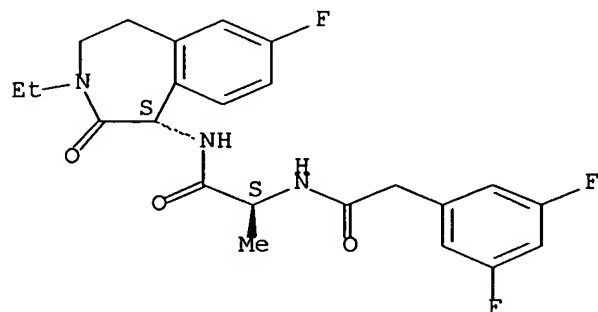
Absolute stereochemistry.



RN 209984-11-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[ (1S)-3-ethyl-7-fluoro-2,3,4,5-tetrahydro-2-oxo-1H-3-benzazepin-1-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

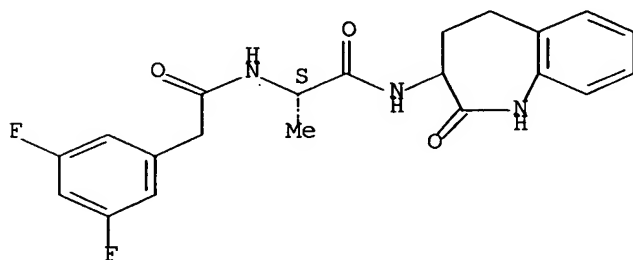
Absolute stereochemistry.



RN 209984-13-4 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

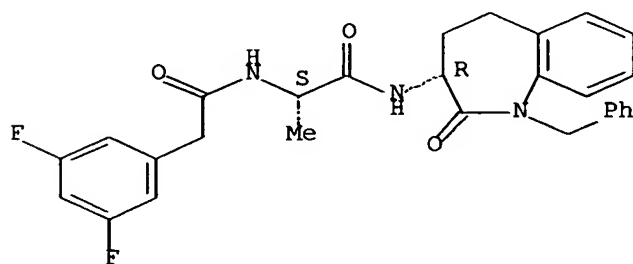
Absolute stereochemistry.



RN 209984-14-5 CAPLUS

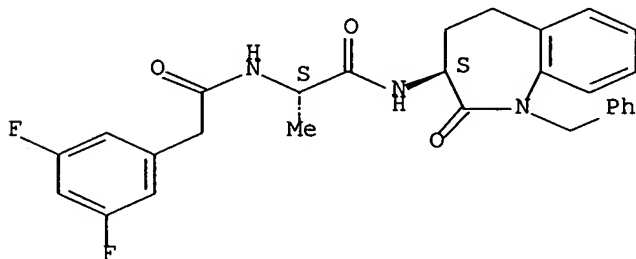
CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (3R)-2,3,4,5-tetrahydro-2-oxo-1-(phenylmethyl)-1H-1-benzazepin-3-yl]amino]ethyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



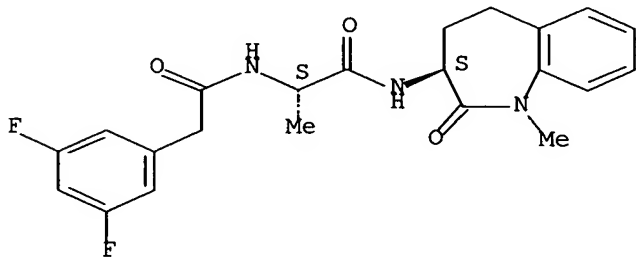
RN 209984-15-6 CAPLUS  
CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (3S)-2,3,4,5-tetrahydro-2-oxo-1-(phenylmethyl)-1H-1-benzazepin-3-yl]amino]ethyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



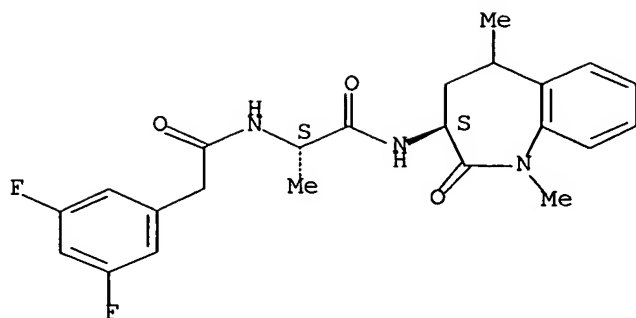
RN 209984-17-8 CAPLUS  
CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (3S)-2,3,4,5-tetrahydro-1-methyl-2-oxo-1H-1-benzazepin-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209984-18-9 CAPLUS  
CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[[ (3S)-2,3,4,5-tetrahydro-1,5-dimethyl-2-oxo-1H-1-benzazepin-3-yl]amino]ethyl]- (9CI)  
(CA INDEX NAME)

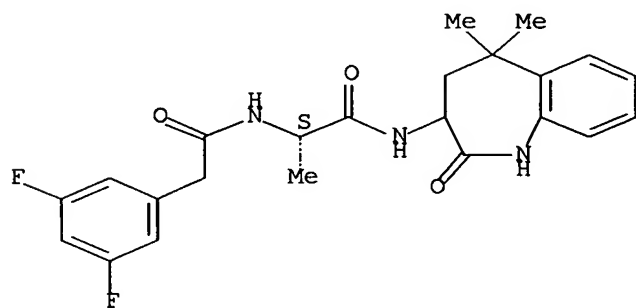
Absolute stereochemistry.



RN 209984-23-6 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-3-yl)amino]ethyl]- (9CI)  
(CA INDEX NAME)

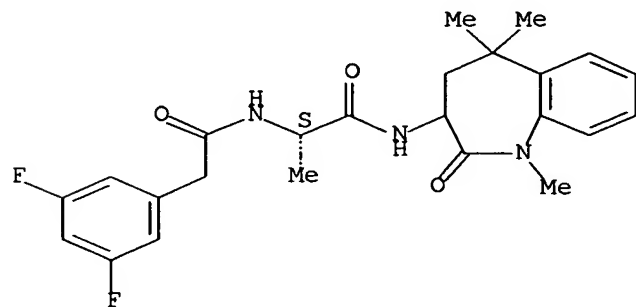
Absolute stereochemistry.



RN 209984-24-7 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-3-yl)amino]ethyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

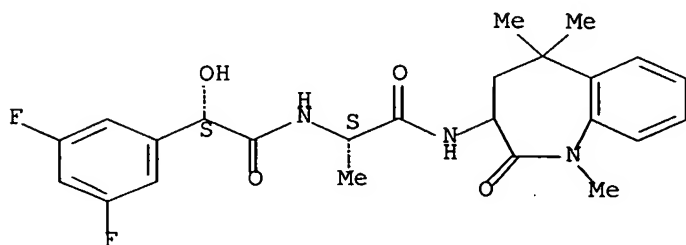


RN 209984-25-8 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-α-hydroxy-N-[(1S)-1-methyl-2-oxo-2-

[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-3-yl)amino]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

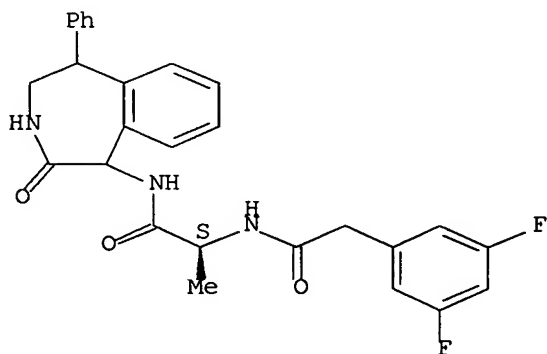
Absolute stereochemistry.



RN 209984-26-9 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-2-oxo-5-phenyl-1H-3-benzazepin-1-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

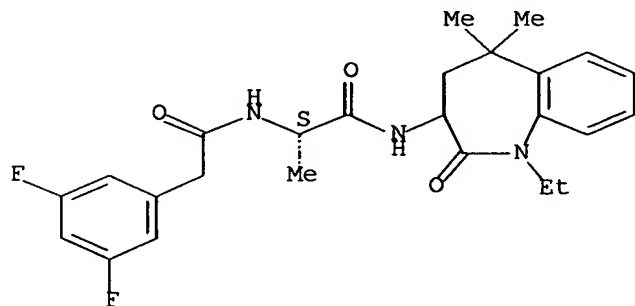
Absolute stereochemistry.



RN 209984-27-0 CAPLUS

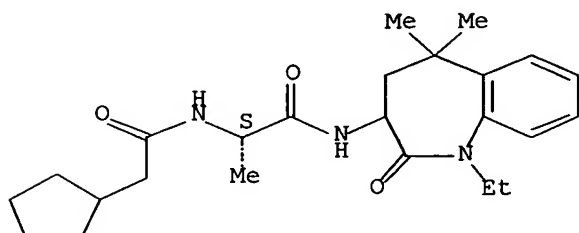
CN Benzeneacetamide, N-[(1S)-2-[(1-ethyl-2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-3-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



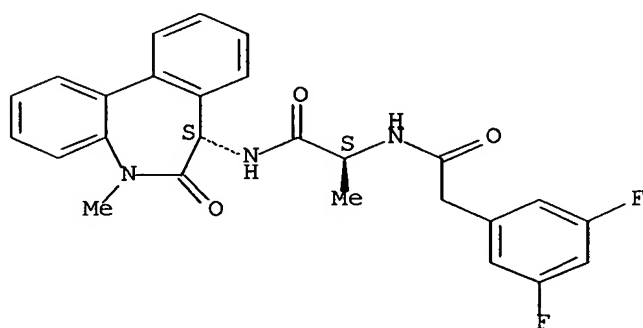
RN 209984-29-2 CAPLUS  
 CN Cyclopentaneacetamide, N-[(1S)-2-[(1-ethyl-2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-3-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



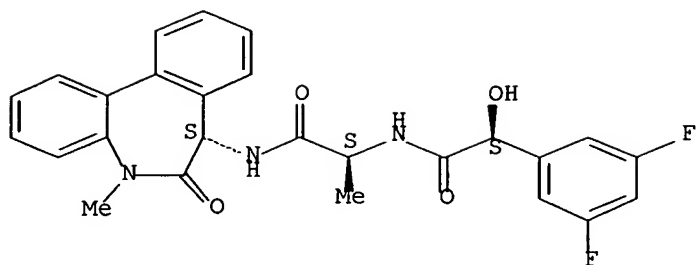
RN 209984-56-5 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209984-57-6 CAPLUS  
 CN Benzeneacetamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

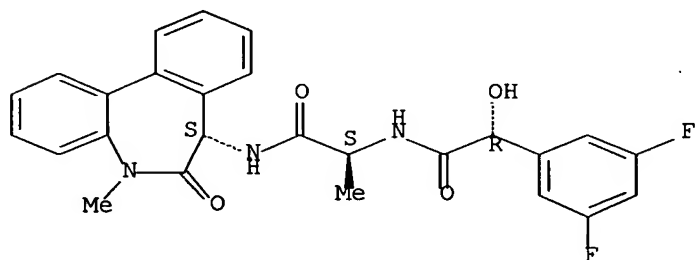
Absolute stereochemistry. Rotation (-).



RN 209984-58-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro-α-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

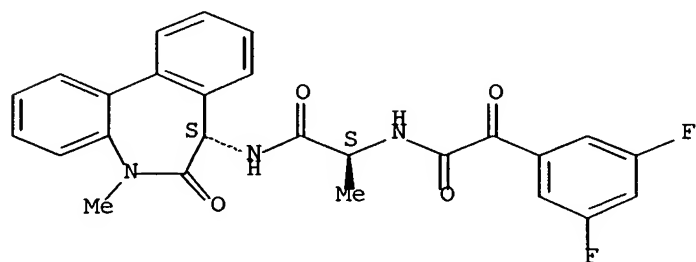
Absolute stereochemistry. Rotation (-).



RN 209984-59-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

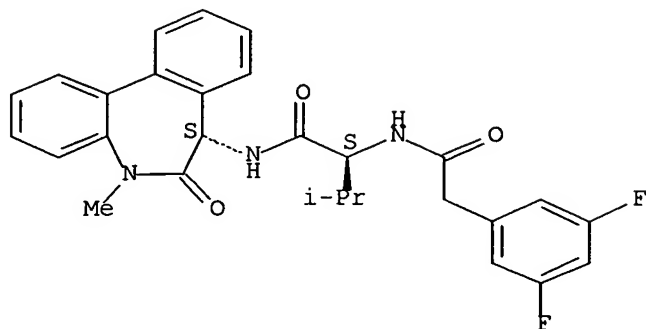


RN 209984-60-1 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



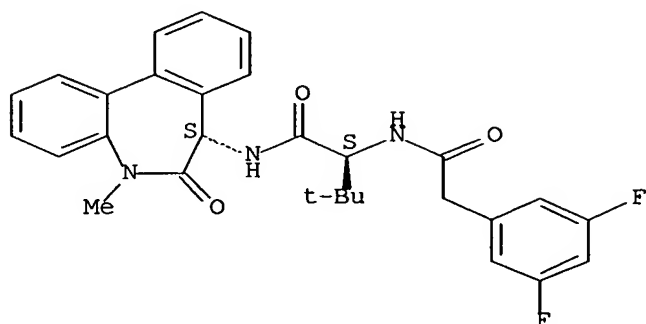
Absolute stereochemistry. Rotation (-).



RN 209984-61-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2,2-dimethylpropyl]-3,5-difluoro-  
(9CI) (CA INDEX NAME)

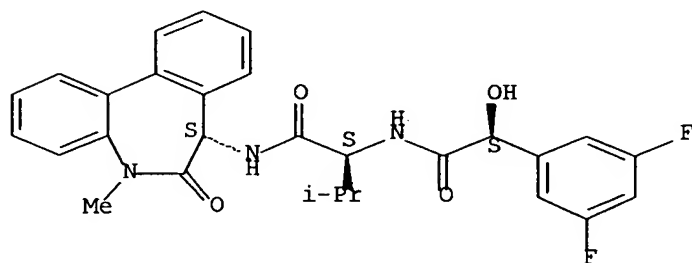
Absolute stereochemistry. Rotation (-).



RN 209984-62-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-3,5-difluoro-  
 $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

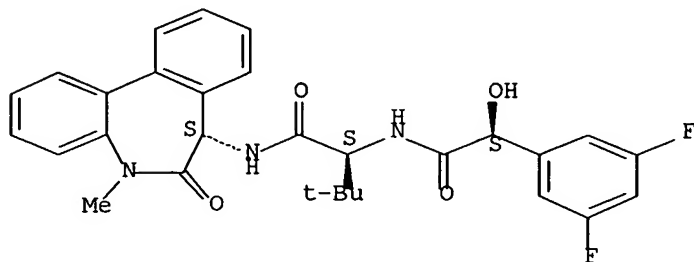
Absolute stereochemistry.



RN 209984-63-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2,2-dimethylpropyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

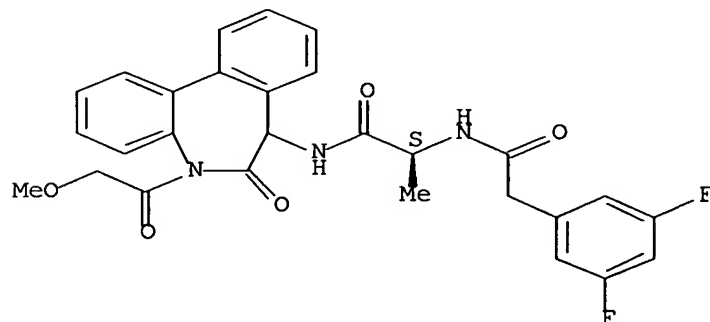
Absolute stereochemistry.



RN 209984-64-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[6,7-dihydro-5-(methoxyacetyl)-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

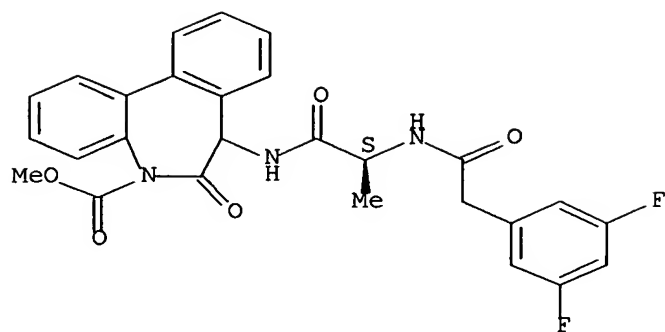
Absolute stereochemistry.



RN 209984-65-6 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-carboxylic acid, 7-[[[(2S)-2-[[[3,5-difluorophenyl]acetyl]amino]-1-oxopropyl]amino]-6,7-dihydro-6-oxo-, methyl ester (9CI) (CA INDEX NAME)

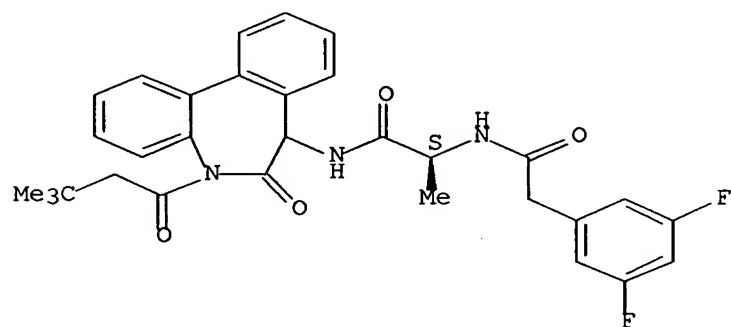
Absolute stereochemistry.



RN 209984-66-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[5-(3,3-dimethyl-1-oxobutyl)-6,7-dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

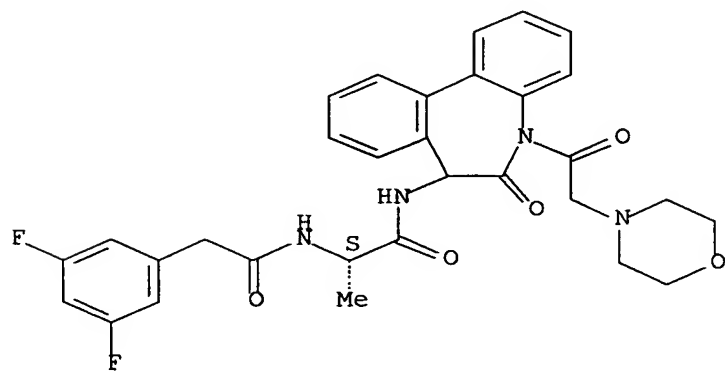
Absolute stereochemistry.



RN 209984-67-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[6,7-dihydro-5-(4-morpholinylacetyl)-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

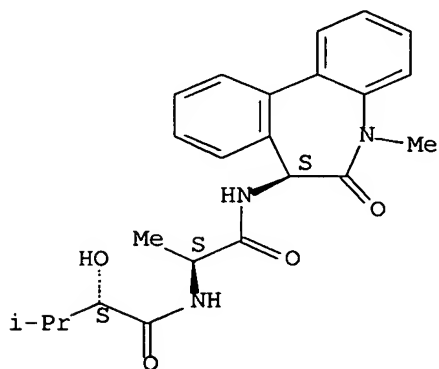
Absolute stereochemistry.



RN 209984-68-9 CAPLUS

CN Butanamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-2-hydroxy-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

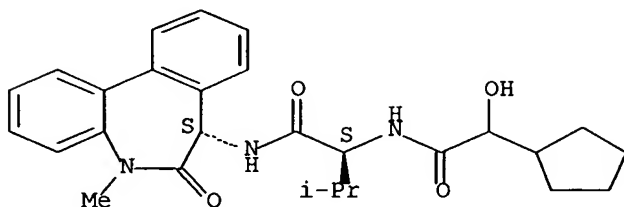
Absolute stereochemistry. Rotation (+).



RN 209984-69-0 CAPLUS

CN Cyclopentaneacetamide, N-[(1S)-1-[[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

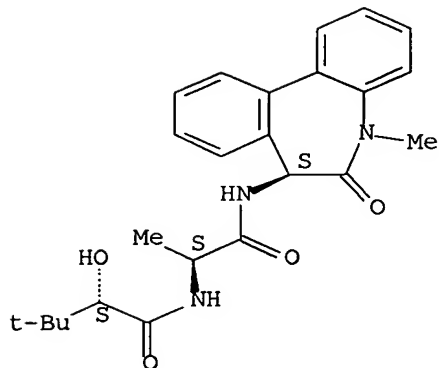
Absolute stereochemistry.



RN 209984-70-3 CAPLUS

CN Butanamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-2-hydroxy-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

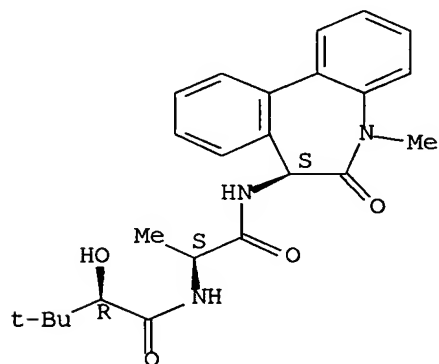
Absolute stereochemistry.



RN 209984-71-4 CAPLUS

CN Butanamide, N-[(1S)-2-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-2-hydroxy-3,3-dimethyl-, (2R)-(9CI) (CA INDEX NAME)

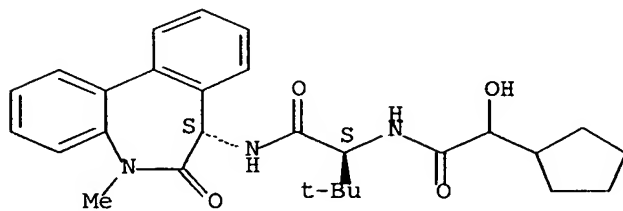
Absolute stereochemistry.



RN 209984-72-5 CAPLUS

CN Cyclopentaneacetamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2,2-dimethylpropyl]-alpha-hydroxy-, (9CI) (CA INDEX NAME)

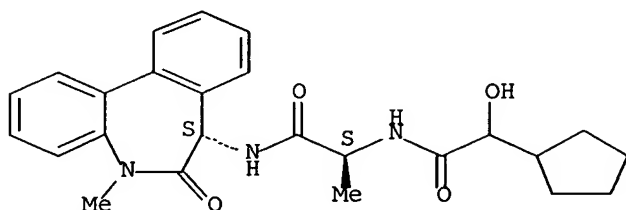
Absolute stereochemistry.



RN 209984-73-6 CAPLUS

CN Cyclopentaneacetamide, N-[(1S)-2-[[ (7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]- $\alpha$ -hydroxy- (9CI)  
(CA INDEX NAME)

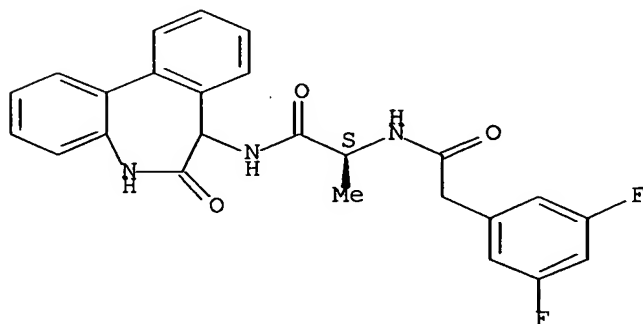
Absolute stereochemistry.



RN 209984-74-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

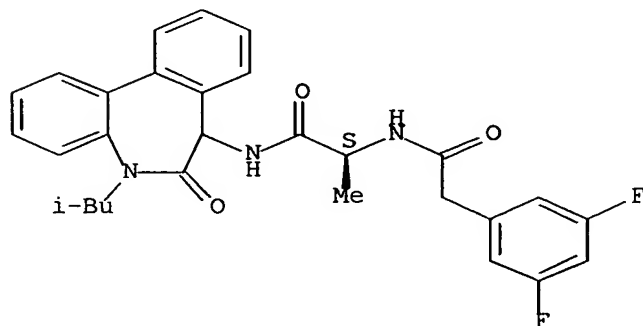
Absolute stereochemistry.



RN 209984-75-8 CAPLUS

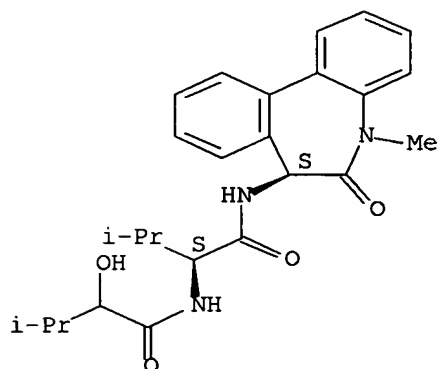
CN Benzeneacetamide, N-[(1S)-2-[[6,7-dihydro-5-(2-methylpropyl)-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



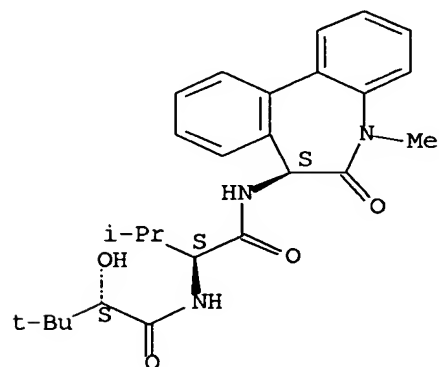
RN 209984-76-9 CAPLUS  
 CN Butanamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-2-hydroxy-3-methyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



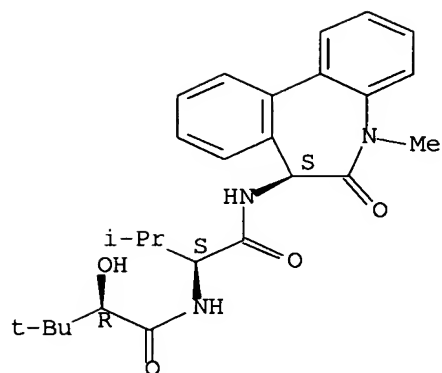
RN 209984-77-0 CAPLUS  
 CN Butanamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-2-hydroxy-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209984-78-1 CAPLUS  
 CN Butanamide, N-[(1S)-1-[[[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-2-hydroxy-3,3-dimethyl-, (2R)- (9CI) (CA INDEX NAME)

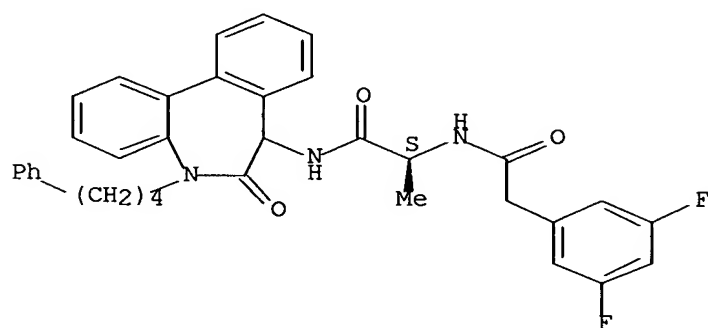
Absolute stereochemistry.



RN 209984-80-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[6,7-dihydro-6-oxo-5-(4-phenylbutyl)-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

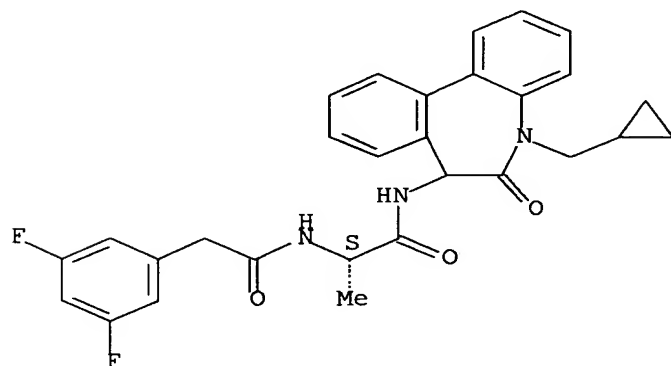
Absolute stereochemistry.



RN 209984-81-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[5-(cyclopropylmethyl)-6,7-dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

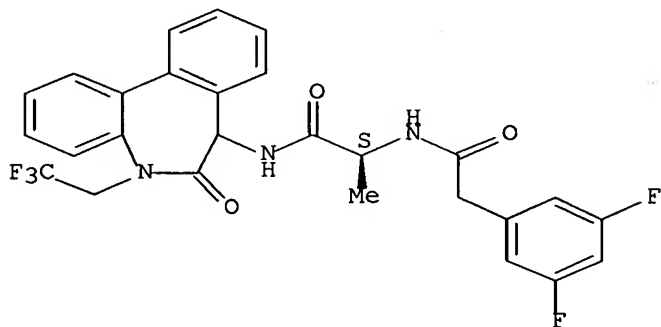




RN 209984-82-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[6,7-dihydro-6-oxo-5-(2,2,2-trifluoroethyl)-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

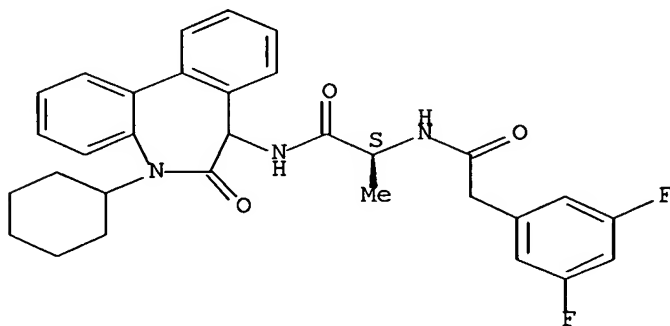
Absolute stereochemistry.



RN 209984-83-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(5-cyclohexyl-6,7-dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

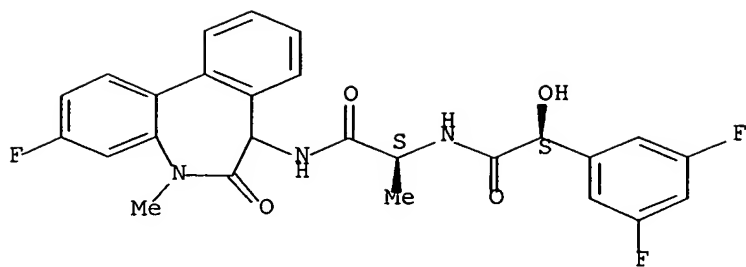
Absolute stereochemistry.



RN 209984-84-9 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-2-[(3-fluoro-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

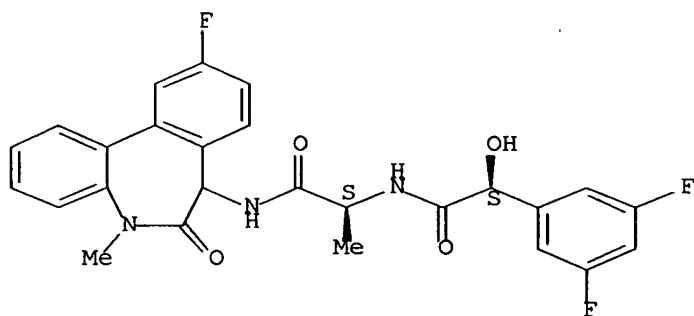
Absolute stereochemistry.



RN 209984-85-0 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-2-[(10-fluoro-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

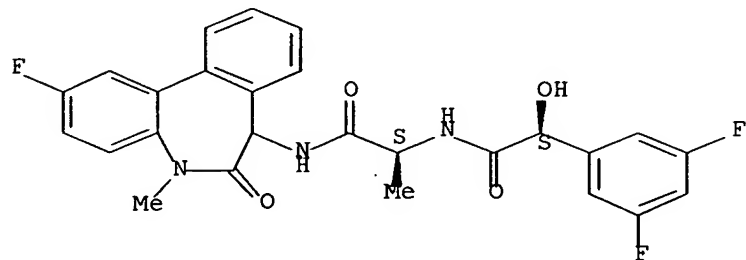
Absolute stereochemistry.



RN 209984-86-1 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-2-[(2-fluoro-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

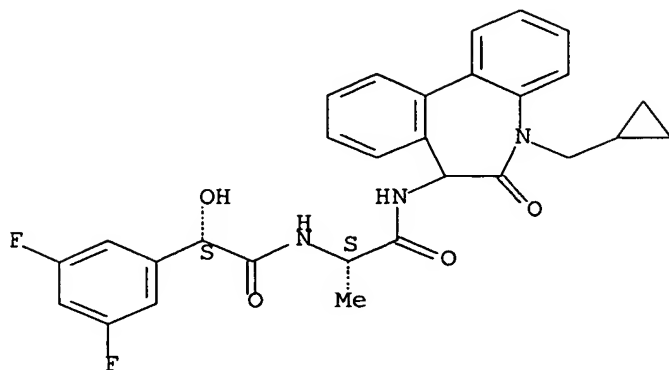


RN 209984-87-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[5-(cyclopropylmethyl)-6,7-dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro-α-

hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

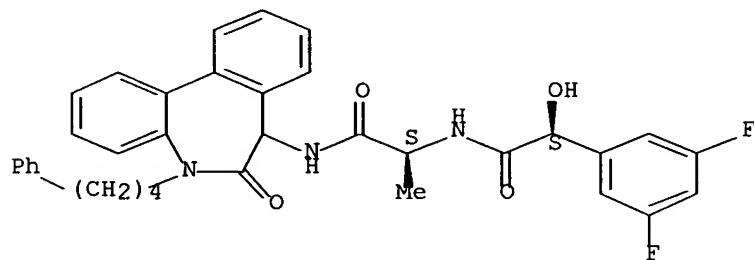
Absolute stereochemistry.



RN 209984-88-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[6,7-dihydro-6-oxo-5-(4-phenylbutyl)-5H-dibenz[b,d]azepin-7-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

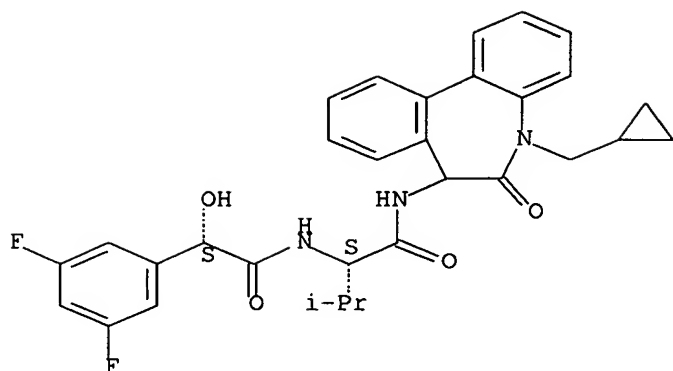
Absolute stereochemistry.



RN 209984-89-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[5-(cyclopropylmethyl)-6,7-dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-3,5-difluoro- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

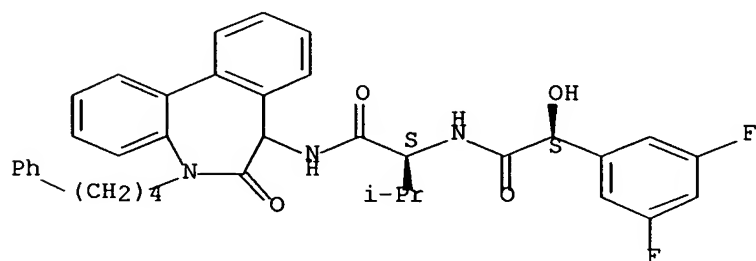
Absolute stereochemistry.



RN 209984-90-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[6,7-dihydro-6-oxo-5-(4-phenylbutyl)-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-3,5-difluoro-α-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

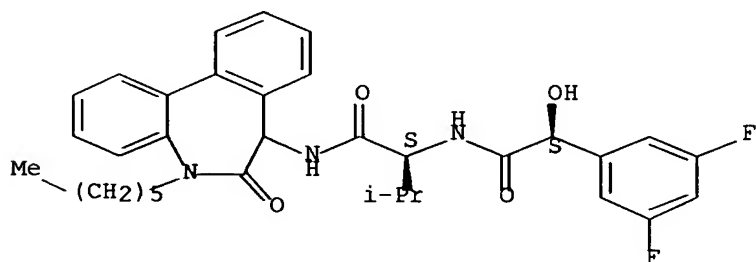
Absolute stereochemistry.



RN 209984-91-8 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-[[[5-hexyl-6,7-dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl]amino]carbonyl]-2-methylpropyl]-α-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

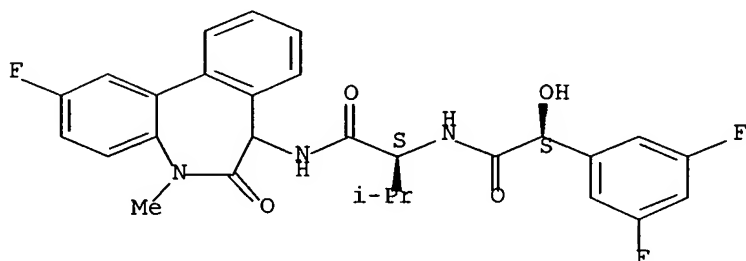
Absolute stereochemistry.



RN 209984-92-9 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-[[ (2-fluoro-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]-2-methylpropyl]- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

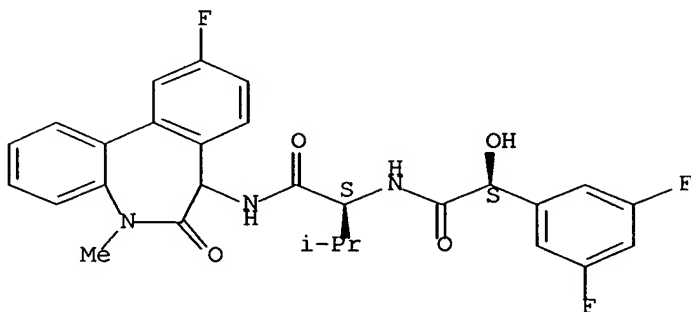
Absolute stereochemistry.



RN 209984-93-0 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-[[ (10-fluoro-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]-2-methylpropyl]- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

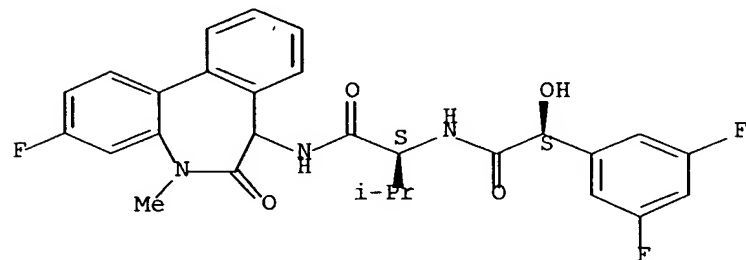
Absolute stereochemistry.



RN 209984-94-1 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-[[ (3-fluoro-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]-2-methylpropyl]- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

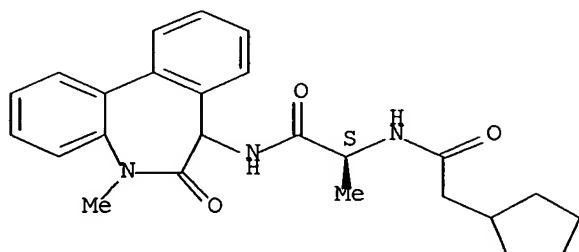
Absolute stereochemistry.



RN 209992-82-5 CAPLUS

CN Cyclopentaneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

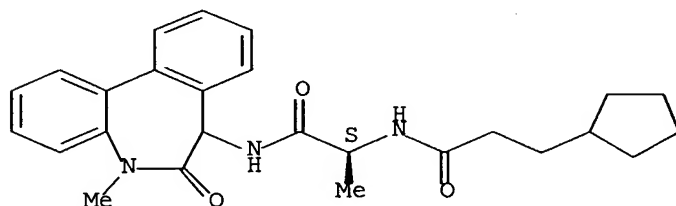
Absolute stereochemistry.



RN 209992-83-6 CAPLUS

CN Cyclopentanepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

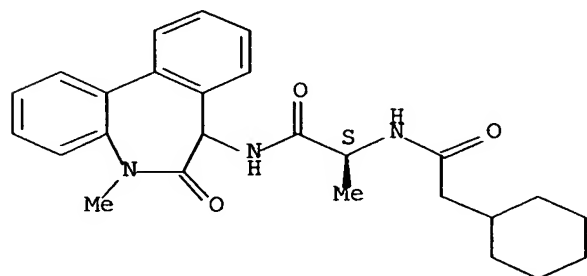
Absolute stereochemistry.



RN 209992-84-7 CAPLUS

CN Cyclohexaneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

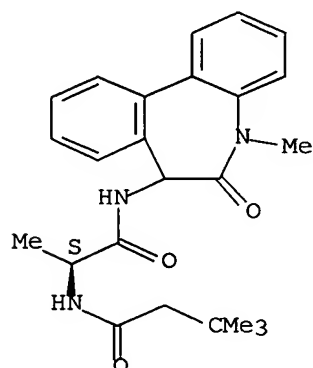
Absolute stereochemistry.



RN 209992-85-8 CAPLUS

CN Butanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

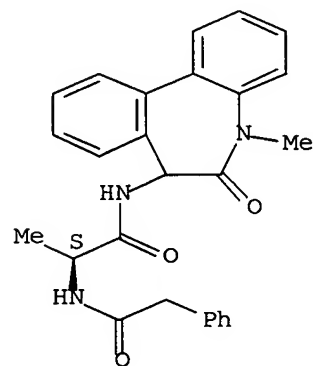
Absolute stereochemistry.



RN 209992-86-9 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

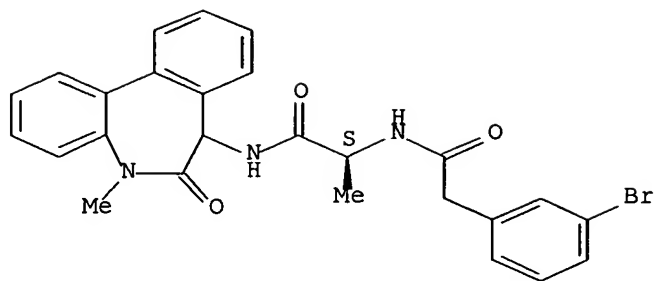
Absolute stereochemistry.



RN 209992-87-0 CAPLUS

CN Benzeneacetamide, 3-bromo-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

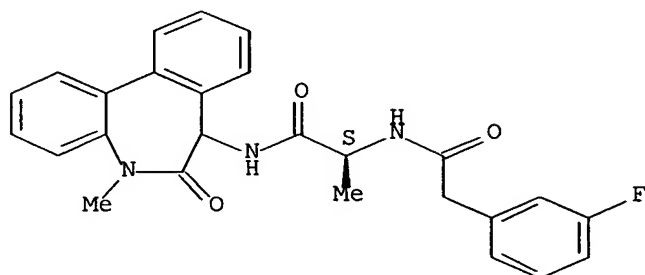
Absolute stereochemistry.



RN 209992-88-1 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-fluoro- (9CI) (CA INDEX NAME)

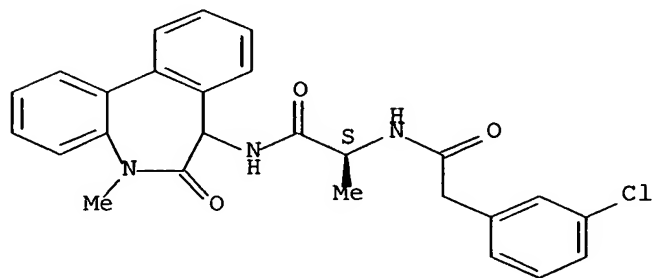
Absolute stereochemistry.



RN 209992-89-2 CAPLUS

CN Benzeneacetamide, 3-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

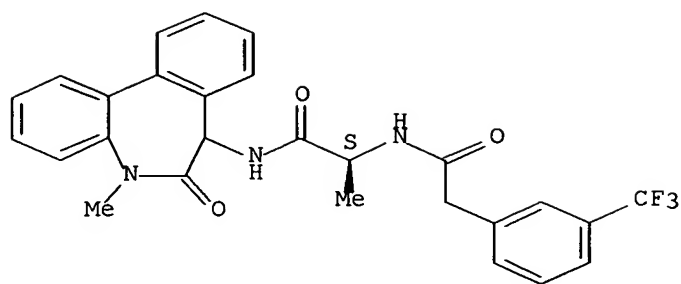


RN 209992-90-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

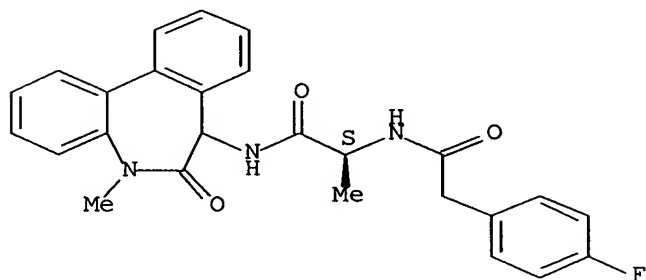




RN 209992-91-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-fluoro- (9CI) (CA INDEX NAME)

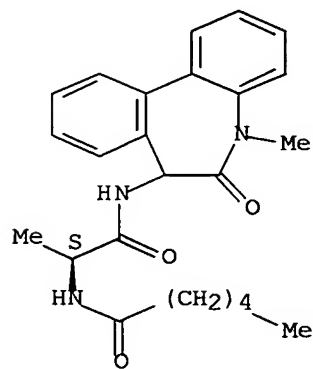
Absolute stereochemistry.



RN 209992-92-7 CAPLUS

CN Hexanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

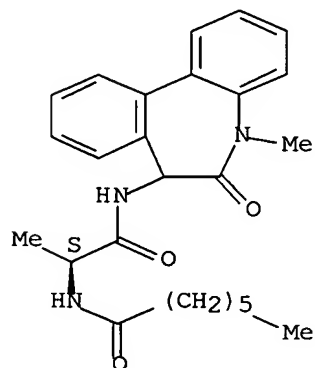
Absolute stereochemistry.



RN 209992-93-8 CAPLUS

CN Heptanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

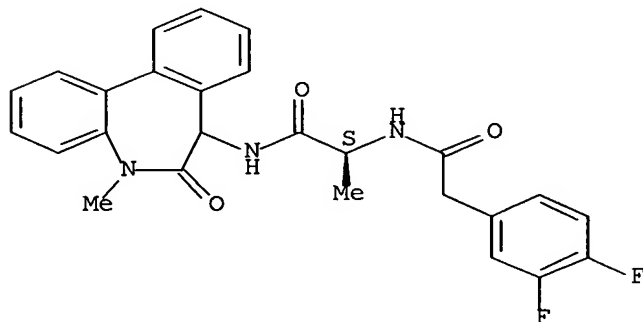
Absolute stereochemistry.



RN 209992-94-9 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

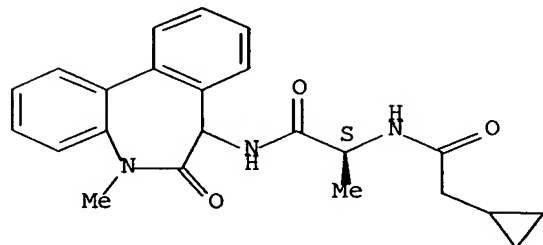
Absolute stereochemistry.



RN 209992-95-0 CAPLUS

CN Cyclopropaneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

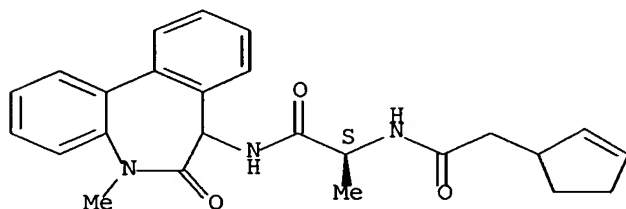
Absolute stereochemistry.



RN 209992-96-1 CAPLUS

CN 2-Cyclopentene-1-acetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

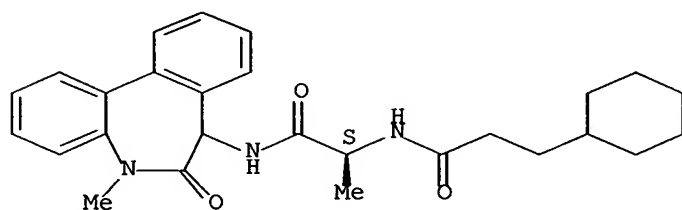
Absolute stereochemistry.



RN 209992-97-2 CAPLUS

CN Cyclohexanepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

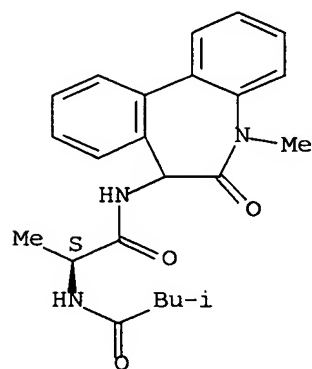
Absolute stereochemistry.



RN 209992-98-3 CAPLUS

CN Butanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-methyl- (9CI) (CA INDEX NAME)

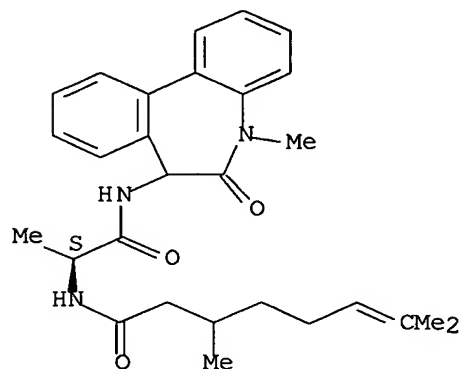
Absolute stereochemistry.



RN 209992-99-4 CAPLUS

CN 6-Octenamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)

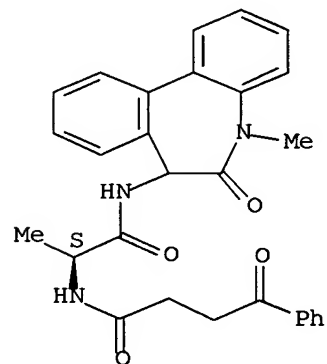
Absolute stereochemistry.



RN 209993-00-0 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-γ-oxo- (9CI) (CA INDEX NAME)

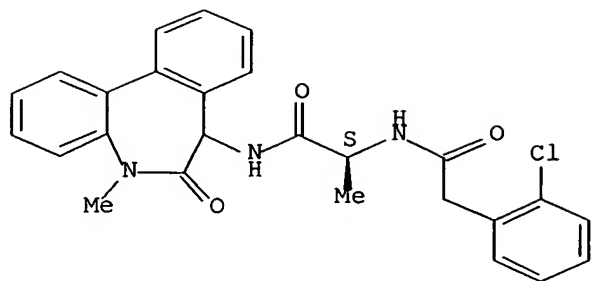
Absolute stereochemistry.



RN 209993-01-1 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

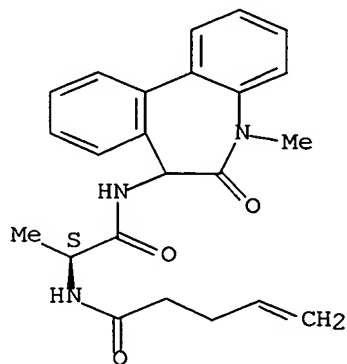
Absolute stereochemistry.



RN 209993-02-2 CAPLUS

CN 4-Pentenamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

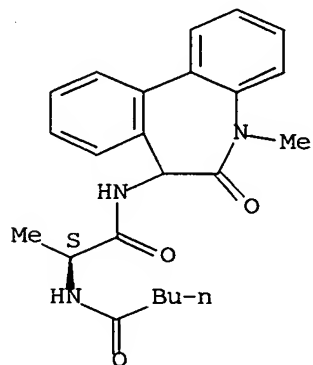
Absolute stereochemistry.



RN 209993-03-3 CAPLUS

CN Pentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

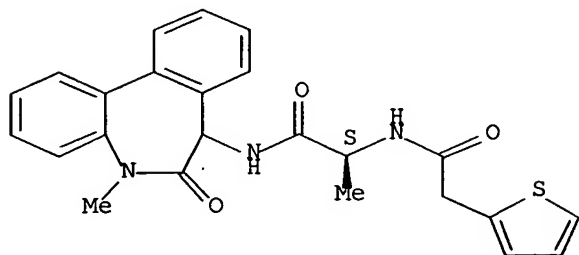
Absolute stereochemistry.



RN 209993-04-4 CAPLUS

CN 2-Thiopheneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

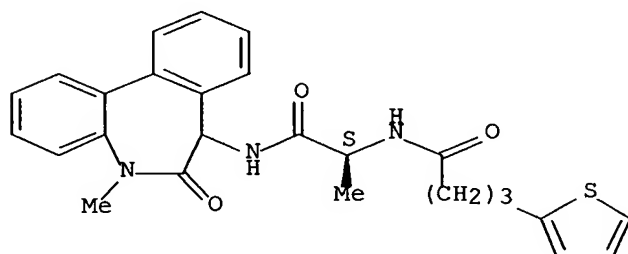
Absolute stereochemistry.



RN 209993-05-5 CAPLUS

CN 2-Thiophenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

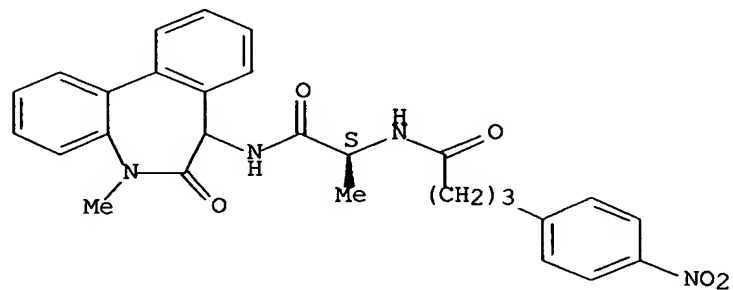
Absolute stereochemistry.



RN 209993-06-6 CAPLUS

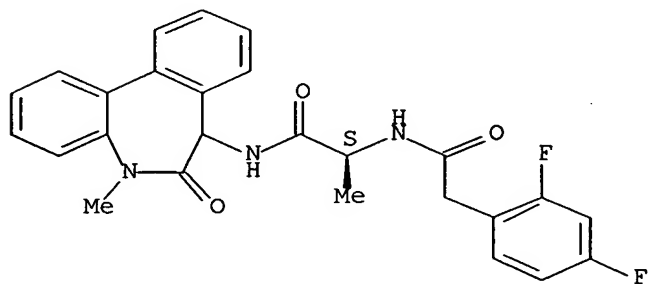
CN Benzenebutamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



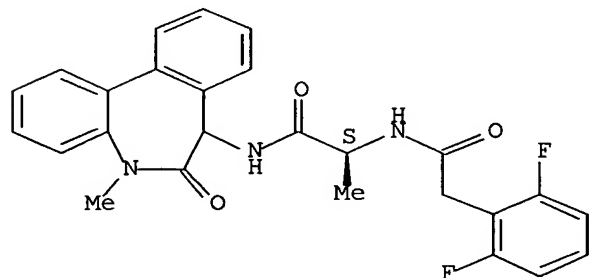
RN 209993-07-7 CAPLUS  
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,4-difluoro- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



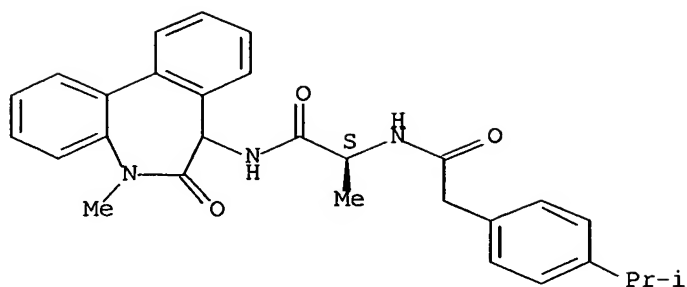
RN 209993-08-8 CAPLUS  
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,6-difluoro- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 209993-09-9 CAPLUS  
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

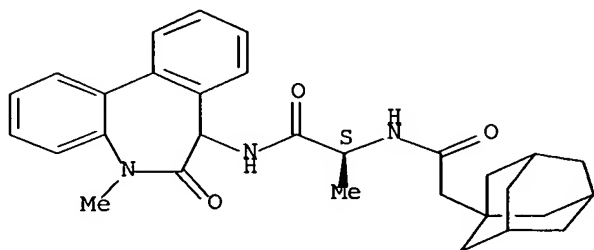
Absolute stereochemistry.



RN 209993-10-2 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-acetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

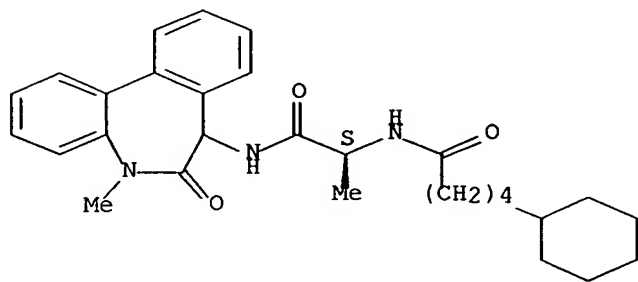
Absolute stereochemistry.



RN 209993-11-3 CAPLUS

CN Cyclohexanepentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

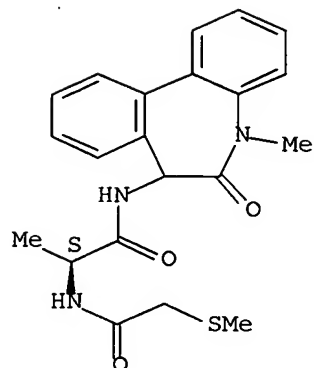


RN 209993-12-4 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[methylthio]acetyl]amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

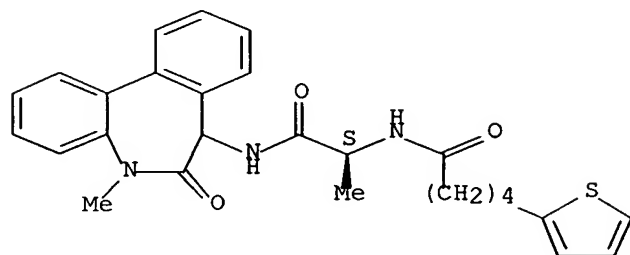




RN 209993-13-5 CAPLUS

CN 2-Thiophenepentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

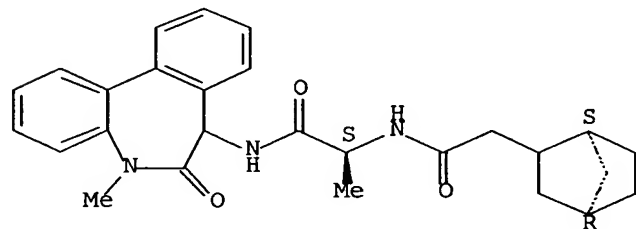
Absolute stereochemistry.



RN 209993-14-6 CAPLUS

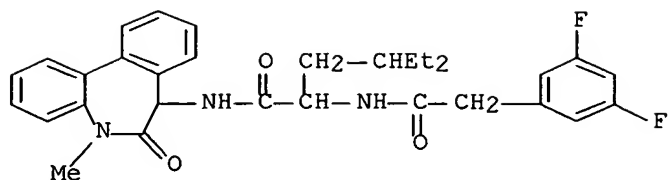
CN Bicyclo[2.2.1]heptane-2-acetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



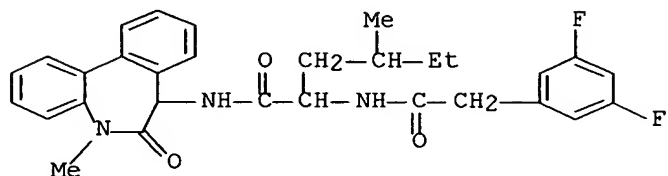
RN 209993-15-7 CAPLUS

CN Benzeneacetamide, N-[1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-ethylpentyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



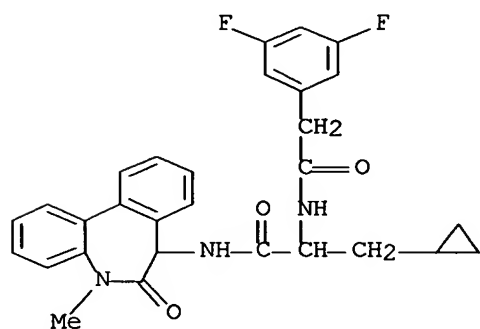
RN 209993-16-8 CAPLUS

CN Benzeneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino] carbonyl]-3-methylpentyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



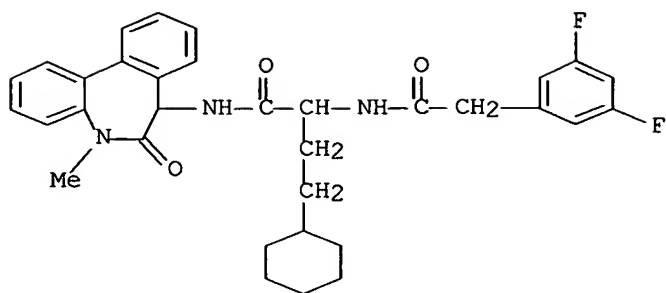
RN 209993-17-9 CAPLUS

CN Benzeneacetamide, N-[1-(cyclopropylmethyl)-2-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



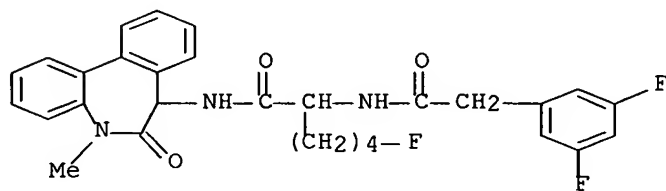
RN 209993-18-0 CAPLUS

CN Benzeneacetamide, N-[3-cyclohexyl-1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino] carbonyl]propyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



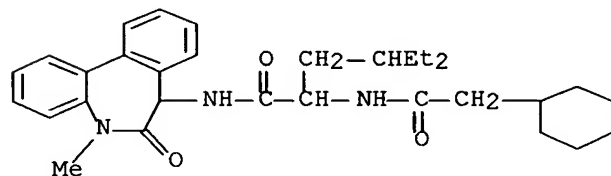
RN 209993-19-1 CAPLUS

CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-5-fluoropentyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



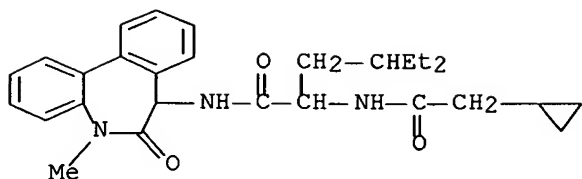
RN 209993-20-4 CAPLUS

CN Cyclohexaneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-ethylpentyl]- (9CI) (CA INDEX NAME)



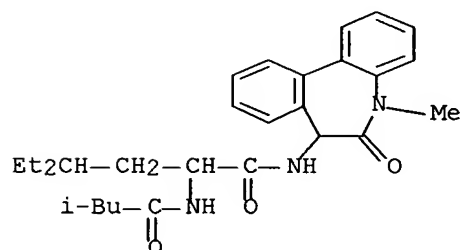
RN 209993-21-5 CAPLUS

CN Cyclopropaneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-ethylpentyl]- (9CI) (CA INDEX NAME)



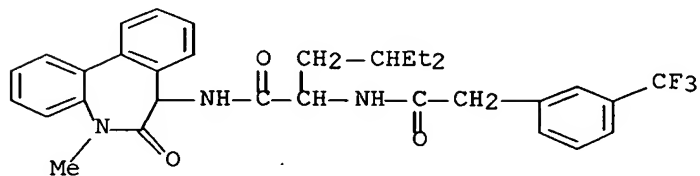
RN 209993-22-6 CAPLUS

CN Hexanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-4-ethyl-2-[(3-methyl-1-oxobutyl)amino]- (9CI) (CA INDEX NAME)



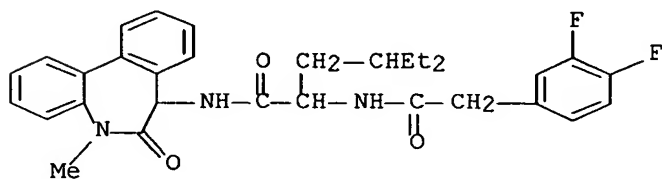
RN 209993-23-7 CAPLUS

CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-ethylpentyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



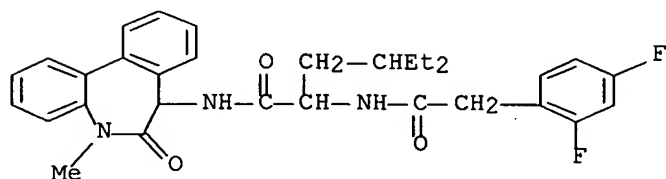
RN 209993-24-8 CAPLUS

CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-ethylpentyl]-3,4-difluoro- (9CI) (CA INDEX NAME)



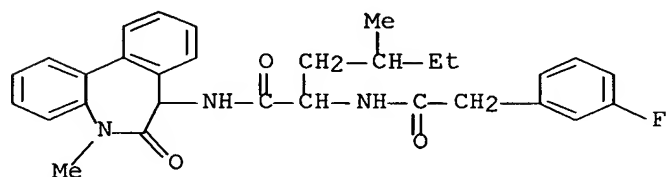
RN 209993-25-9 CAPLUS

CN Benzeneacetamide, N-[1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-ethylpentyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



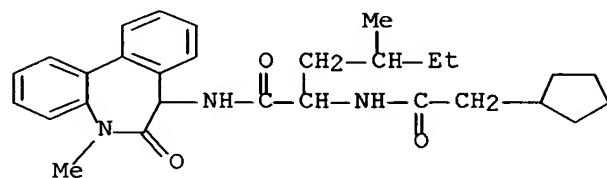
RN 209993-26-0 CAPLUS

CN Benzeneacetamide, N-[1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]-3-fluoro- (9CI) (CA INDEX NAME)



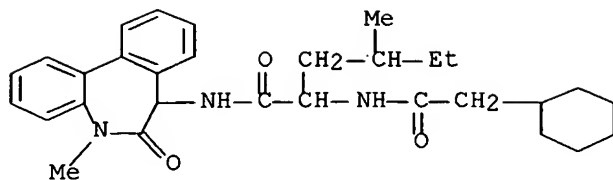
RN 209993-27-1 CAPLUS

CN Cyclopentaneacetamide, N-[1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]- (9CI) (CA INDEX NAME)



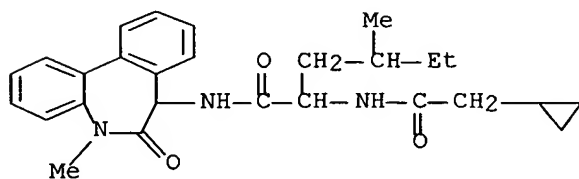
RN 209993-28-2 CAPLUS

CN Cyclohexaneacetamide, N-[1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]- (9CI) (CA INDEX NAME)



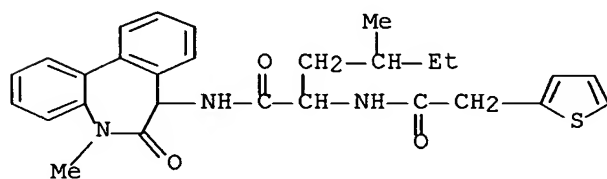
RN 209993-29-3 CAPLUS

CN Cyclopropaneacetamide, N-[1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]- (9CI) (CA INDEX NAME)



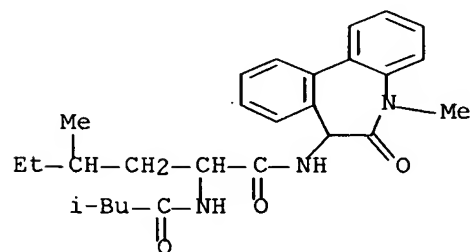
RN 209993-30-6 CAPLUS

CN 2-Thiopheneacetamide, N-[1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]- (9CI) (CA INDEX NAME)



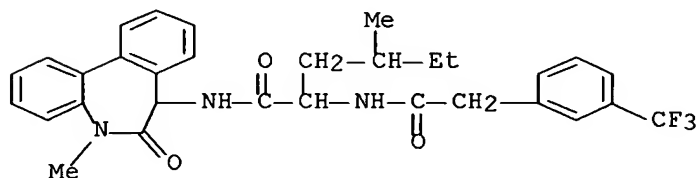
RN 209993-31-7 CAPLUS

CN Hexanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-4-methyl-2-[(3-methyl-1-oxobutyl)amino]- (9CI) (CA INDEX NAME)



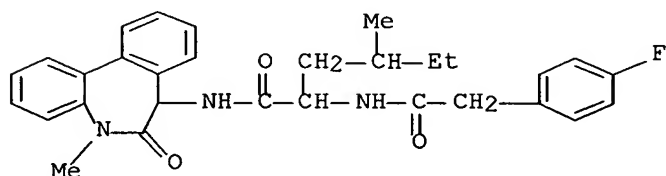
RN 209993-32-8 CAPLUS

CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



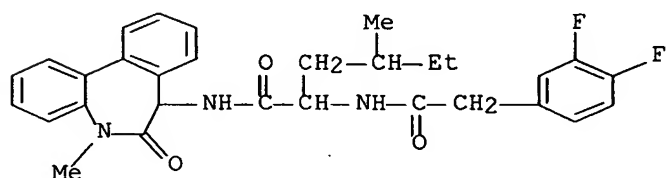
RN 209993-33-9 CAPLUS

CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]-4-fluoro- (9CI) (CA INDEX NAME)



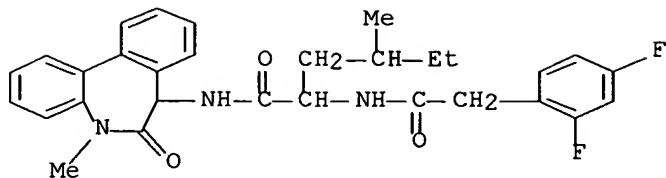
RN 209993-34-0 CAPLUS

CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]-3,4-difluoro- (9CI) (CA INDEX NAME)



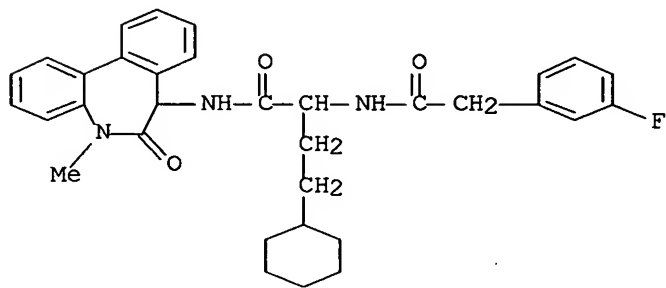
RN 209993-35-1 CAPLUS

CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylpentyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



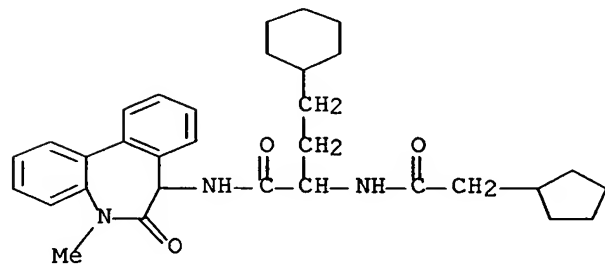
RN 209993-36-2 CAPLUS

CN Benzeneacetamide, N-[3-cyclohexyl-1-[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]propyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 209993-37-3 CAPLUS

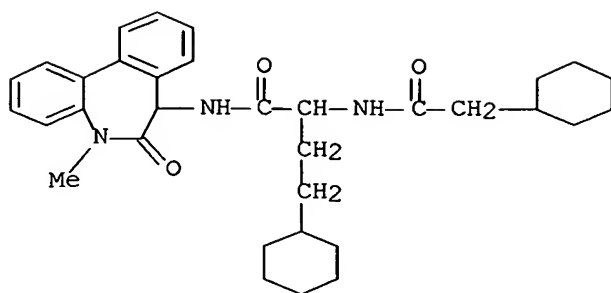
CN Cyclohexanebutanamide, α-[(cyclopentylacetyl)amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)



RN 209993-38-4 CAPLUS

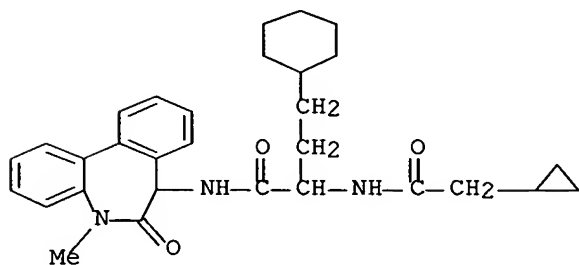
CN Cyclohexanebutanamide, α-[(cyclohexylacetyl)amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)





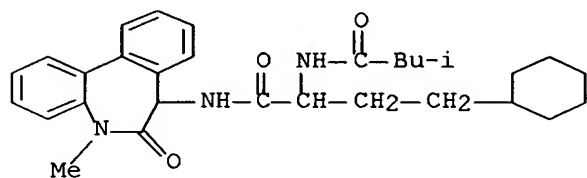
RN 209993-39-5 CAPLUS

CN Cyclohexanebutanamide,  $\alpha$ -[(cyclopropylacetyl)amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)



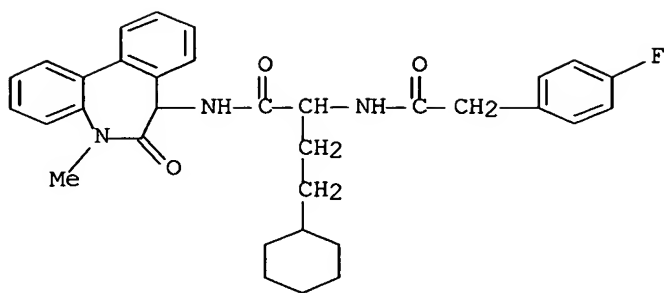
RN 209993-40-8 CAPLUS

CN Cyclohexanebutanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- $\alpha$ -[(3-methyl-1-oxobutyl)amino]- (9CI) (CA INDEX NAME)



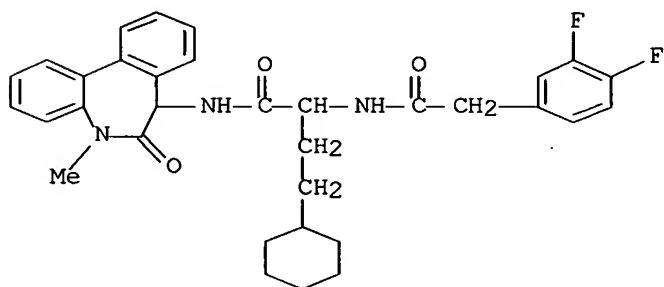
RN 209993-41-9 CAPLUS

CN Benzeneacetamide, N-[3-cyclohexyl-1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]propyl]-4-fluoro- (9CI) (CA INDEX NAME)



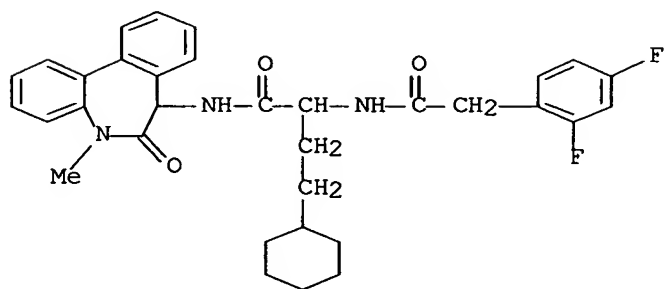
RN 209993-42-0 CAPLUS

CN Benzeneacetamide, N-[3-cyclohexyl-1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]propyl]-3,4-difluoro- (9CI) (CA INDEX NAME)



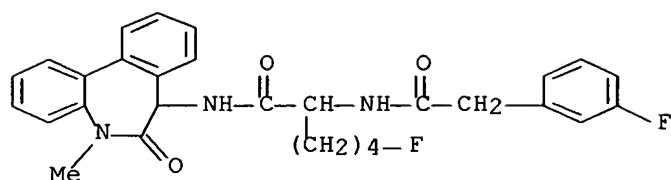
RN 209993-43-1 CAPLUS

CN Benzeneacetamide, N-[3-cyclohexyl-1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]propyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



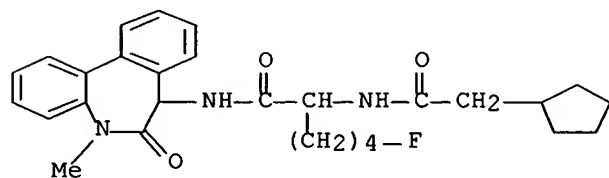
RN 209993-44-2 CAPLUS

CN Benzeneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]-5-fluoropentyl]-3-fluoro- (9CI) (CA INDEX NAME)



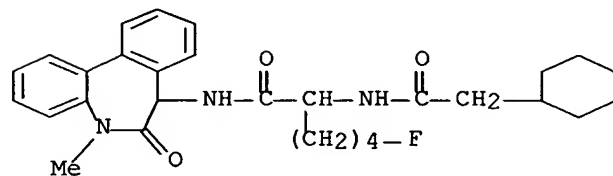
RN 209993-45-3 CAPLUS

CN Cyclopentaneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino] carbonyl]-5-fluoropentyl]- (9CI) (CA INDEX NAME)



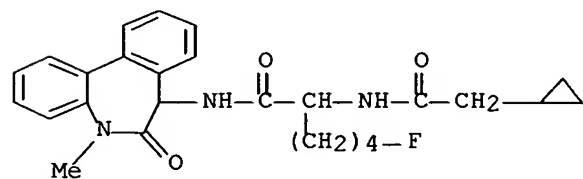
RN 209993-46-4 CAPLUS

CN Cyclohexaneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino] carbonyl]-5-fluoropentyl]- (9CI) (CA INDEX NAME)

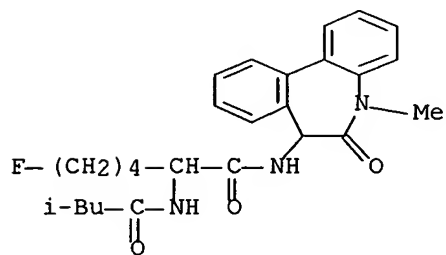


RN 209993-47-5 CAPLUS

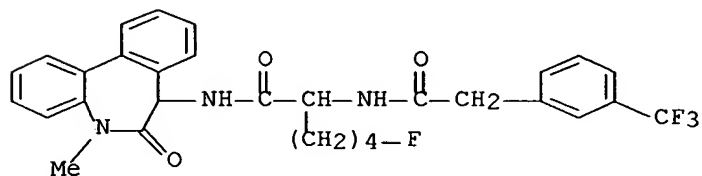
CN Cyclopropaneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino] carbonyl]-5-fluoropentyl]- (9CI) (CA INDEX NAME)



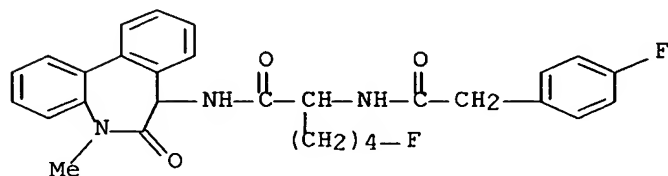
RN 209993-48-6 CAPLUS  
 CN Hexanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-6-fluoro-2-[(3-methyl-1-oxobutyl)amino]- (9CI) (CA INDEX NAME)



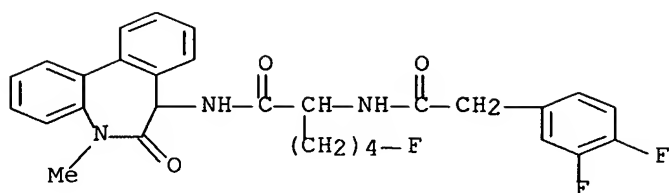
RN 209993-49-7 CAPLUS  
 CN Benzeneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-5-fluoropentyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 209993-50-0 CAPLUS  
 CN Benzeneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-5-fluoropentyl]-4-fluoro- (9CI) (CA INDEX NAME)

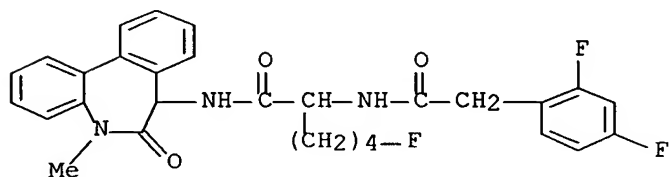


RN 209993-51-1 CAPLUS  
 CN Benzeneacetamide, N-[1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-5-fluoropentyl]-3,4-difluoro- (9CI) (CA INDEX NAME)



RN 209993-52-2 CAPLUS

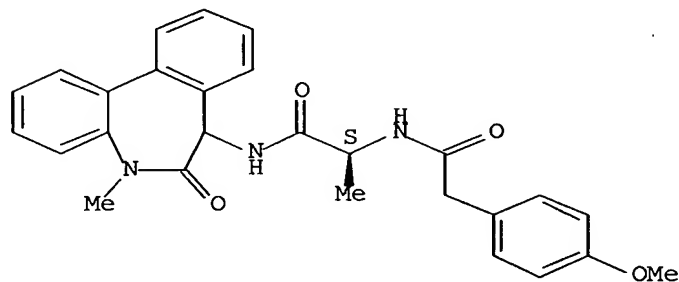
CN Benzeneacetamide, N-[1-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-5-fluoropentyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



RN 209993-53-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

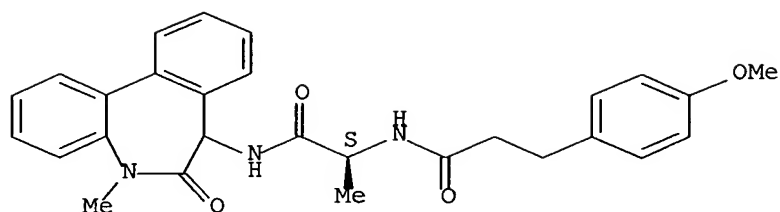
Absolute stereochemistry.



RN 209993-54-4 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[[[6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

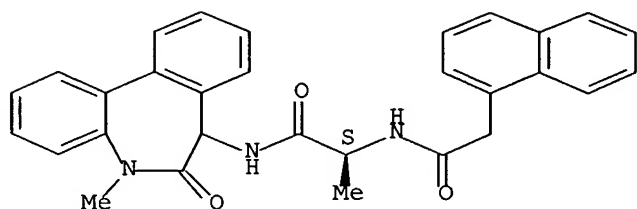
Absolute stereochemistry.



RN 209993-55-5 CAPLUS

CN 1-Naphthaleneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

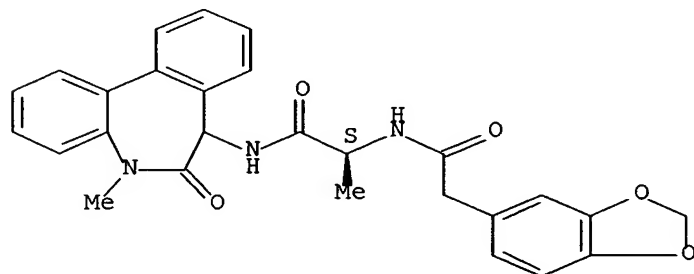
Absolute stereochemistry.



RN 209993-56-6 CAPLUS

CN 1,3-Benzodioxole-5-acetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

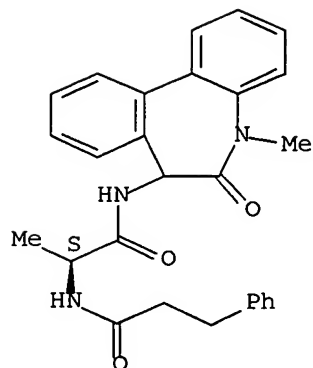
Absolute stereochemistry.



RN 209993-57-7 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

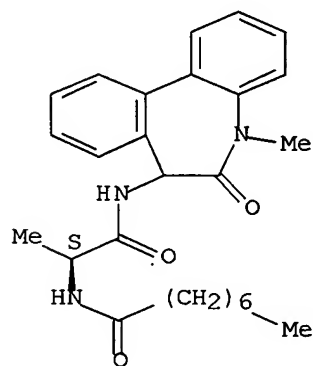
Absolute stereochemistry.



RN 209993-58-8 CAPLUS

CN Octanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

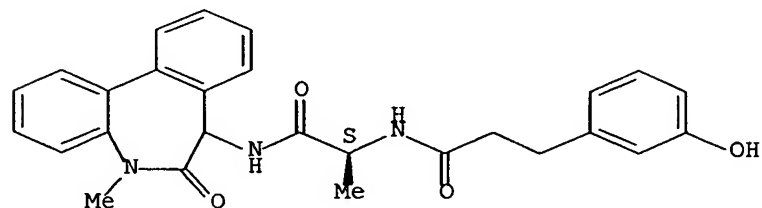
Absolute stereochemistry.



RN 209993-59-9 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

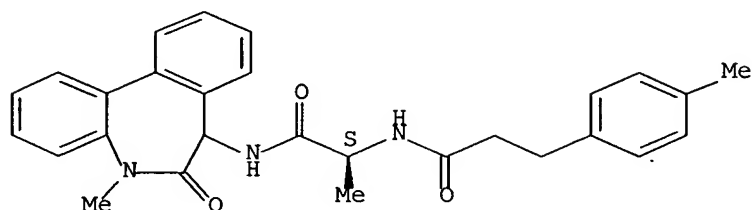


RN 209993-60-2 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-

dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-methyl- (9CI) (CA INDEX NAME)

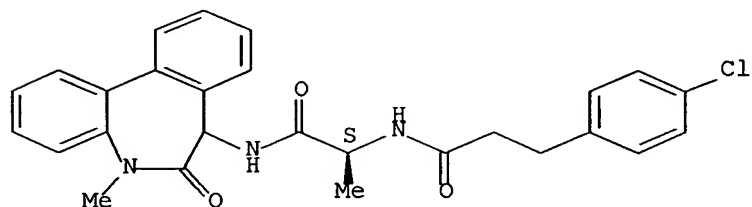
Absolute stereochemistry.



RN 209993-61-3 CAPLUS

CN Benzenepropanamide, 4-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

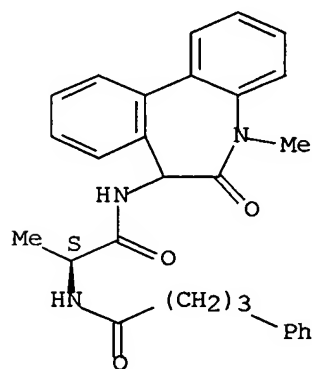
Absolute stereochemistry.



RN 209993-62-4 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



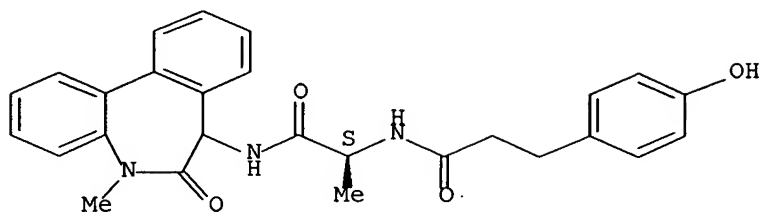
RN 209993-63-5 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-hydroxy- (9CI) (CA INDEX NAME)



INDEX NAME)

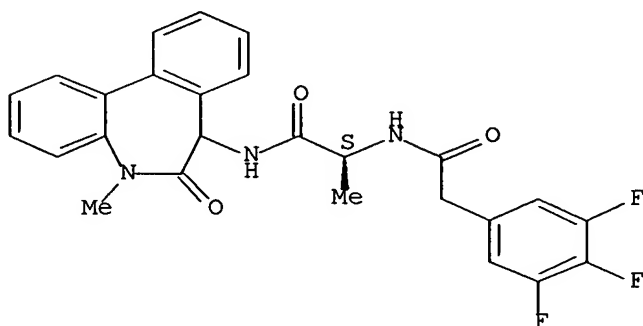
Absolute stereochemistry.



RN 209993-64-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,4,5-trifluoro- (9CI)  
(CA INDEX NAME)

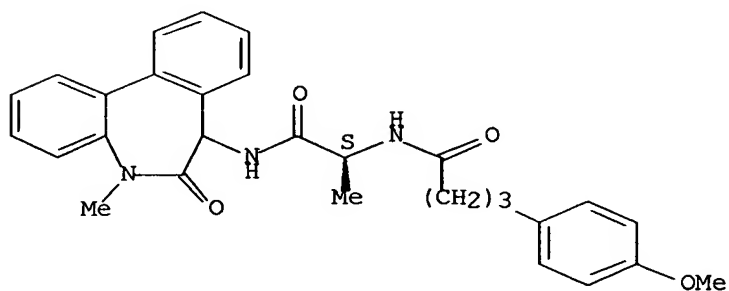
Absolute stereochemistry.



RN 209993-65-7 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

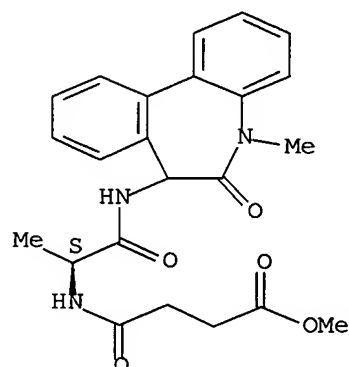
Absolute stereochemistry.



RN 209993-66-8 CAPLUS

CN Butanoic acid, 4-[[[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]amino]-4-oxo-, methyl ester (9CI) (CA INDEX NAME)

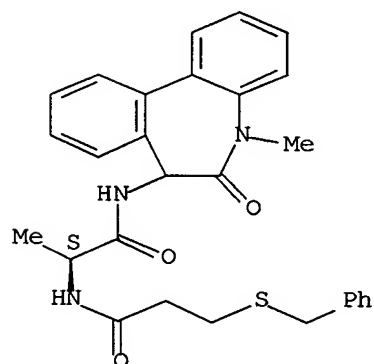
Absolute stereochemistry.



RN 209993-67-9 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[1-oxo-3-[(phenylmethyl)thio]propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

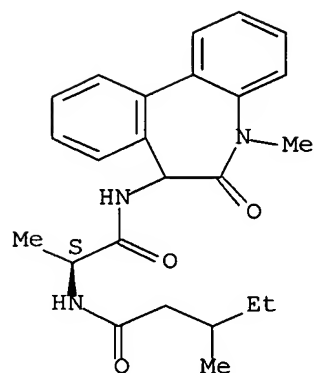
Absolute stereochemistry.



RN 209993-68-0 CAPLUS

CN Pentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-methyl- (9CI) (CA INDEX NAME)

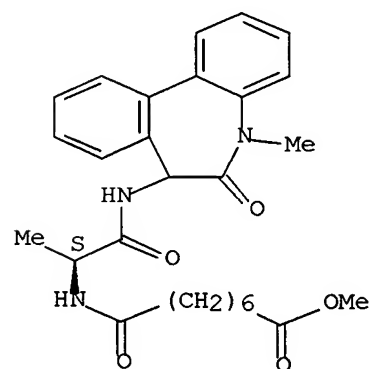
Absolute stereochemistry.



RN 209993-69-1 CAPLUS

CN Octanoic acid, 8-[[[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]amino]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

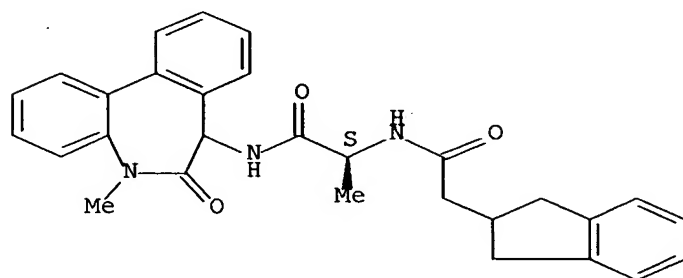
Absolute stereochemistry.



RN 209993-70-4 CAPLUS

CN 1H-Indene-2-acetamide, N-[[[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

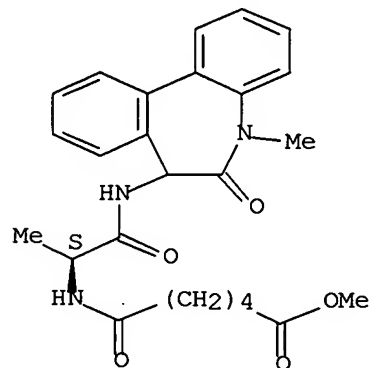
Absolute stereochemistry.



RN 209993-71-5 CAPLUS

CN Hexanoic acid, 6-[[[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]amino]-6-oxo-, methyl ester (9CI) (CA INDEX NAME)

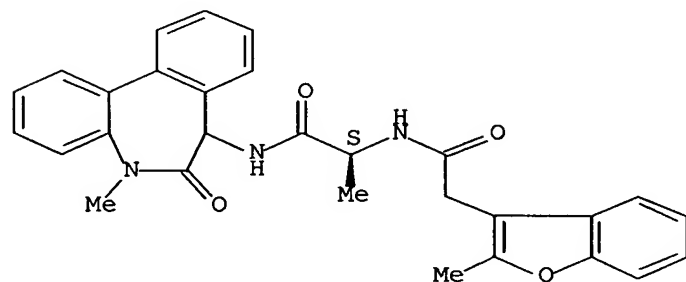
Absolute stereochemistry.



RN 209993-72-6 CAPLUS

CN 3-Benzofuranacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-methyl- (9CI) (CA INDEX NAME)

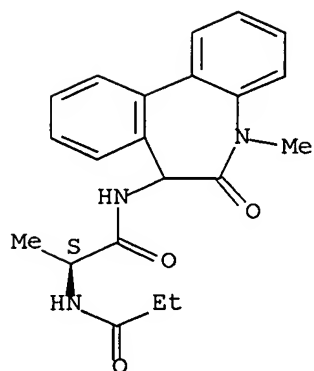
Absolute stereochemistry.



RN 209993-73-7 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[(1-oxopropyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

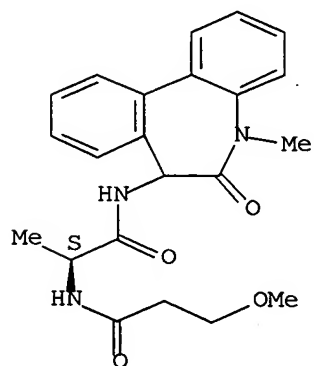
Absolute stereochemistry.



RN 209993-74-8 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[(3-methoxy-1-oxopropyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

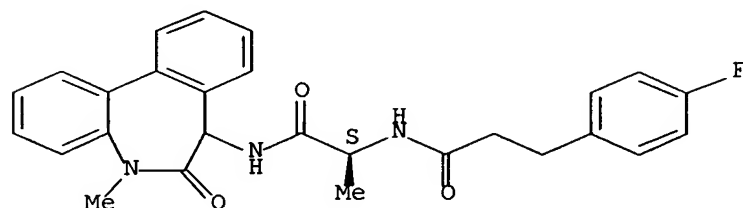
Absolute stereochemistry.



RN 209993-75-9 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

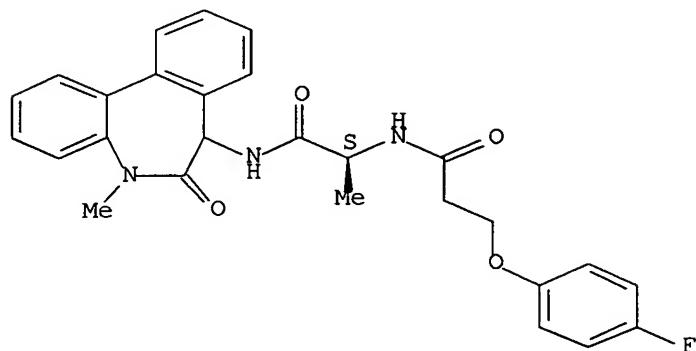


RN 209993-76-0 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-

[[3-(4-fluorophenoxy)-1-oxopropyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

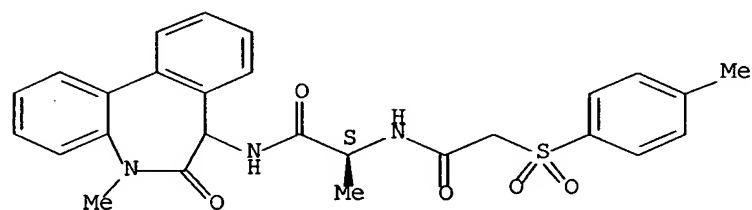
Absolute stereochemistry.



RN 209993-77-1 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[(4-methylphenyl)sulfonyl]acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

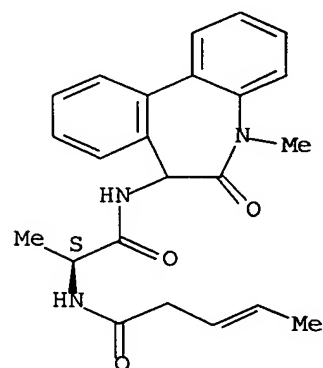


RN 209993-78-2 CAPLUS

CN 3-Pentenamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

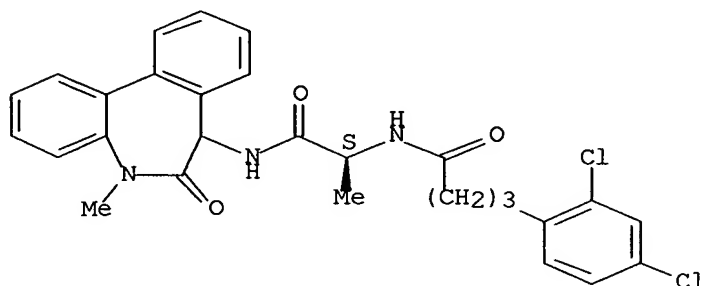
Double bond geometry unknown.



RN 209993-79-3 CAPLUS

CN Benzenebutanamide, 2,4-dichloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

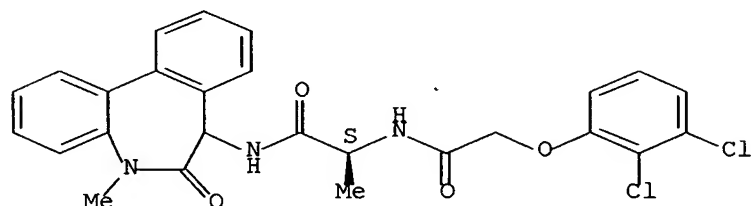
Absolute stereochemistry.



RN 209993-80-6 CAPLUS

CN Propanamide, 2-[[ (2,3-dichlorophenoxy)acetyl]amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, (2S)- (9CI) (CA INDEX NAME)

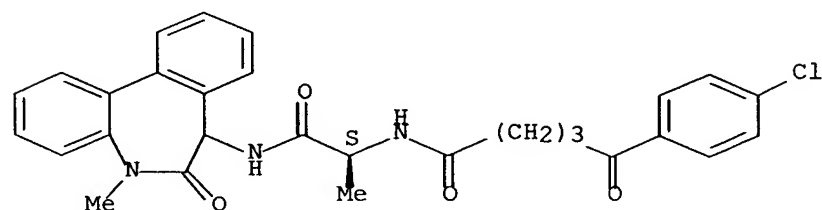
Absolute stereochemistry.



RN 209993-81-7 CAPLUS

CN Benzenepentanamide, 4-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-δ-oxo- (9CI) (CA INDEX NAME)

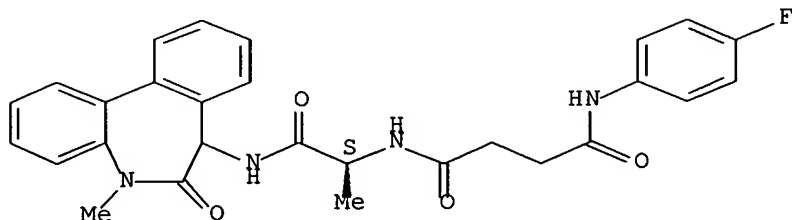
Absolute stereochemistry.



RN 209993-82-8 CAPLUS

CN Butanediamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

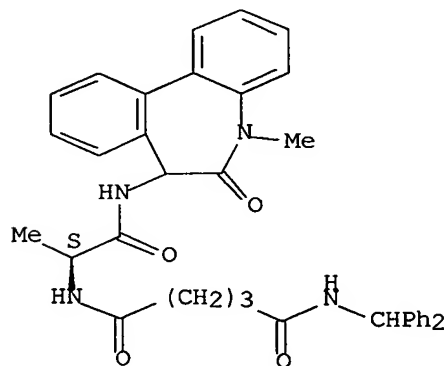
Absolute stereochemistry.



RN 209993-83-9 CAPLUS

CN Pentanediamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-N'-(diphenylmethyl)- (9CI) (CA INDEX NAME)

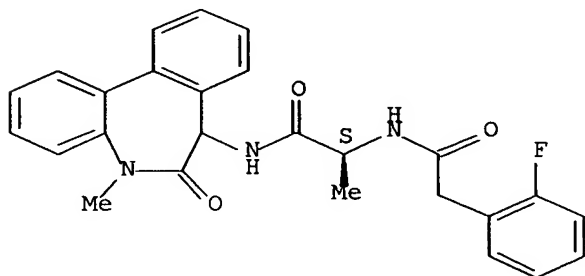
Absolute stereochemistry.



RN 209993-84-0 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

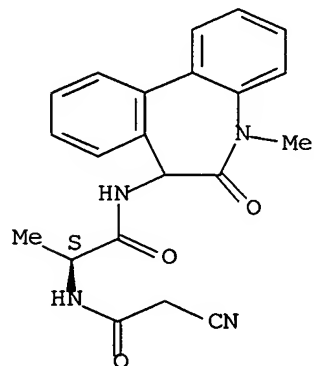




RN 209993-85-1 CAPLUS

CN Propanamide, 2-[(cyanoacetyl)amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, (2S)- (9CI) (CA INDEX NAME)

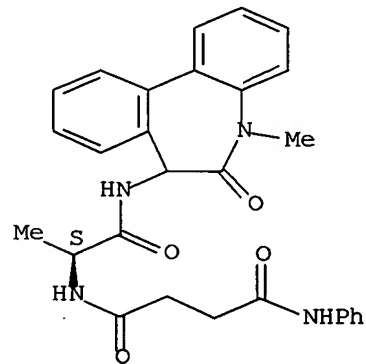
Absolute stereochemistry.



RN 209993-86-2 CAPLUS

CN Butanediamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-N'-phenyl- (9CI) (CA INDEX NAME)

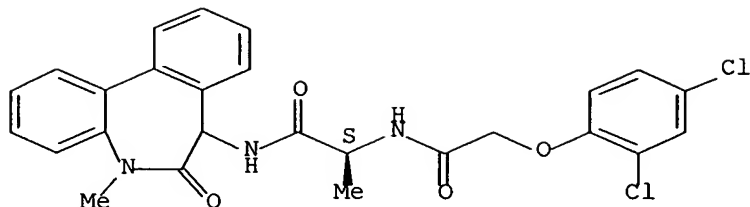
Absolute stereochemistry.



RN 209993-87-3 CAPLUS

CN Propanamide, 2-[[[2,4-dichlorophenoxy)acetyl]amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, (2S)- (9CI) (CA INDEX NAME)

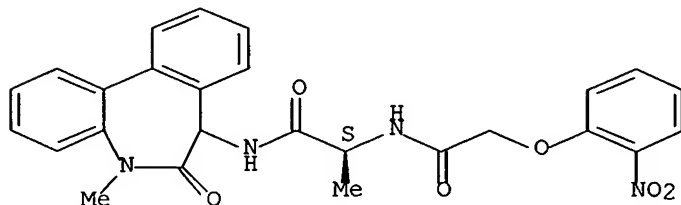
Absolute stereochemistry.



RN 209993-88-4 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[2-(2-nitrophenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

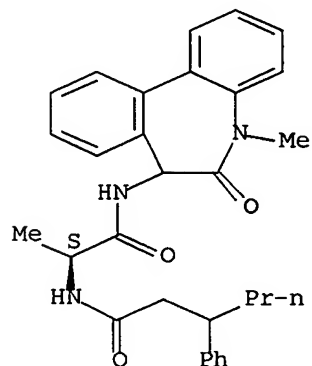
Absolute stereochemistry.



RN 209993-89-5 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-β-propyl- (9CI) (CA INDEX NAME)

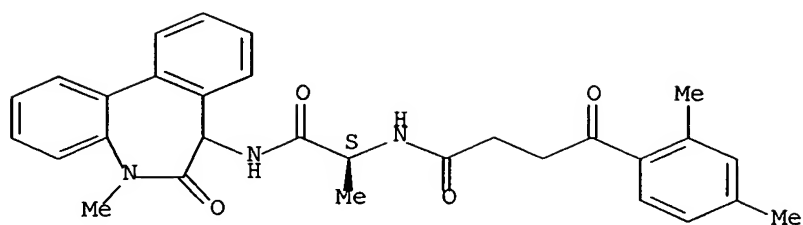
Absolute stereochemistry.



RN 209993-90-8 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,4-dimethyl-γ-oxo- (9CI) (CA INDEX NAME)

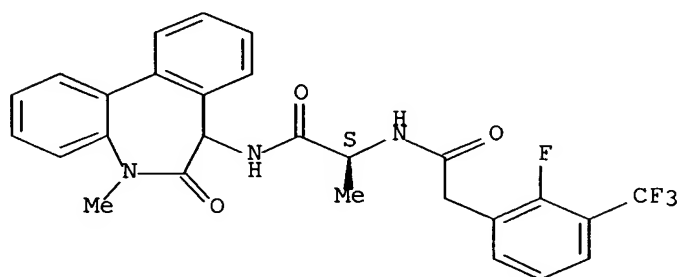
Absolute stereochemistry.



RN 209993-91-9 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

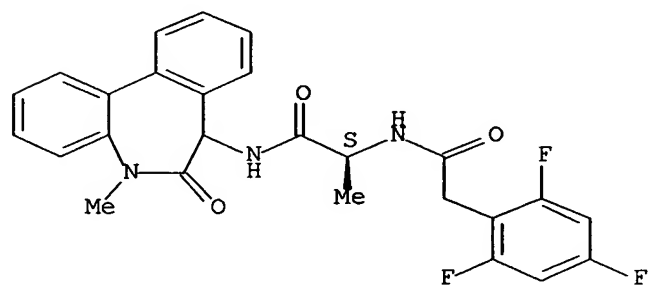
Absolute stereochemistry.



RN 209993-92-0 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,4,6-trifluoro- (9CI) (CA INDEX NAME)

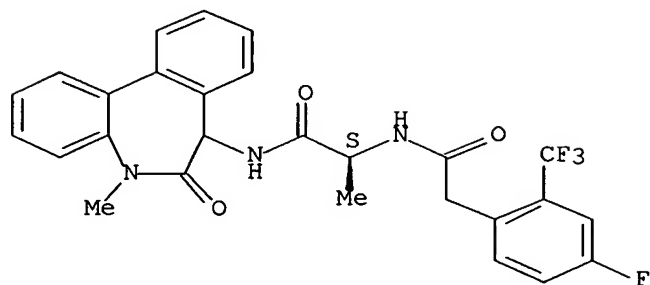
Absolute stereochemistry.



RN 209993-93-1 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-fluoro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

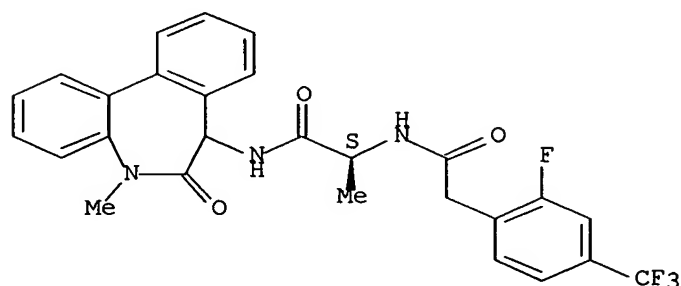
Absolute stereochemistry.



RN 209993-94-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

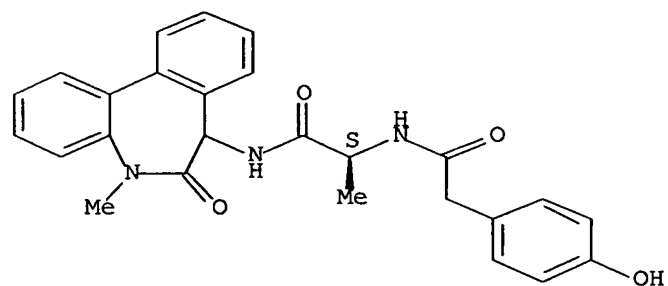
Absolute stereochemistry.



RN 209993-95-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-hydroxy- (9CI) (CA INDEX NAME)

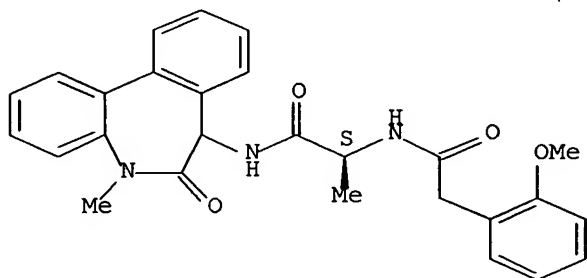
Absolute stereochemistry.



RN 209993-96-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-methoxy- (9CI) (CA INDEX NAME)

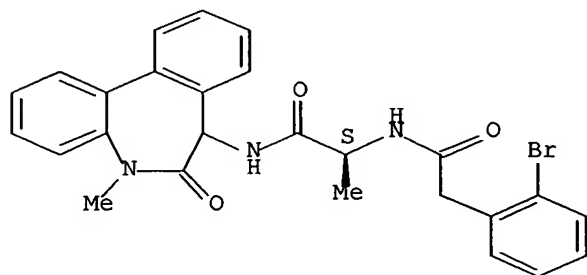
Absolute stereochemistry.



RN 209993-97-5 CAPLUS

CN Benzeneacetamide, 2-bromo-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

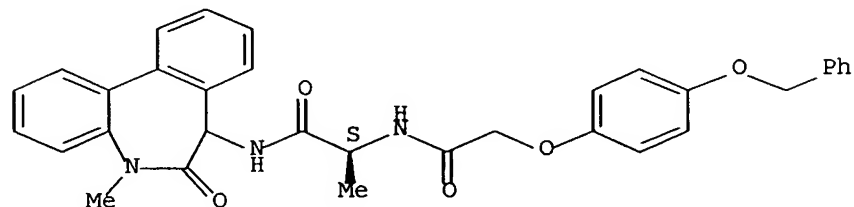
Absolute stereochemistry.



RN 209993-98-6 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[4-(phenylmethoxy)phenoxy]acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

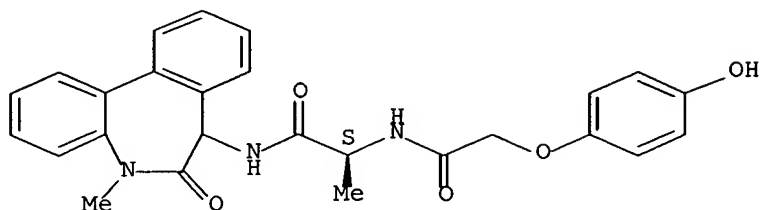


RN 209993-99-7 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-

[[[4-hydroxyphenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

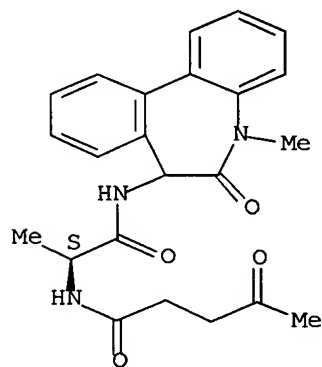
Absolute stereochemistry.



RN 209994-00-3 CAPLUS

CN Pentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-oxo- (9CI) (CA INDEX NAME)

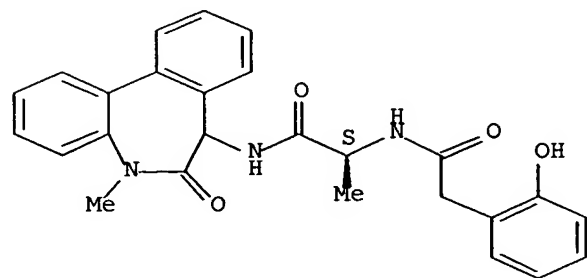
Absolute stereochemistry.



RN 209994-01-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-hydroxy- (9CI) (CA INDEX NAME)

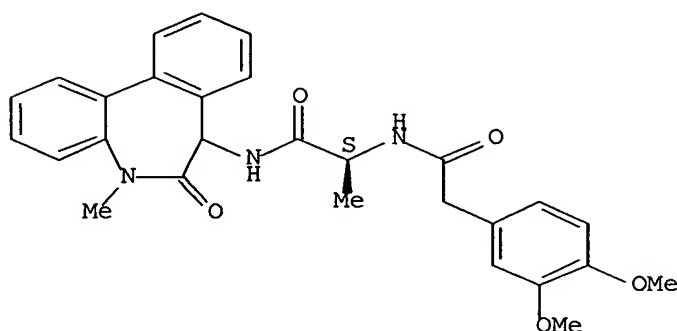
Absolute stereochemistry.



RN 209994-02-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,4-dimethoxy- (9CI)  
(CA INDEX NAME)

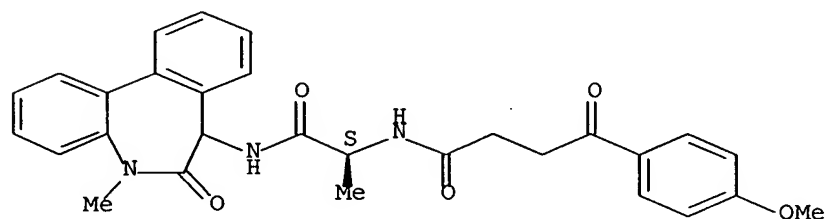
Absolute stereochemistry.



RN 209994-03-6 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-methoxy-γ-oxo- (9CI) (CA INDEX NAME)

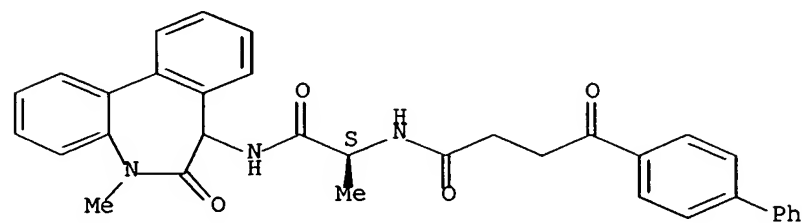
Absolute stereochemistry.



RN 209994-04-7 CAPLUS

CN [1,1'-Biphenyl]-4-butanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-γ-oxo- (9CI) (CA INDEX NAME)

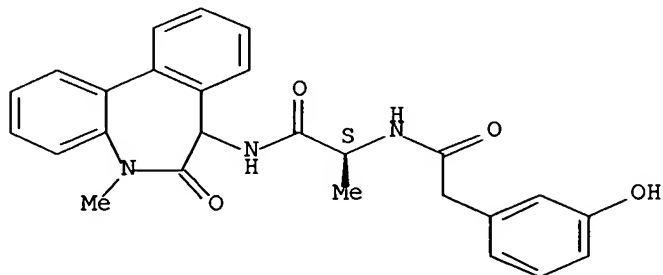
Absolute stereochemistry.



RN 209994-05-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-hydroxy- (9CI) (CA INDEX NAME)

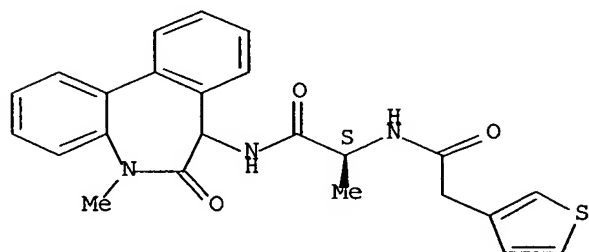
Absolute stereochemistry.



RN 209994-07-0 CAPLUS

CN 3-Thiopheneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

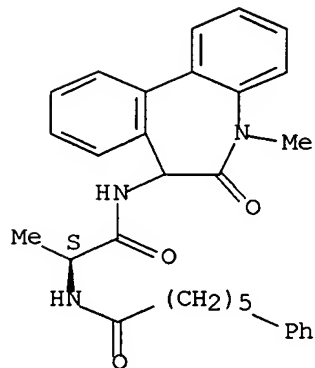


RN 209994-08-1 CAPLUS

CN Benzenehexanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

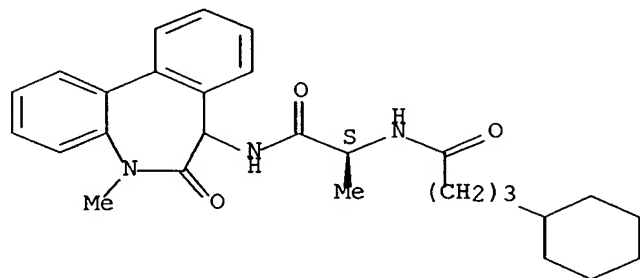




RN 209994-09-2 CAPLUS

CN Cyclohexanebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

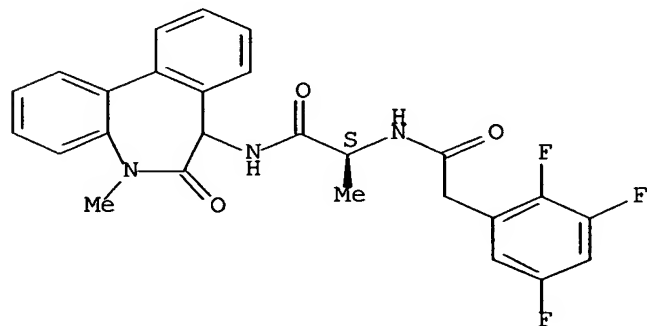
Absolute stereochemistry.



RN 209994-10-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,3,5-trifluoro- (9CI) (CA INDEX NAME)

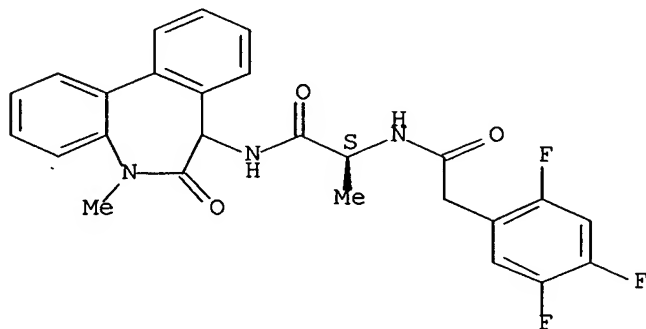
Absolute stereochemistry.



RN 209994-11-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,4,5-trifluoro- (9CI)  
(CA INDEX NAME)

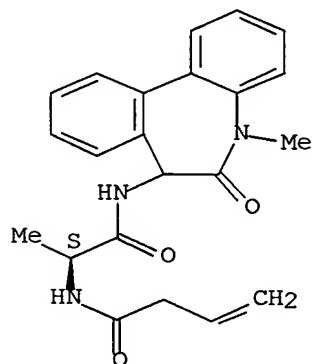
Absolute stereochemistry.



RN 209994-12-7 CAPLUS

CN 3-Butenamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

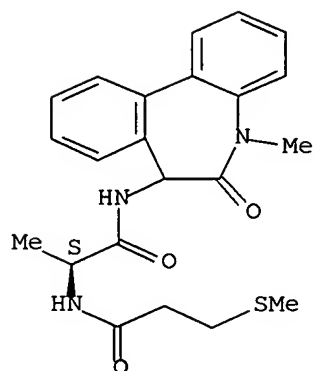
Absolute stereochemistry.



RN 209994-13-8 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[3-(methylthio)-1-oxopropyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

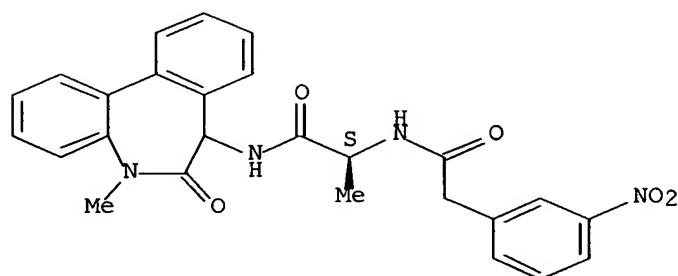
Absolute stereochemistry.



RN 209994-14-9 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-nitro- (9CI) (CA INDEX NAME)

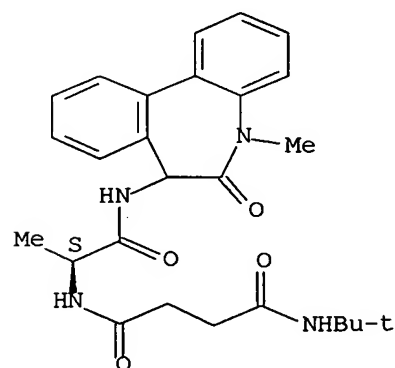
Absolute stereochemistry.



RN 209994-15-0 CAPLUS

CN Butanediamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

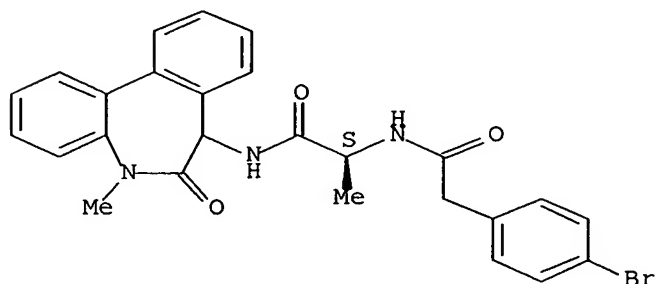
Absolute stereochemistry.



RN 209994-16-1 CAPLUS

CN Benzeneacetamide, 4-bromo-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

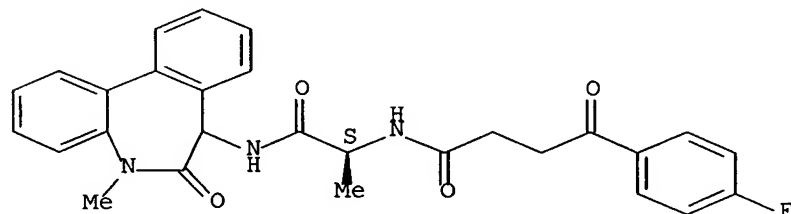
Absolute stereochemistry.



RN 209994-17-2 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-fluoro-γ-oxo- (9CI) (CA INDEX NAME)

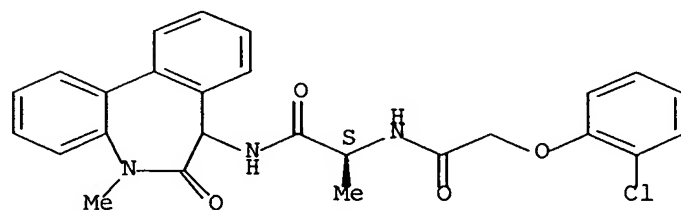
Absolute stereochemistry.



RN 209994-18-3 CAPLUS

CN Propanamide, 2-[[[(2-chlorophenoxy)acetyl]amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, (2S)- (9CI) (CA INDEX NAME)

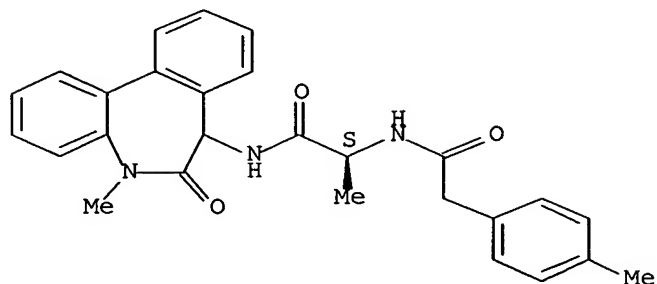
Absolute stereochemistry.



RN 209994-19-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-methyl- (9CI) (CA INDEX NAME)

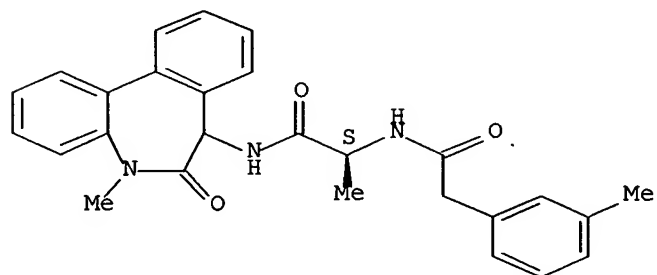
Absolute stereochemistry.



RN 209994-20-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-methyl- (9CI) (CA INDEX NAME)

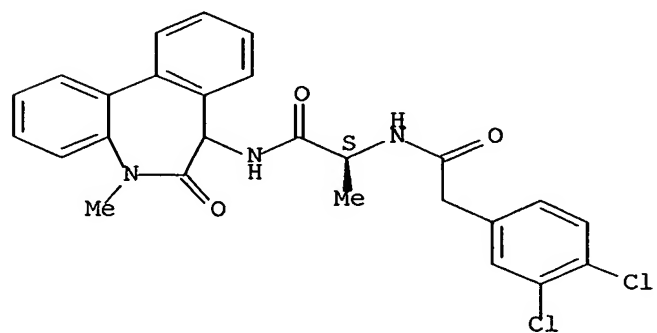
Absolute stereochemistry.



RN 209994-21-8 CAPLUS

CN Benzeneacetamide, 3,4-dichloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

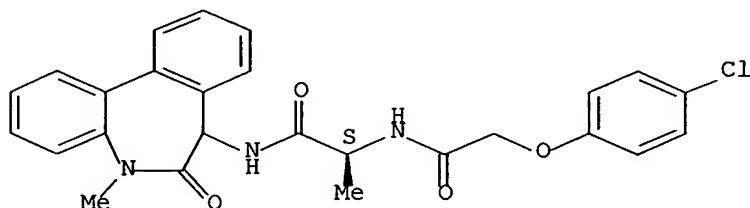
Absolute stereochemistry.



RN 209994-22-9 CAPLUS

CN Propanamide, 2-[[[4-chlorophenoxy)acetyl]amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, (2S)- (9CI) (CA INDEX NAME)

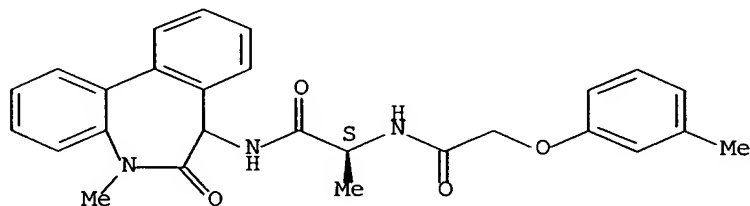
Absolute stereochemistry.



RN 209994-23-0 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[3-methylphenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

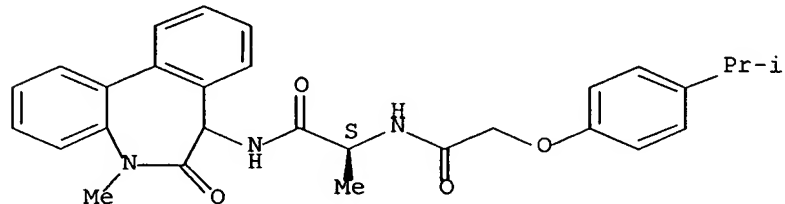
Absolute stereochemistry.



RN 209994-24-1 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[4-(1-methylethyl)phenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

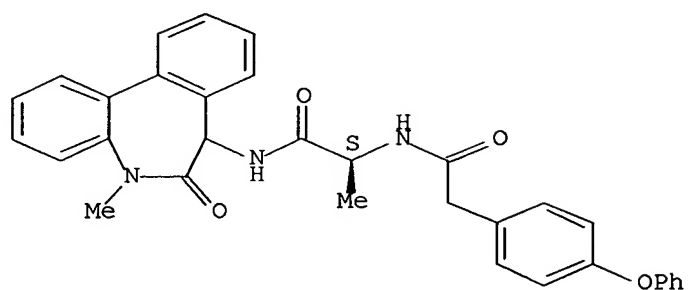
Absolute stereochemistry.



RN 209994-25-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-phenoxy- (9CI) (CA INDEX NAME)

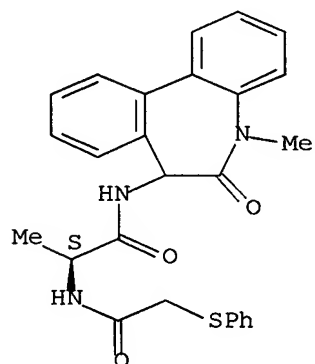
Absolute stereochemistry.



RN 209994-26-3 CAPLUS

CN Propanamide, N-((1S)-2-((6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-((phenylthio)acetyl)amino)-1-methyl-2-oxoethyl)-4-ethoxy- (9CI) (CA INDEX NAME)

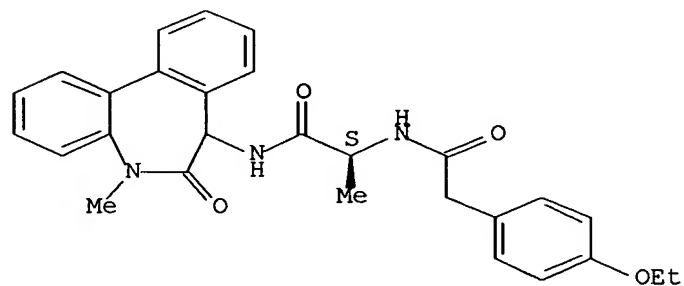
Absolute stereochemistry.



RN 209994-27-4 CAPLUS

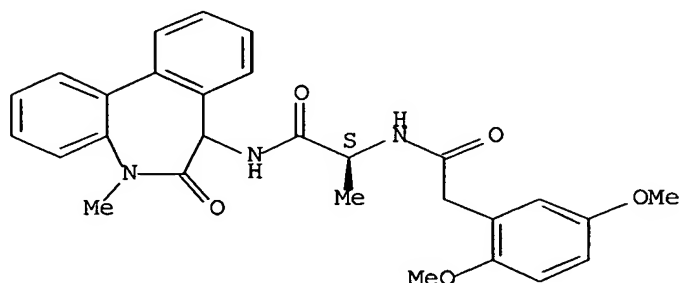
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



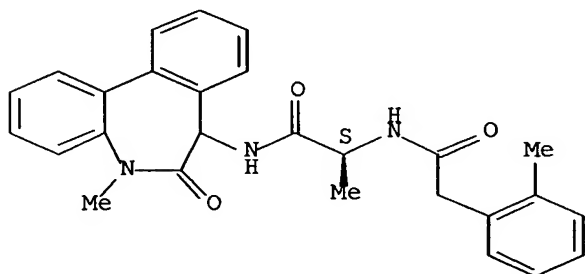
RN 209994-28-5 CAPLUS  
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,5-dimethoxy- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 209994-29-6 CAPLUS  
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-methyl- (9CI) (CA INDEX NAME)

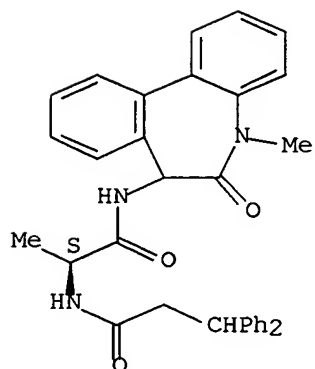
Absolute stereochemistry.



RN 209994-30-9 CAPLUS  
CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-β-phenyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

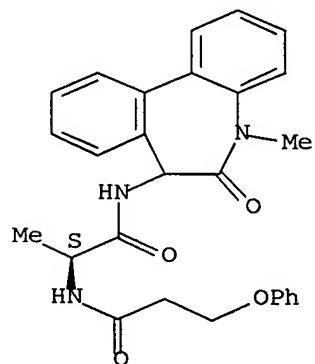




RN 209994-31-0 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[(1-oxo-3-phenoxypyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

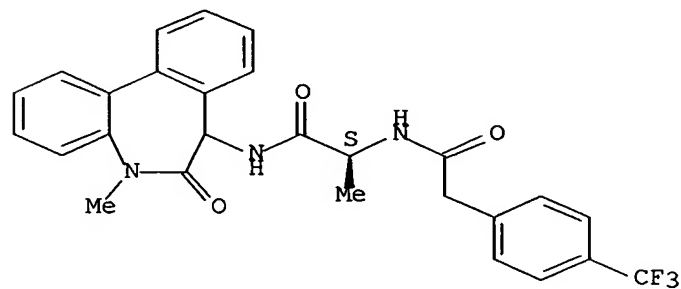
Absolute stereochemistry.



RN 209994-32-1 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

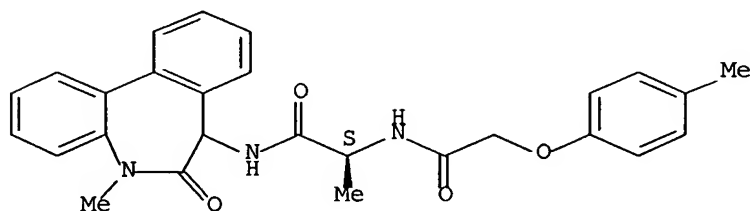
Absolute stereochemistry.



RN 209994-33-2 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-  
[[ (4-methylphenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

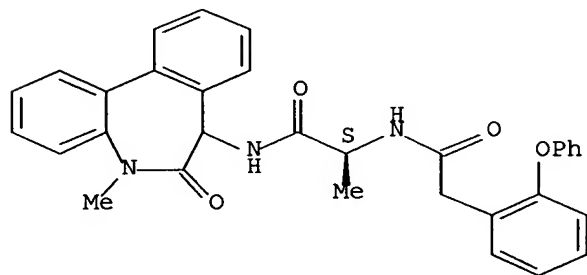
Absolute stereochemistry.



RN 209994-34-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-phenoxy- (9CI) (CA INDEX NAME)

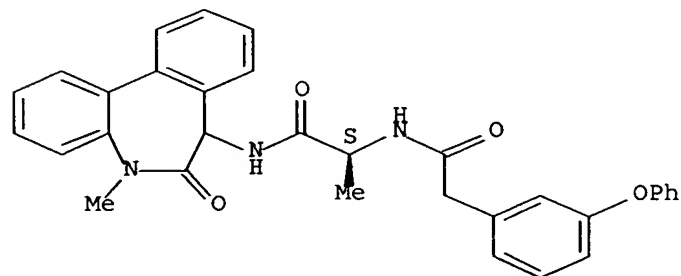
Absolute stereochemistry.



RN 209994-35-4 CAPLUS

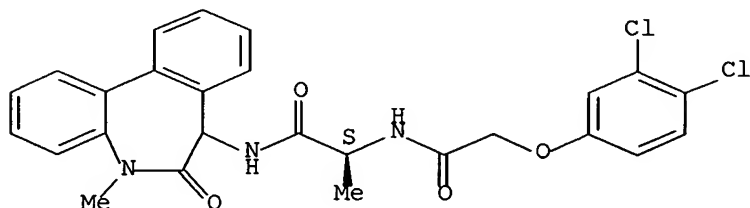
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-phenoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



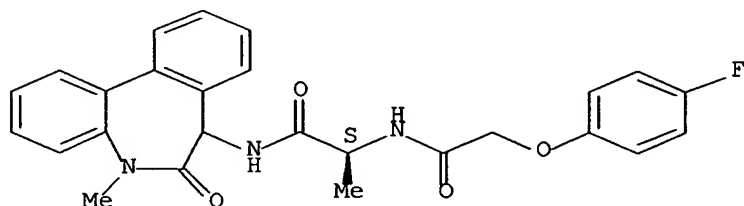
RN 209994-36-5 CAPLUS  
CN Propanamide, 2-[[ (3,4-dichlorophenoxy)acetyl]amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



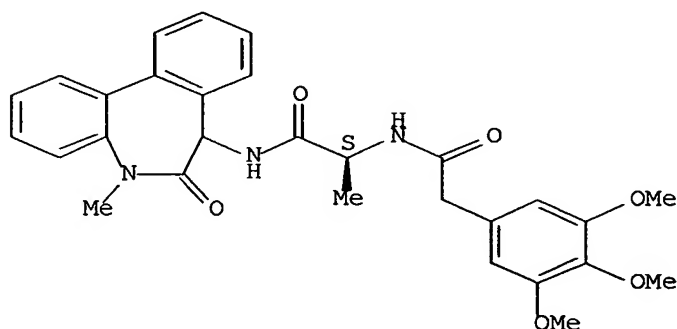
RN 209994-37-6 CAPLUS  
CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[ (4-fluorophenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209994-38-7 CAPLUS  
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

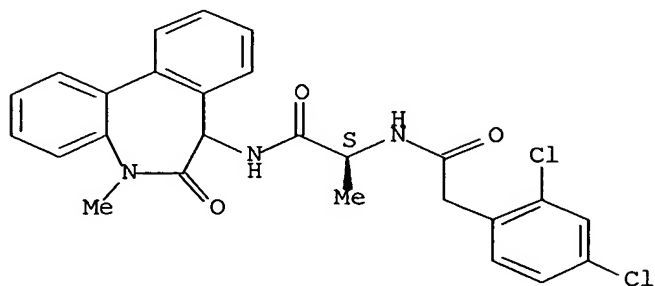
Absolute stereochemistry.



RN 209994-39-8 CAPLUS  
CN Benzeneacetamide, 2,4-dichloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-

dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

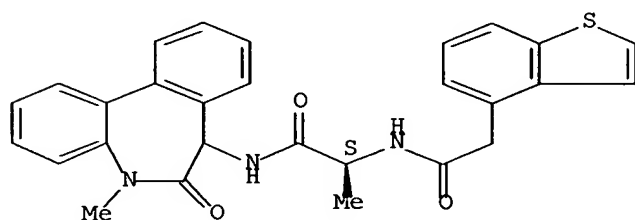
Absolute stereochemistry.



RN 209994-40-1 CAPLUS

CN Benzo[b]thiophene-4-acetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

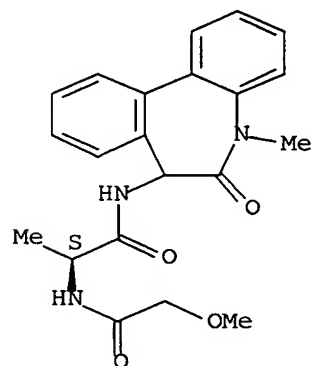
Absolute stereochemistry.



RN 209994-41-2 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[(methoxyacetyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

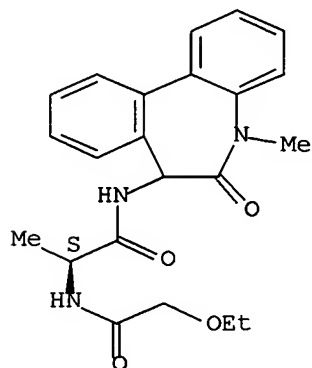
Absolute stereochemistry.



RN 209994-42-3 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-  
[(ethoxyacetyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

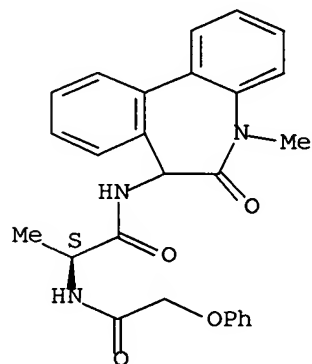
Absolute stereochemistry.



RN 209994-43-4 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-  
[(phenoxyacetyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

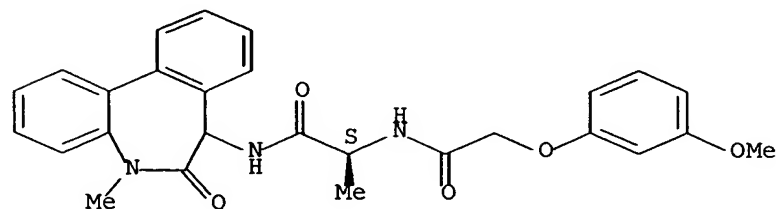
Absolute stereochemistry.



RN 209994-44-5 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-  
[[3-methoxyphenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

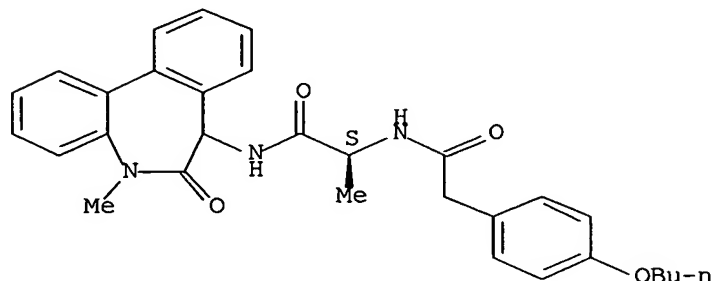
Absolute stereochemistry.



RN 209994-45-6 CAPLUS

CN Benzeneacetamide, 4-butoxy-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

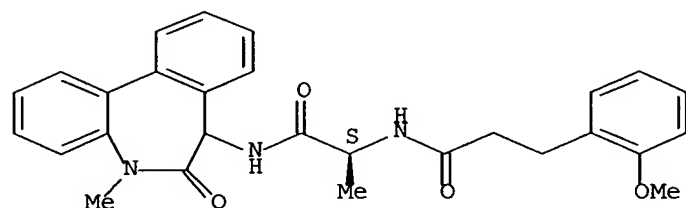
Absolute stereochemistry.



RN 209994-46-7 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-methoxy- (9CI) (CA INDEX NAME)

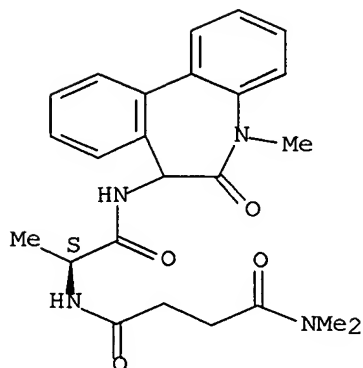
Absolute stereochemistry.



RN 209994-47-8 CAPLUS

CN Butanediamide, N'-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

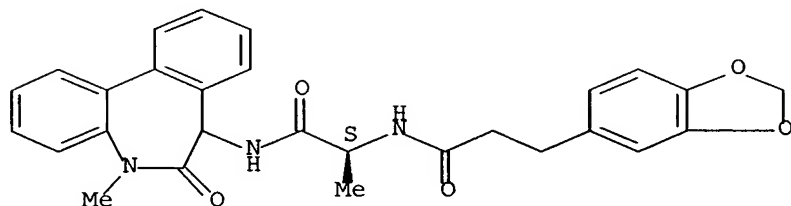
Absolute stereochemistry.



RN 209994-48-9 CAPLUS

CN 1,3-Benzodioxole-5-propanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

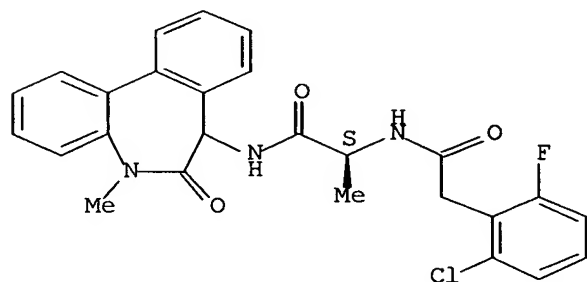
Absolute stereochemistry.



RN 209994-49-0 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-6-fluoro- (9CI) (CA INDEX NAME)

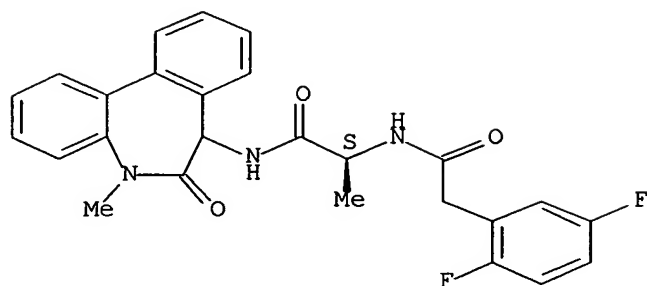
Absolute stereochemistry.



RN 209994-50-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,5-difluoro- (9CI) (CA INDEX NAME)

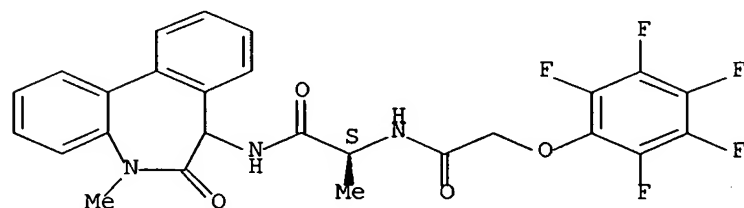
Absolute stereochemistry.



RN 209994-51-4 CAPLUS

CN Propanamide, N-((6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[(pentafluorophenoxy)acetyl]amino)-, (2S)- (9CI) (CA INDEX NAME)

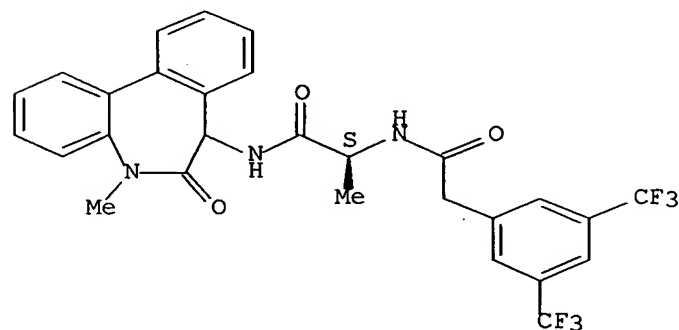
Absolute stereochemistry.



RN 209994-52-5 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



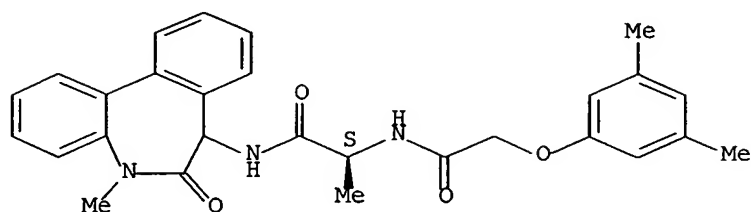
RN 209994-53-6 CAPLUS

CN Propanamide, N-((6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-



[[ (3,5-dimethylphenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

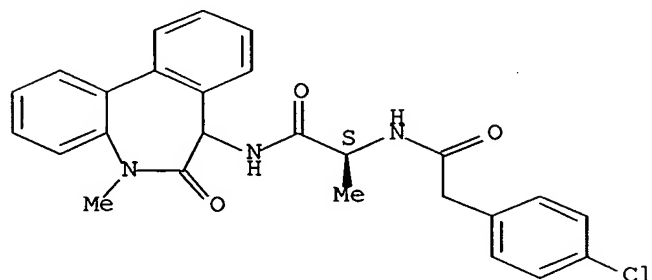
Absolute stereochemistry.



RN 209994-54-7 CAPLUS

CN Benzeneacetamide, 4-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

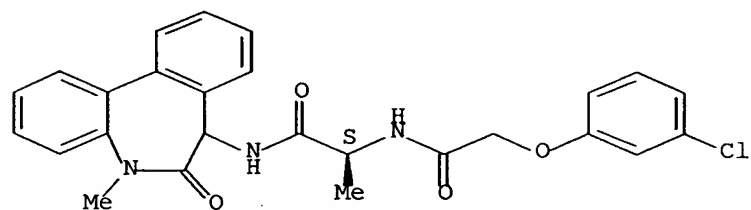
Absolute stereochemistry.



RN 209994-55-8 CAPLUS

CN Propanamide, 2-[[ (3-chlorophenoxy)acetyl]amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, (2S)- (9CI) (CA INDEX NAME)

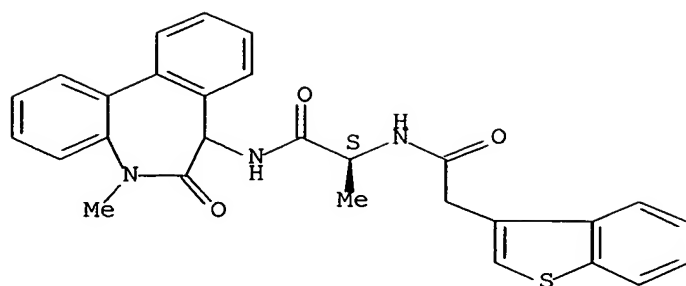
Absolute stereochemistry.



RN 209994-56-9 CAPLUS

CN Benzo[b]thiophene-3-acetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

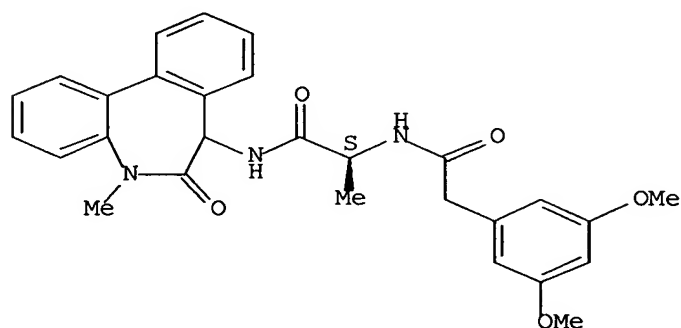
Absolute stereochemistry.



RN 209994-57-0 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3,5-dimethoxy- (9CI)  
(CA INDEX NAME)

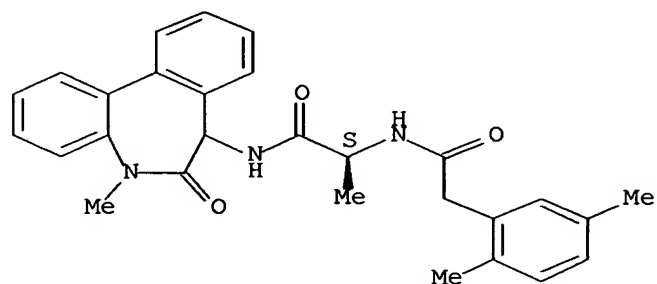
Absolute stereochemistry.



RN 209994-58-1 CAPLUS

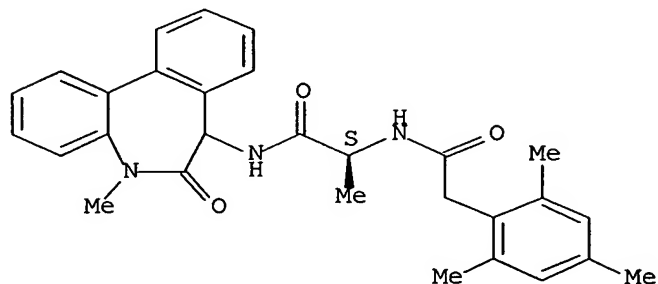
CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,5-dimethyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 209994-59-2 CAPLUS

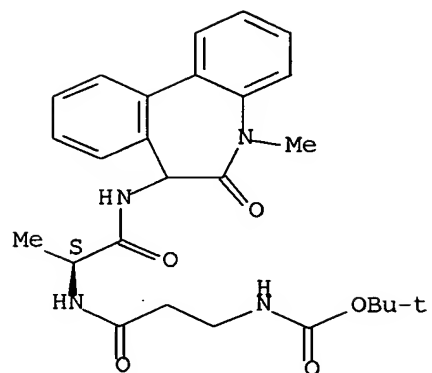
Absolute stereochemistry.



CN [1,1'-Biphenyl]-4-acetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

CN L-Alaninamide, N-[(1,1-dimethylethoxy) carbonyl]- $\beta$ -alanyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

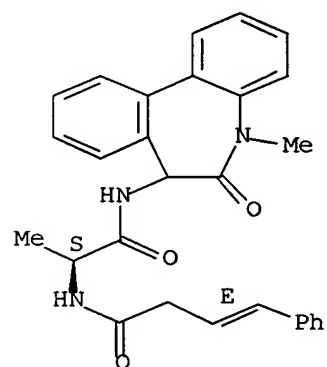


RN 209994-62-7 CAPLUS

CN 3-Butenamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-phenyl-, (3E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

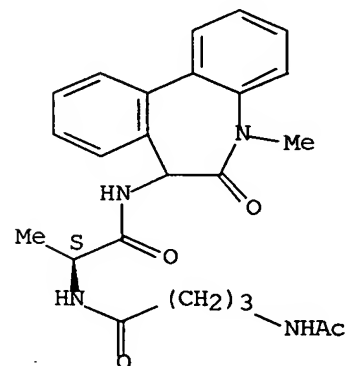
Double bond geometry as shown.



RN 209994-63-8 CAPLUS

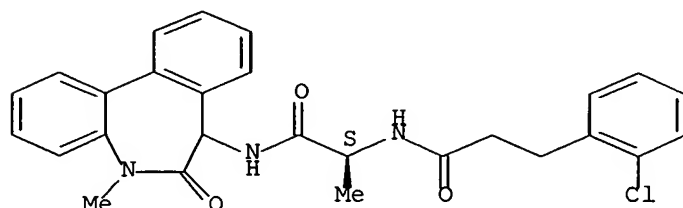
CN Butanamide, 4-(acetylamino)-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209994-64-9 CAPLUS  
 CN Benzenepropanamide, 2-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



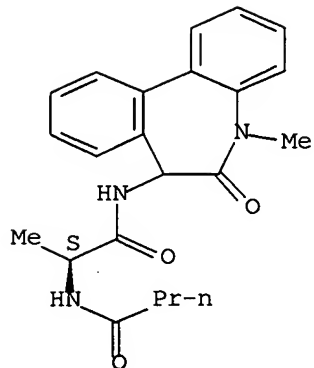
IT 209994-65-0P 209994-66-1P 209994-67-2P  
 209994-68-3P 209994-69-4P 209994-70-7P  
 209994-71-8P 209994-72-9P 209994-73-0P  
 209994-74-1P 209994-75-2P 209994-76-3P  
 209994-77-4P 209994-78-5P 209994-79-6P  
 209994-80-9P 209994-81-0P 209994-82-1P  
 209994-83-2P 209994-84-3P 209994-85-4P  
 209994-86-5P 209994-87-6P 209994-88-7P  
 209994-89-8P 209994-90-1P 209994-91-2P  
 209994-92-3P 209994-93-4P 209994-94-5P  
 209994-95-6P 209994-96-7P 209994-97-8P  
 209994-98-9P 209995-42-6P 209995-43-7P  
 209995-44-8P 209995-45-9P 209995-46-0P  
 209995-47-1P 209995-48-2P 209995-49-3P  
 209995-50-6P 209995-51-7P 209995-52-8P  
 209995-53-9P 209995-54-0P 209995-55-1P  
 209995-56-2P 209995-57-3P 209995-58-4P  
 209995-59-5P 209995-60-8P 209995-61-9P  
 209995-62-0P 209995-63-1P 209995-64-2P  
 209995-65-3P 209996-42-9P 209996-43-0P  
 209996-44-1P 209996-45-2P 209996-46-3P  
 209996-47-4P 209996-48-5P 209996-49-6P  
 209996-50-9P 209996-52-1P 210220-55-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cycloalkyl, lactam, lactone and related compds. for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis)

RN 209994-65-0 CAPLUS  
 CN Butanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

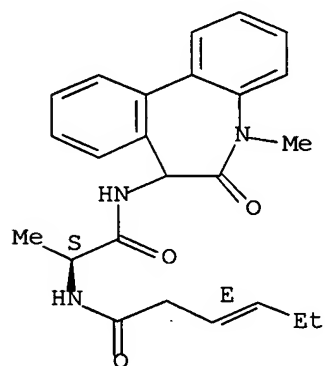
Absolute stereochemistry.



RN 209994-66-1 CAPLUS

CN 3-Hexenamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-, (3E)- (9CI) (CA INDEX NAME)

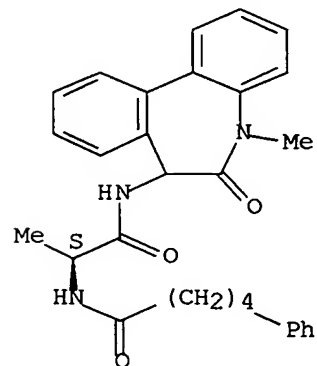
Absolute stereochemistry.  
Double bond geometry as shown.



RN 209994-67-2 CAPLUS

CN Benzenepentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

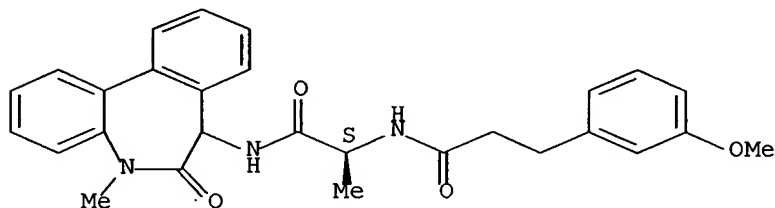
Absolute stereochemistry.



RN 209994-68-3 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-methoxy- (9CI) (CA INDEX NAME)

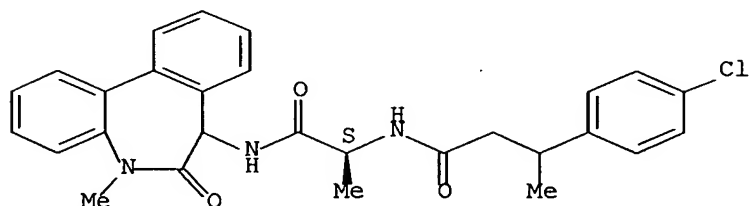
Absolute stereochemistry.



RN 209994-69-4 CAPLUS

CN Benzenepropanamide, 4-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-β-methyl- (9CI) (CA INDEX NAME)

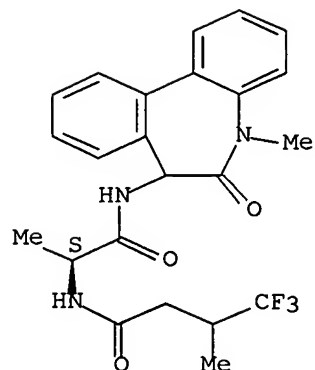
Absolute stereochemistry.



RN 209994-70-7 CAPLUS

CN Butanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4,4,4-trifluoro-3-methyl- (9CI) (CA INDEX NAME)

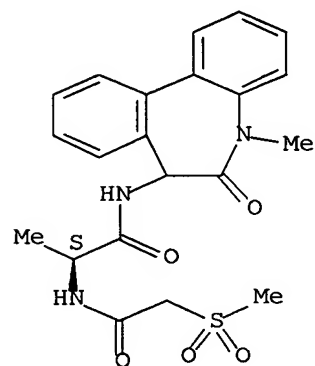
Absolute stereochemistry.



RN 209994-71-8 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[(methylsulfonyl)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

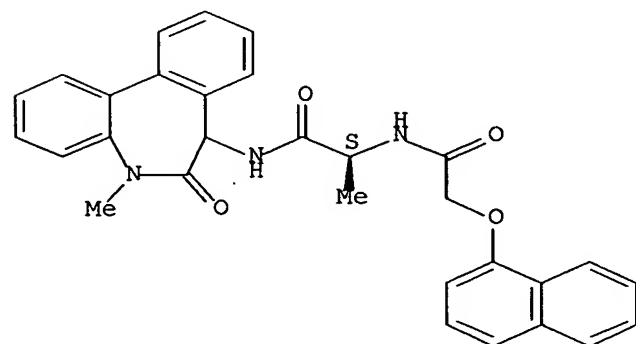
Absolute stereochemistry.



RN 209994-72-9 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[1-(naphthalenyloxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

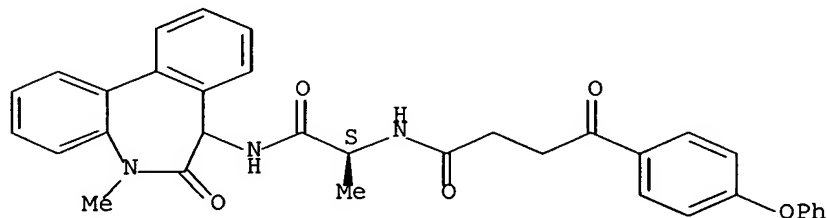




RN 209994-73-0 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-γ-oxo-4-phenoxy-(9CI) (CA INDEX NAME)

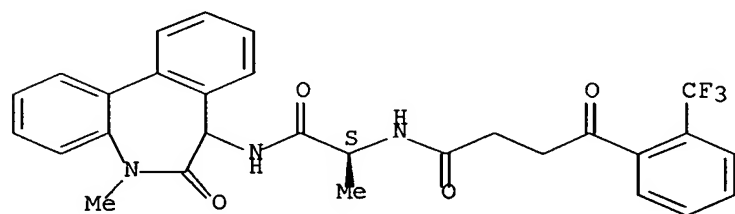
Absolute stereochemistry.



RN 209994-74-1 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-γ-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

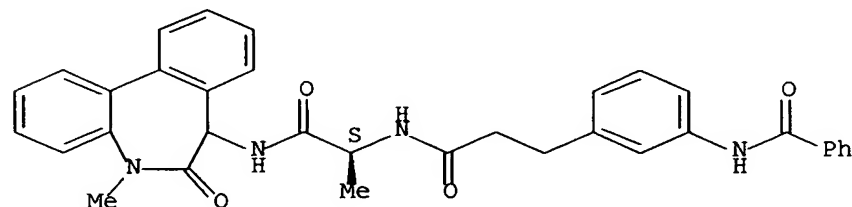
Absolute stereochemistry.



RN 209994-75-2 CAPLUS

CN Benzenepropanamide, 3-(benzoylamino)-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

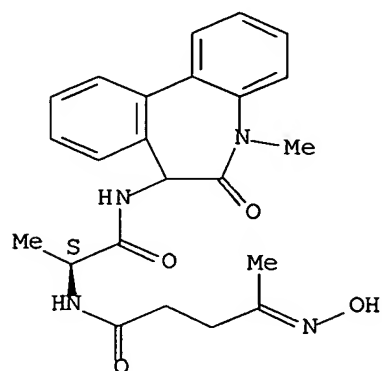


RN 209994-76-3 CAPLUS

CN Pentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-

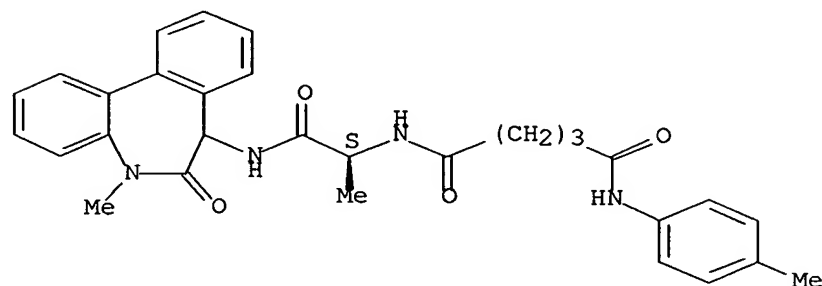
yl)amino]-1-methyl-2-oxoethyl]-4-(hydroxyimino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



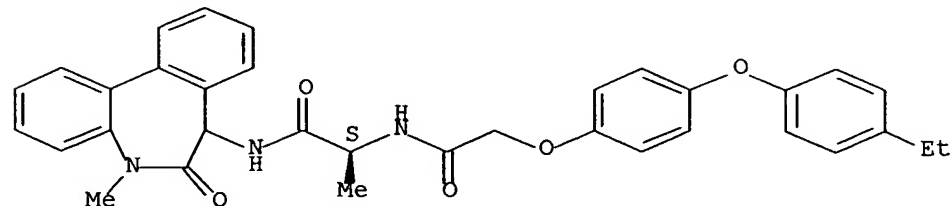
RN 209994-77-4 CAPLUS  
CN Pentanediamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209994-78-5 CAPLUS  
CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[4-(4-ethylphenoxy)phenoxy]acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

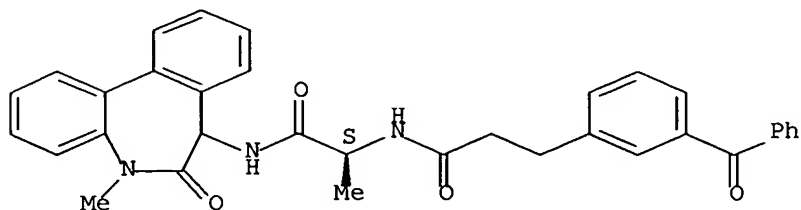
Absolute stereochemistry.



RN 209994-79-6 CAPLUS

CN Benzenepropanamide, 3-benzoyl-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

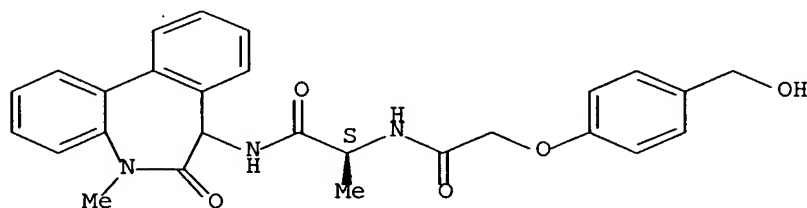
Absolute stereochemistry.



RN 209994-80-9 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[4-(hydroxymethyl)phenoxy]acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

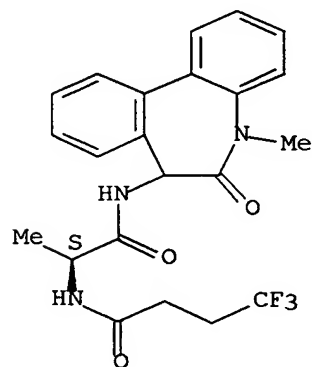
Absolute stereochemistry.



RN 209994-81-0 CAPLUS

CN Butanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4,4,4-trifluoro- (9CI) (CA INDEX NAME)

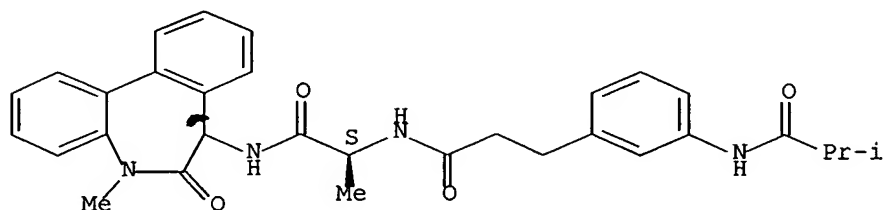
Absolute stereochemistry.



RN 209994-82-1 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-[(2-methyl-1-oxopropyl)amino]- (9CI) (CA INDEX NAME)

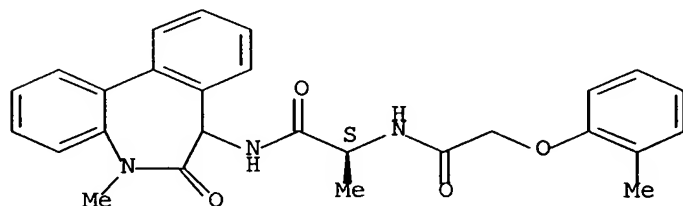
Absolute stereochemistry.



RN 209994-83-2 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[[(2-methylphenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

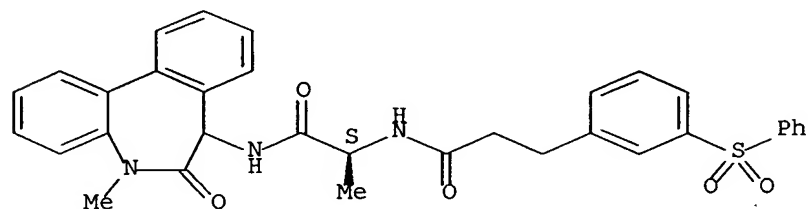
Absolute stereochemistry.



RN 209994-84-3 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

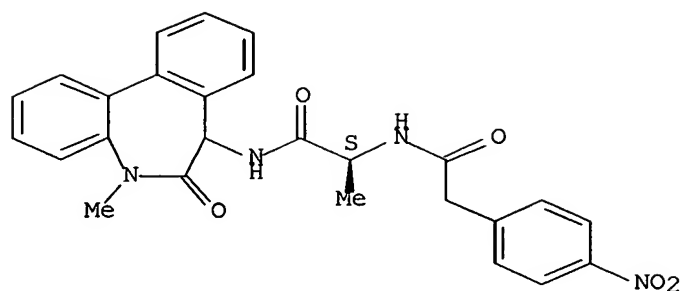
Absolute stereochemistry.



RN 209994-85-4 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-nitro- (9CI) (CA INDEX NAME)

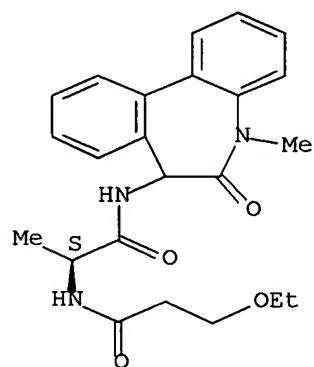
Absolute stereochemistry.



RN 209994-86-5 CAPLUS

CN Propanamide, N-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[(3-ethoxy-1-oxopropyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

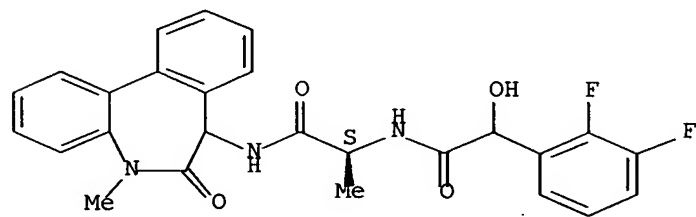
Absolute stereochemistry.



RN 209994-87-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,3-difluoro-α-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

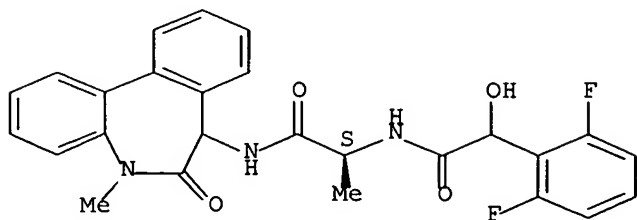


RN 209994-88-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,6-difluoro-α-hydroxy- (9CI) (CA INDEX NAME)

hydroxy- (9CI) (CA INDEX NAME)

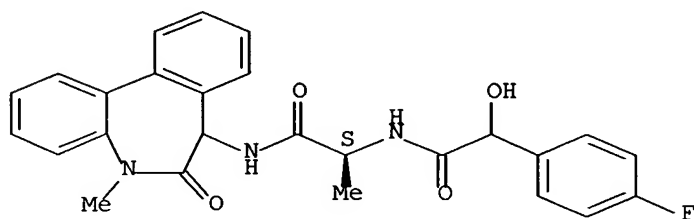
Absolute stereochemistry.



RN 209994-89-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-4-fluoro-α-hydroxy- (9CI) (CA INDEX NAME)

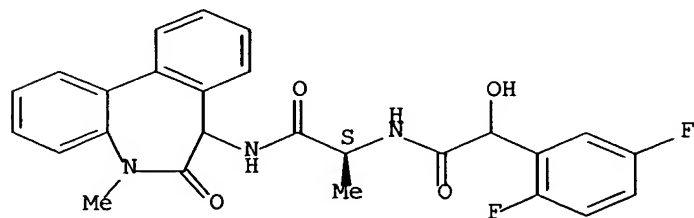
Absolute stereochemistry.



RN 209994-90-1 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2,5-difluoro-α-hydroxy- (9CI) (CA INDEX NAME)

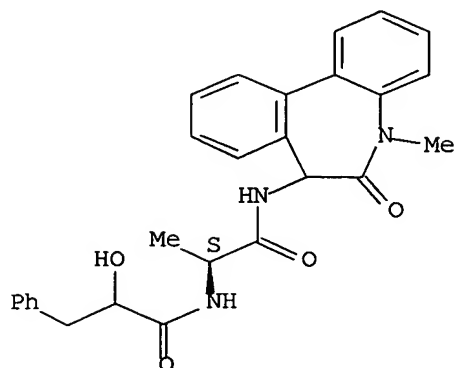
Absolute stereochemistry.



RN 209994-91-2 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy- (9CI) (CA INDEX NAME)

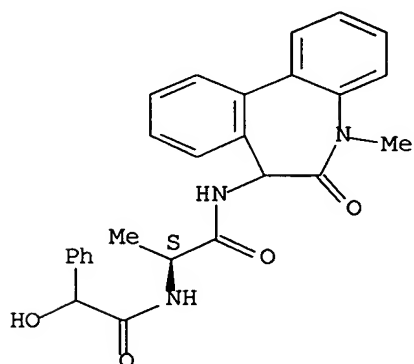
Absolute stereochemistry.



RN 209994-92-3 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy- (9CI)  
(CA INDEX NAME)

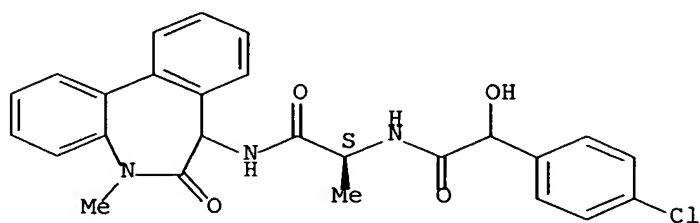
Absolute stereochemistry.



RN 209994-93-4 CAPLUS

CN Benzeneacetamide, 4-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy- (9CI)  
(CA INDEX NAME)

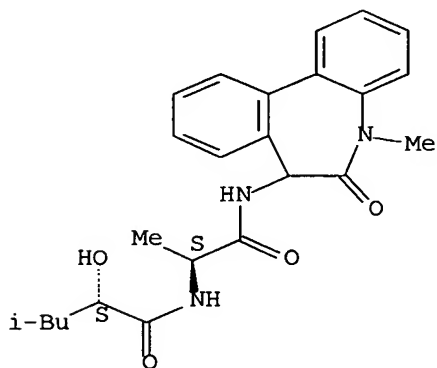
Absolute stereochemistry.



RN 209994-94-5 CAPLUS

CN Pentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-hydroxy-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

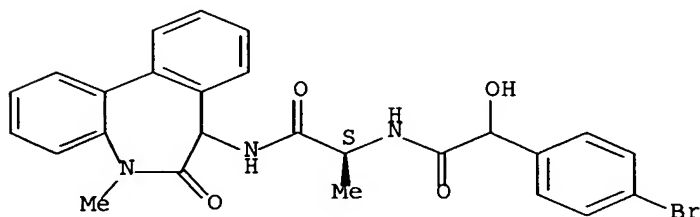
Absolute stereochemistry.



RN 209994-95-6 CAPLUS

CN Benzeneacetamide, 4-bromo-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

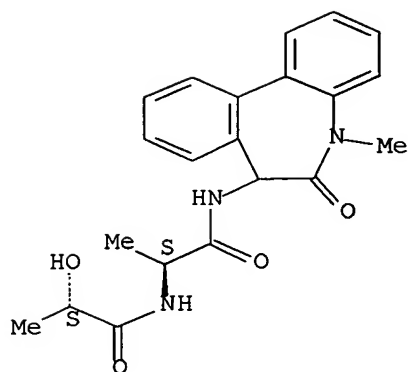


RN 209994-96-7 CAPLUS

CN Propanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

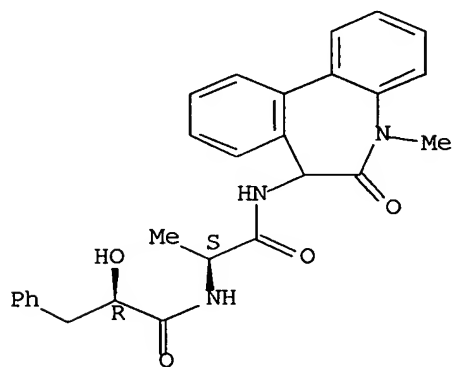




RN 209994-97-8 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-α-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

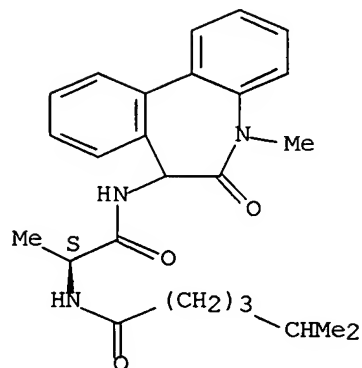
Absolute stereochemistry.



RN 209994-98-9 CAPLUS

CN Hexanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-5-methyl- (9CI) (CA INDEX NAME)

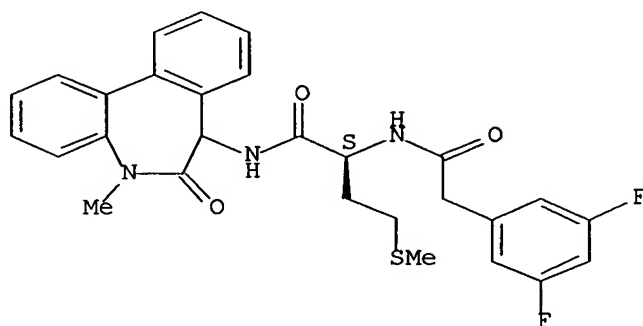
Absolute stereochemistry.



RN 209995-42-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-(methylthio)propyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

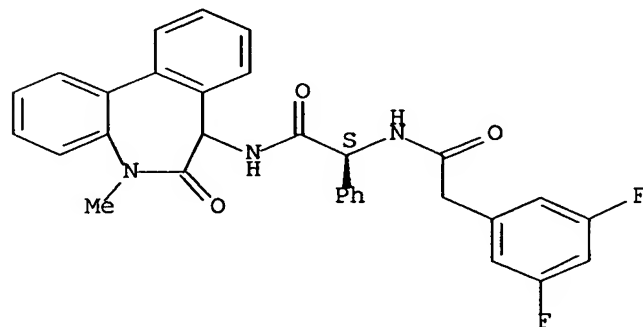
Absolute stereochemistry.



RN 209995-43-7 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-2-oxo-1-phenylethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

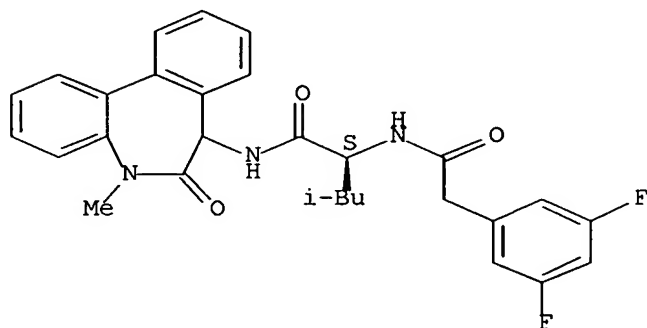
Absolute stereochemistry.



RN 209995-44-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]-3-methylbutyl]-3,5-difluoro- (9CI)  
(CA INDEX NAME)

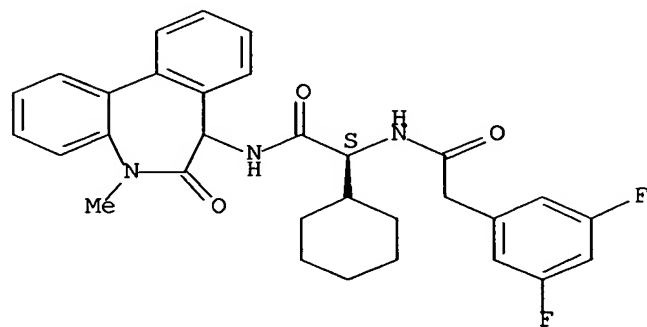
Absolute stereochemistry.



RN 209995-45-9 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-cyclohexyl-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]-2-oxoethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

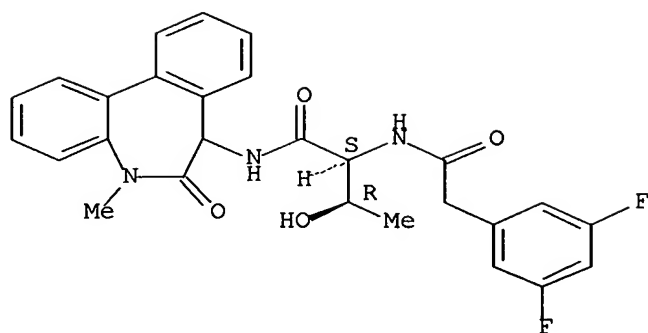
Absolute stereochemistry.



RN 209995-46-0 CAPLUS

CN Benzeneacetamide, N-[(1S,2R)-1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]-2-hydroxypropyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

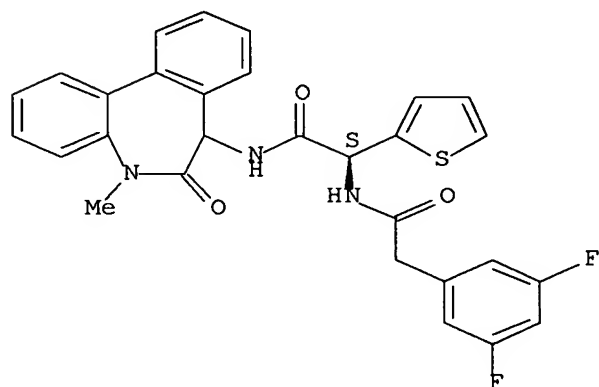
Absolute stereochemistry.



RN 209995-47-1 CAPLUS

CN 2-Thiopheneacetamide,  $\alpha$ -[[[(3,5-difluorophenyl)acetyl]amino]-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

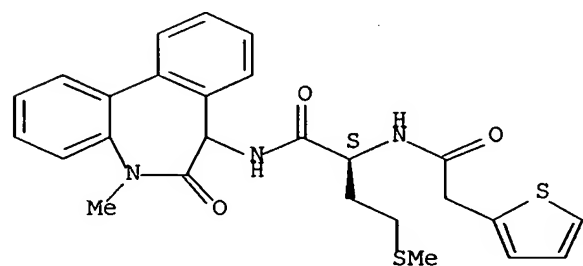
Absolute stereochemistry.



RN 209995-48-2 CAPLUS

CN 2-Thiopheneacetamide, N-[(1S)-1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-(methylthio)propyl]- (9CI) (CA INDEX NAME)

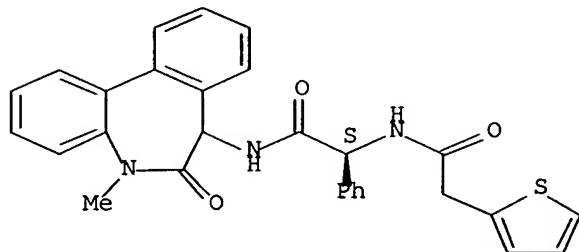
Absolute stereochemistry.



RN 209995-49-3 CAPLUS

CN 2-Thiopheneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

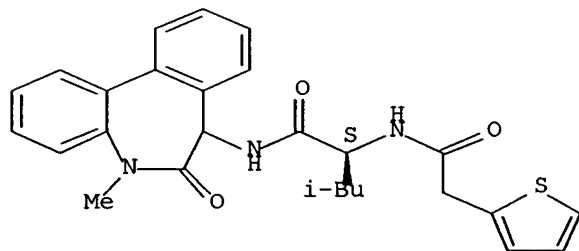
Absolute stereochemistry.



RN 209995-50-6 CAPLUS

CN 2-Thiopheneacetamide, N-[(1S)-1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

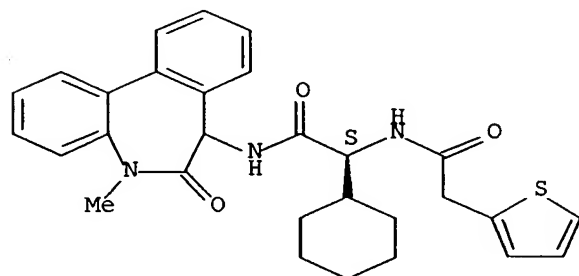
Absolute stereochemistry.



RN 209995-51-7 CAPLUS

CN 2-Thiopheneacetamide, N-[(1S)-1-cyclohexyl-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

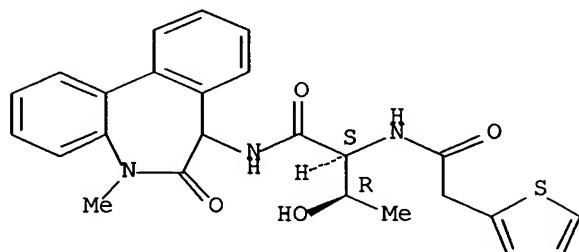
Absolute stereochemistry.



RN 209995-52-8 CAPLUS

CN 2-Thiopheneacetamide, N-[(1S,2R)-1-[[ (6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl) amino]carbonyl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

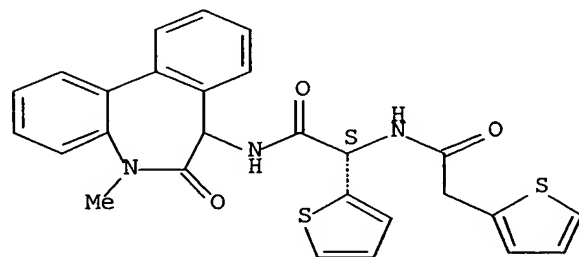
Absolute stereochemistry.



RN 209995-53-9 CAPLUS

CN 2-Thiopheneacetamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- $\alpha$ -[(2-thienylacetyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

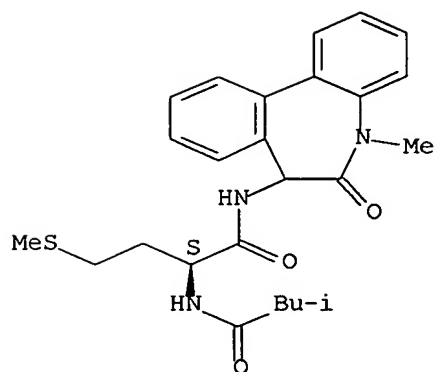
Absolute stereochemistry.



RN 209995-54-0 CAPLUS

CN Butanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[(3-methyl-1-oxobutyl)amino]-4-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

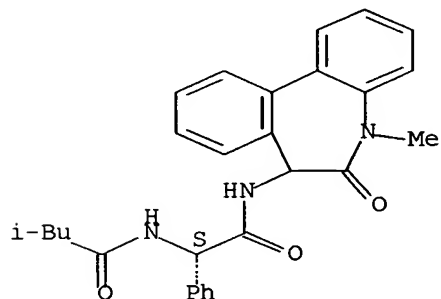
Absolute stereochemistry.



RN 209995-55-1 CAPLUS

CN Benzeneacetamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-  
 $\alpha$ -[(3-methyl-1-oxobutyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

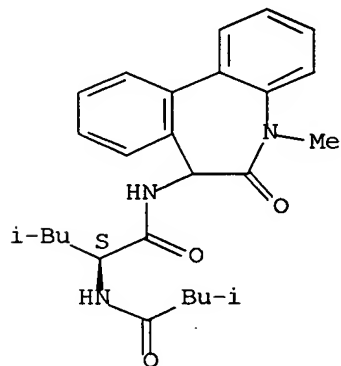
Absolute stereochemistry.



RN 209995-56-2 CAPLUS

CN Pentanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-4-  
methyl-2-[(3-methyl-1-oxobutyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

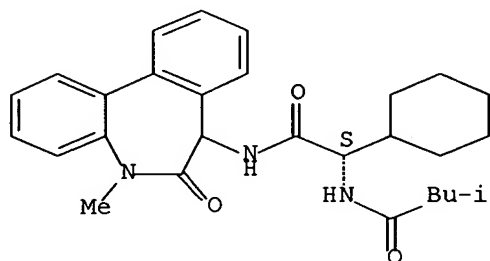
Absolute stereochemistry.



RN 209995-57-3 CAPLUS

CN Cyclohexaneacetamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- $\alpha$ -[(3-methyl-1-oxobutyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

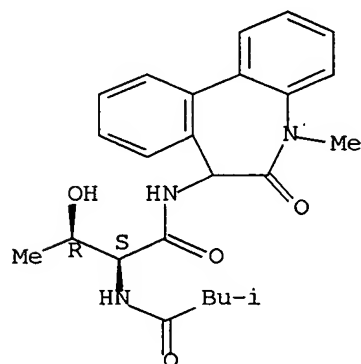
Absolute stereochemistry.



RN 209995-58-4 CAPLUS

CN Butanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-3-hydroxy-2-[(3-methyl-1-oxobutyl)amino]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

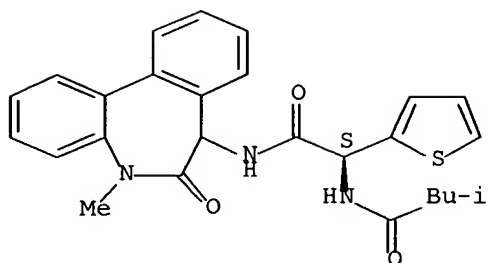


RN 209995-59-5 CAPLUS

CN 2-Thiopheneacetamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- $\alpha$ -[(3-methyl-1-oxobutyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

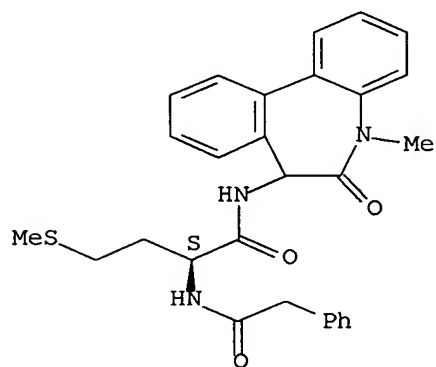




RN 209995-60-8 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-3-(methylthio)propyl]- (9CI) (CA INDEX NAME)

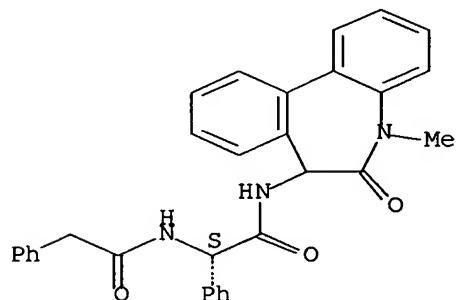
Absolute stereochemistry.



RN 209995-61-9 CAPLUS

CN Benzeneacetamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-alpha-[(phenylacetyl)amino]-, (alphaS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

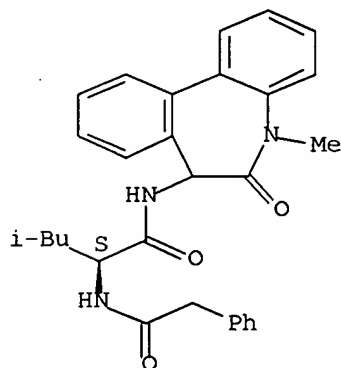


RN 209995-62-0 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-

dibenz[b,d]azepin-7-yl) amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

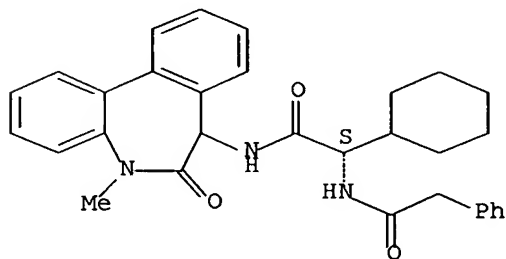
Absolute stereochemistry.



RN 209995-63-1 CAPLUS

CN Benzeneacetamide, N-[(1S)-1-cyclohexyl-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

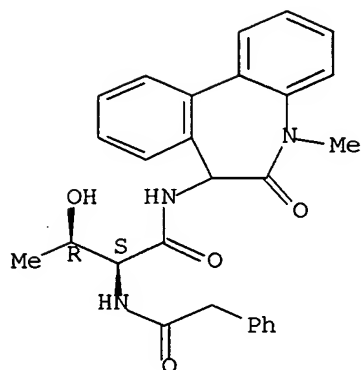
Absolute stereochemistry.



RN 209995-64-2 CAPLUS

CN Benzeneacetamide, N-[(1S,2R)-1-[[[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]carbonyl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

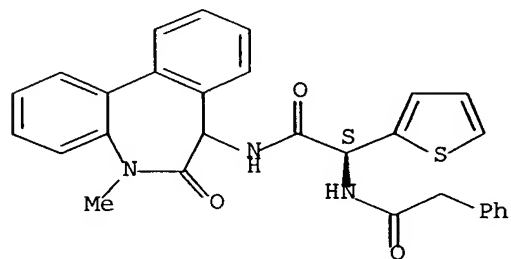
Absolute stereochemistry.



RN 209995-65-3 CAPLUS

CN 2-Thiopheneacetamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-α-[(phenylacetyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

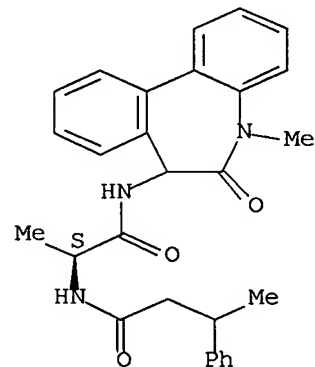
Absolute stereochemistry.



RN 209996-42-9 CAPLUS

CN Benzenepropanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-β-methyl-, (9CI) (CA INDEX NAME)

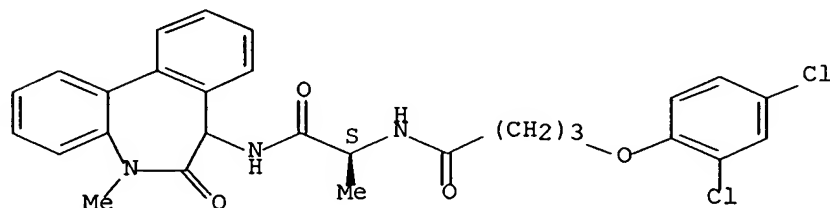
Absolute stereochemistry.



RN 209996-43-0 CAPLUS

CN Butanamide, 4-(2,4-dichlorophenoxy)-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

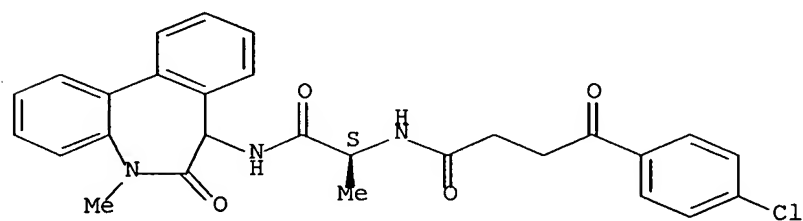
Absolute stereochemistry.



RN 209996-44-1 CAPLUS

CN Benzenebutanamide, 4-chloro-N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-γ-oxo- (9CI) (CA INDEX NAME)

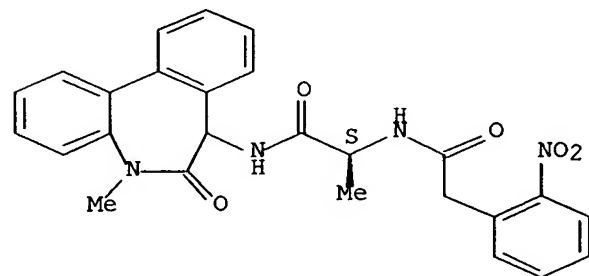
Absolute stereochemistry.



RN 209996-45-2 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-nitro- (9CI) (CA INDEX NAME)

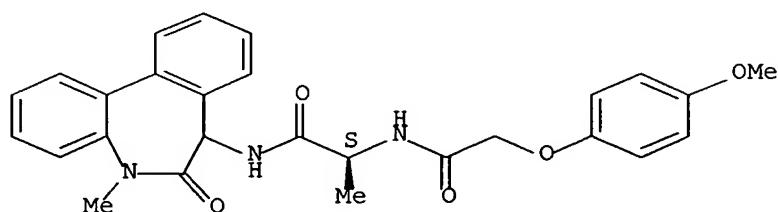
Absolute stereochemistry.



RN 209996-46-3 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-  
[[ (4-methoxyphenoxy)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

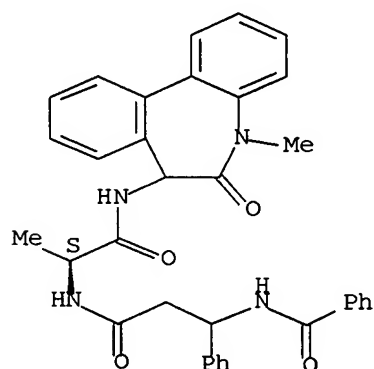
Absolute stereochemistry.



RN 209996-47-4 CAPLUS

CN L-Alaninamide, N-benzoyl-3-phenyl-β-alanyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

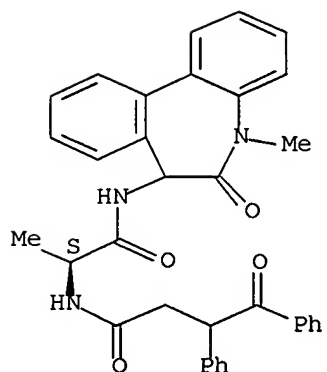
Absolute stereochemistry.



RN 209996-48-5 CAPLUS

CN Benzenebutanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-γ-oxo-β-phenyl- (9CI) (CA INDEX NAME)

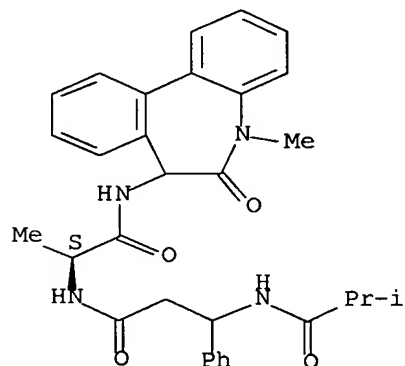
Absolute stereochemistry.



RN 209996-49-6 CAPLUS

CN L-Alaninamide, N-(2-methyl-1-oxopropyl)-3-phenyl- $\beta$ -alanyl-N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)- (9CI) (CA INDEX NAME)

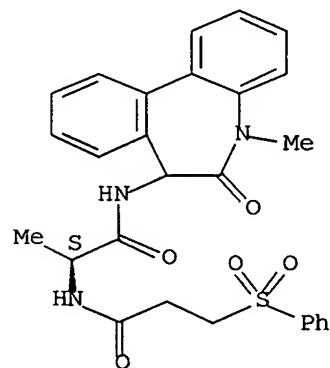
Absolute stereochemistry.



RN 209996-50-9 CAPLUS

CN Propanamide, N-(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)-2-[[1-oxo-3-(phenylsulfonyl)propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

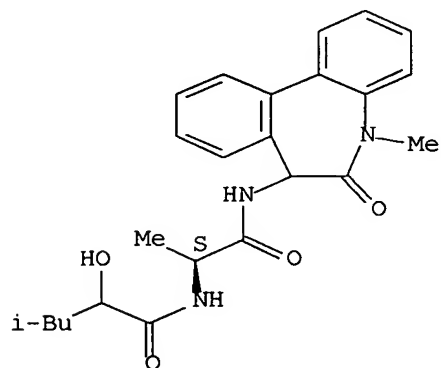
Absolute stereochemistry.



RN 209996-52-1 CAPLUS

CN Pentanamide, N-[(1S)-2-[(6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl)amino]-1-methyl-2-oxoethyl]-2-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

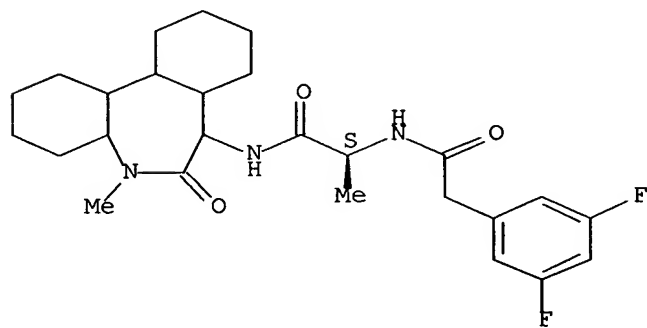
Absolute stereochemistry.



RN 210220-55-6 CAPLUS

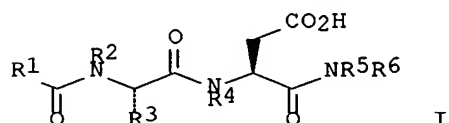
CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(tetradecahydro-5-methyl-6-oxo-1H-dibenz[b,d]azepin-7-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1998:427769 CAPLUS Full-text  
 DN 129:95722  
 TI Preparation of CS-1 peptidomimetics and their compositions  
 IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.  
 PA Cytel Corp., USA  
 SO U.S., 80 pp., Cont.-in-part of U.S. Ser. No. 349,024.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5770573	A	19980623	US 1995-462219	19950605
	CA 2177840	AA	19950615	CA 1994-2177840	19941205
	CN 1142832	A	19970212	CN 1994-194969	19941205
	US 5688913	A	19971118	US 1995-435286	19950505
	US 6117840	A	20000912	US 1997-837154	19970414
	US 6103870	A	20000815	US 1997-923026	19970903
PRAI	US 1993-164101	B2	19931206		
	US 1994-349024	A2	19941202		
	US 1995-435286	A1	19950505		
OS	MARPAT 129:95722				
GI					

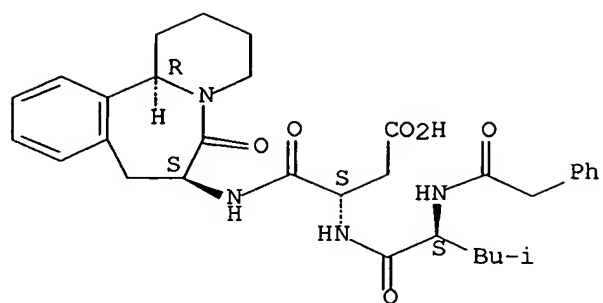


AB Peptidomimetics I (R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, Me or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, 1,1-diphenylmethine, or the R5 ring structure) were prepared as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-Leu-Asp-Phe-D-Pro-NH2 was prepared and assayed for binding inhibition potency (313 relative to a standard compound).

IT **209601-14-9P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of CS-1 peptidomimetics and their compns.)  
 RN 209601-14-9 CAPLUS  
 CN L- $\alpha$ -Asparagine, N-(phenylacetyl)-L-leucyl-N-[(7S,12bR)-1,2,3,4,6,7,8,12b-octahydro-6-oxopyrido[2,1-a][2]benzazepin-7-yl]- (9CI)  
 (CA INDEX NAME)

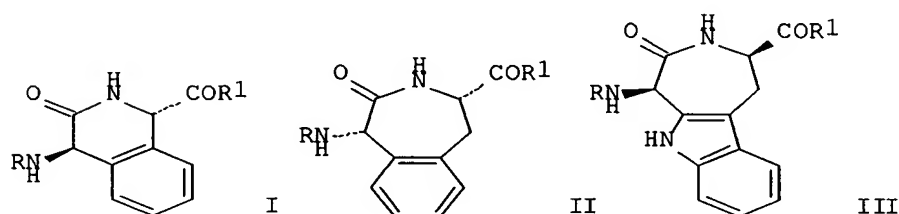
Absolute stereochemistry.





RE.CNT 17      THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1998:265646 CAPLUS Full-text  
 DN 129:4850  
 TI Synthesis of cyclic dipeptide templates, their incorporation into peptides and studies on their conformational and biological properties  
 AU Asche, Geert; Kunz, Horst; Nar, Herbert; Koppen, Herbert; Briem, Hans; Pook, Karl-Heinz; Schiller, Peter W.; Chung, Nga N.; Lemieux, Carole; Esser, Franz  
 CS Departments of Medicinal Chemistry and Analytical Sciences, Boehringer Ingelheim, Ingelheim, Germany  
 SO Journal of Peptide Research (1998), 51(5), 323-336  
 CODEN: JPERFA; ISSN: 1397-002X  
 PB Munksgaard International Publishers Ltd.  
 DT Journal  
 LA English  
 GI



AB This study investigated the diastereoselective synthesis of three dipeptide templates I-III [R = Cl<sub>3</sub>CCH<sub>2</sub>O<sub>2</sub>C, PhCH<sub>2</sub>O<sub>2</sub>C (Cbz); R<sub>1</sub> = OH], which may be regarded as conformationally restricted analogs of H-Gly-Xaa-OH, in which Xaa constitutes an aromatic amino acid. Bond formation between α-C of Gly and the aromatic moiety was achieved by proton-catalyzed intramol. electrophilic aromatic substitution. The absolute configuration of the dipeptide templates was determined by single-crystal x-ray crystallog. or by NOE measurements. A protective group strategy was elaborated to allow their incorporation into peptide sequences by liquid phase as well as by solid-phase peptide synthesis. The templates were used to generate enkephalin analog II (R = H-Tyr-Gly, R<sub>1</sub> = Leu-NH<sub>2</sub>), modified neurokinin antagonist III (R = N-cyclohexylcarbonylglycyl, R<sub>1</sub> = Phe-NMe<sub>2</sub>) and dermorphin derivs. I and II (R = H-Tyr-D-Ala, Phe; R<sub>1</sub> = Pro-Ser-NH<sub>2</sub>). Mol. dynamic simulations of enkephalin analog II (R = H-Tyr-Gly, R<sub>1</sub> = Leu-NH<sub>2</sub>) and neurokinin antagonist III (R = N-cyclohexylcarbonylglycyl, R<sub>1</sub> = Phe-NMe<sub>2</sub>) revealed the preference for a turn-like motif for the enkephalin analog. The biol. activity, as investigated by resp. receptor binding and functional assays, was strongly diminished with all four derivs., indicating that their receptor-relevant mol. geometries lie outside the examined conformational space.

IT 207444-02-8P

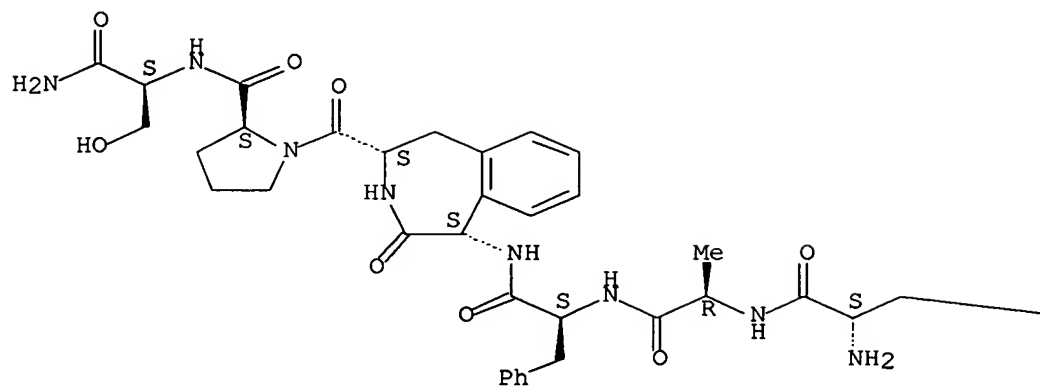
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation, conformation, and receptor-binding of conformationally constrained aromatic dipeptide template-containing peptides)

RN 207444-02-8 CAPLUS

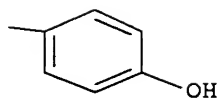
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-(2S,5S)-5-amino-2,3,4,5-tetrahydro-4-oxo-1H-3-benzazepine-2-carbonyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



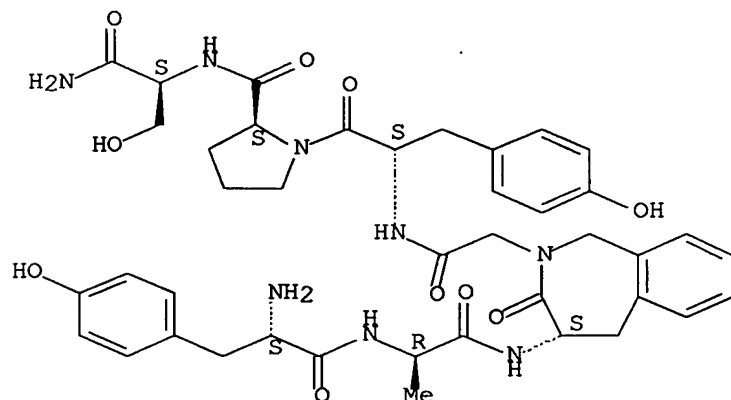
PAGE 1-B



RE.CNT 23      THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

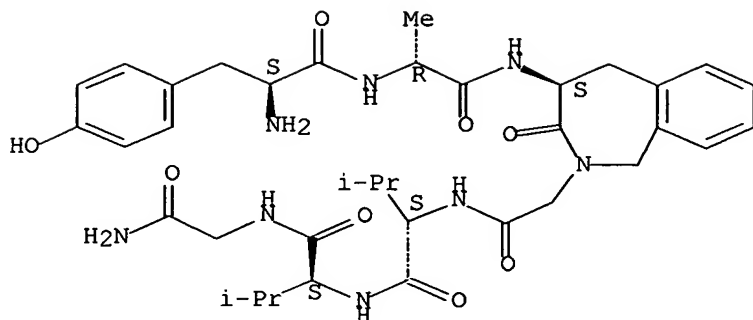
L19 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1996:639591 CAPLUS Full-text  
 DN 126:1299  
 TI Conformational restriction of Tyr1 and Phe3 side chains in opioid peptides: Evidence that the trans conformation at  $\chi_1$  is required for  $\delta$ -selectivity  
 AU Tourwe, D.; Conrath, P.; Frycia, A.; Verschueren, K.; Jaspers, H.; Verheyden, P.; Van Betsbrugge, J.; Van Binst, G.  
 CS Department Organic Chemistry, Vrije Universiteit Brussel, Brussels, B-1050, Belg.  
 SO Peptides 1994, Proceedings of the European Peptide Symposium, 23rd, Braga, Port., Sept. 4-10, 1994 (1995), Meeting Date 1994, 700-701. Editor(s): Maia, Hernani L. S. Publisher: ESCOM, Leiden, Neth.  
 CODEN: 63MBAO  
 DT Conference  
 LA English  
 AB The relative orientation of Tyr1 and Phe3 (or Phe4) side chains in opioid peptides is critical for potency and receptor selectivity. Sidechain cyclized Tyr or Phe analogs are needed to define the conformational requirements for bioactivity of these flexible residues. The authors now report further results on the use of 4-amino-tetrahydro-2-benzazepine-3- one as a constrained Tyr or Phe analog.  
 IT **149416-09-1 174144-69-5 183617-52-9**  
**183617-53-0 183617-54-1**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (conformational restriction of Tyr1 and Phe3 side chains in opioid peptides: evidence that trans conformation at  $\chi_1$  is required for  $\delta$ -selectivity)  
 RN 149416-09-1 CAPLUS  
 CN Dermorphin, 3-[(S)-1,3,4,5-tetrahydro-3-oxo-4-amino-2H-2-benzazepineacetic acid]-4-deglycine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174144-69-5 CAPLUS  
 CN Deltorpin B, 3-de-L-phenylalanine-4-de-L-glutamic acid-5-[N-[(4-amino-1,3,4,5-tetrahydro-3-oxo-2H-2-benzazepin-2-yl)acetyl]-L-valine]-, (S)- (9CI) (CA INDEX NAME)

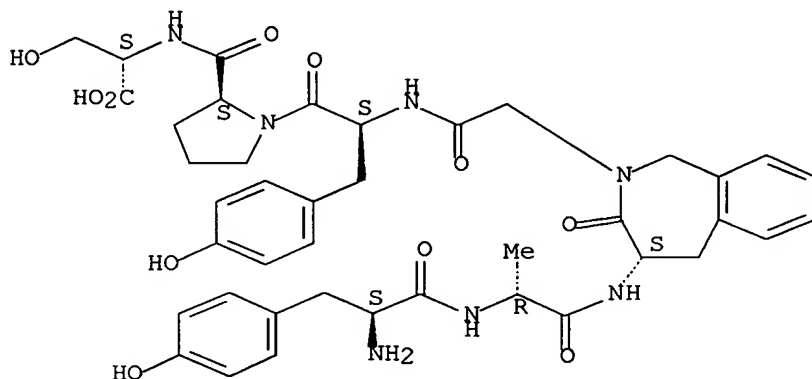
Absolute stereochemistry.



RN 183617-52-9 CAPLUS

CN L-Serine, L-tyrosyl-D-alanyl-(4S)-4-amino-1,3,4,5-tetrahydro-3-oxo-2H-2-benzazepine-2-acetyl-L-tyrosyl-L-prolyl- (9CI) (CA INDEX NAME)

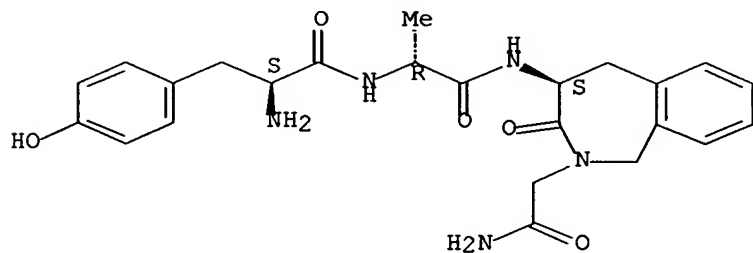
Absolute stereochemistry.



RN 183617-53-0 CAPLUS

CN D-Alaninamide, L-tyrosyl-N-[(4S)-2-(2-amino-2-oxoethyl)-2,3,4,5-tetrahydro-3-oxo-1H-2-benzazepin-4-yl]- (9CI) (CA INDEX NAME)

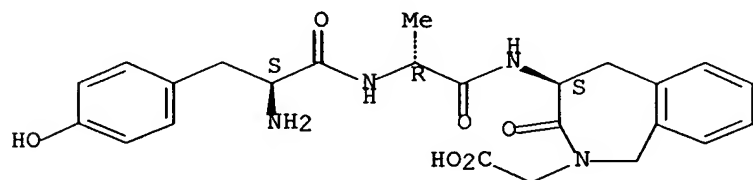
Absolute stereochemistry.



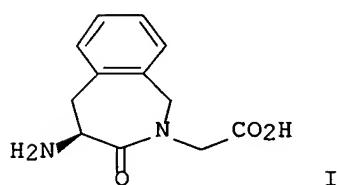
RN 183617-54-1 CAPLUS

CN D-Alaninamide, L-tyrosyl-N-[(4S)-2-(carboxymethyl)-2,3,4,5-tetrahydro-3-oxo-1H-2-benzazepin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1996:9826 CAPLUS Full-text  
 DN 124:203039  
 TI Conformational restriction of Tyr and Phe side chains in opioid peptides:  
 information about preferred and bioactive side-chain topology  
 AU Tourwe, Dirk; Verschueren, Kris; Frycia, Anne; Davis, Peg; Porreca, Frank;  
 Hruby, Victor J.; Toth, Geza; Jaspers, Hendrika; Verheyden, Patricia; Van  
 Binst, Georges  
 CS Eenheid Organische Chemie, Vrije Universiteit Brussel, Brussels, B-1050,  
 Belg.  
 SO Biopolymers (1996), 38(1), 1-12  
 CODEN: BIPMAA; ISSN: 0006-3525  
 PB Wiley  
 DT Journal  
 LA English  
 GI



AB The side chain of Tyr and Phe was fixed into the gauche(-) or gauche(+) conformation by using 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Tic) or 7-hydroxy-Tic (Htc) structures, and into the trans conformation by using aminobenzazepine-type structure I. When incorporated into dermorphin or deltorphin II, the Tic and Htc analogs all showed a large decrease in both  $\mu$  and  $\delta$  affinities and activities. Fixation of Phe3 in the trans rotamer resulted in a large increase in  $\delta$  affinity in the dermorphin analog, whereas in the I-containing deltorphin II analog, good  $\delta$  affinity is maintained despite the removal of the Glu side chain. Whereas several authors propose a gauche(-) preferred conformation for the Phe3 side chain, these results suggest a trans conformation at the  $\delta$  receptor. The use of these conformationally constrained residues for evaluating the preferred solution conformation in the flexible N-terminal tripeptide Tyr-D-Ala-Phe is illustrated. The 1H-NMR parameters-chemical shift, temperature dependence, and nuclear Overhauser effects to the D-Ala2 Me protons in the different analogs-provide direct evidence to confirm the proposed sandwich conformation in the native peptides.

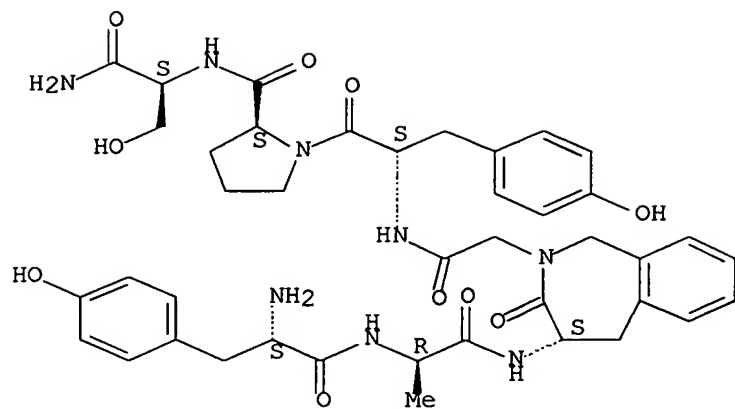
IT 149416-09-1P 174144-69-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and NMR conformations of side-chain restricted opioid peptides)

RN 149416-09-1 CAPLUS

CN Dermorphin, 3-[(S)-1,3,4,5-tetrahydro-3-oxo-4-amino-2H-2-benzazepineacetic acid]-4-deglycine- (9CI) (CA INDEX NAME)

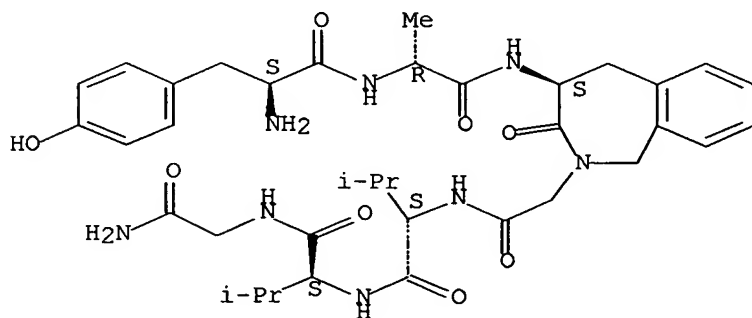
Absolute stereochemistry.



RN 174144-69-5 CAPLUS

CN Deltorphen B, 3-de-L-phenylalanine-4-de-L-glutamic acid-5-[N-[(4-amino-1,3,4,5-tetrahydro-3-oxo-2H-2-benzazepin-2-yl)acetyl]-L-valine]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

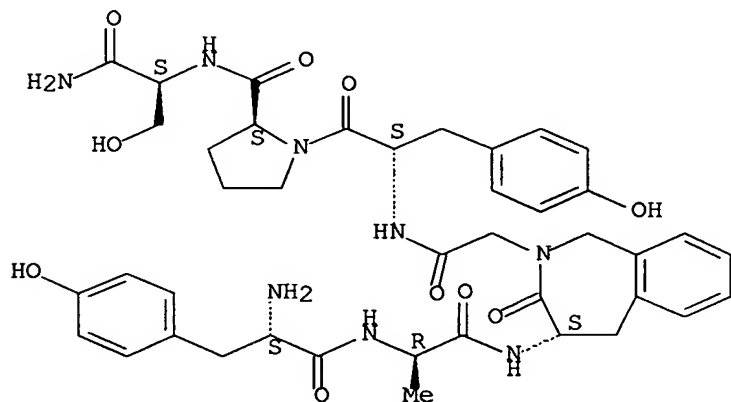


L19 ANSWER 47 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1993:517805 CAPLUS Full-text  
 DN 119:117805  
 TI Dermorphin sequence with high  $\delta$ -affinity by fixing the Phe side chain to trans at  $\chi_1$   
 AU Tourwe, D.; Verschueren, K.; Van Binst, G.; Davis, P.; Porreca, F.; Hruby, V. J.  
 CS Vrije Univ. Brussel, Brussels, B-1050, Belg.  
 SO Bioorganic & Medicinal Chemistry Letters (1992), 2(10), 1305-8  
 CODEN: BMCLE8; ISSN: 0960-894X  
 DT Journal  
 LA English  
 OS CASREACT 119:117805  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The Phe side chain in dermorphin (H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH<sub>2</sub>) was fixed into the trans conformation by linking the aromatic ring to the Gly nitrogen through a methylene bridge. Thus, the cyclocondensation reaction of phthaloyl dipeptide I with H<sub>2</sub>CO gave oxazolidinone II, which was cyclized by treatment with CF<sub>3</sub>SO<sub>3</sub>H to give 2-benzazepin-3-one III (R = phthalimido). The latter was deblocked by hydrazinolysis and then acylated with (Boc)<sub>2</sub>O (Boc = Me<sub>3</sub>CO<sub>2</sub>C) to give III (R = BocNH), which was used in the solid-phase synthesis of dermorphin analog IV. IV has high  $\mu$ - and  $\delta$ -opioid activities.  
 IT **149416-09-1P**  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and affinity of, for  $\mu$ - and  $\delta$ -opioid receptors)  
 RN 149416-09-1 CAPLUS  
 CN Dermorphin, 3-[(S)-1,3,4,5-tetrahydro-3-oxo-4-amino-2H-2-benzazepineacetic acid]-4-deglycine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

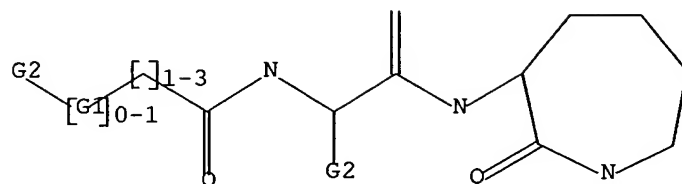




=> d l1; d l3; d l7; d l11; d l15; d his; log y

L1 HAS NO ANSWERS

L1 STR



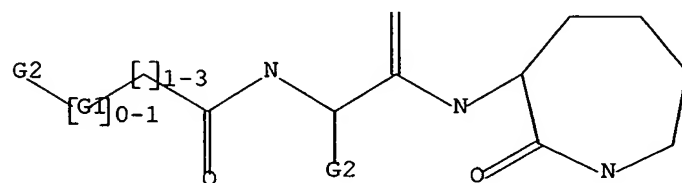
G1 O,S,N

G2 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

L3 HAS NO ANSWERS

L3 STR



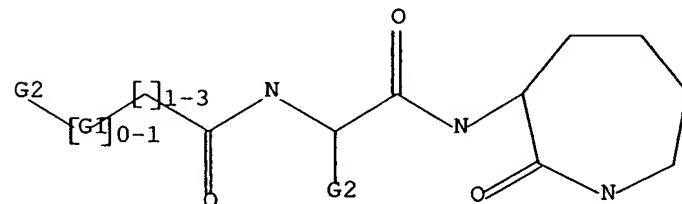
G1 O,S,N

G2 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

L7 HAS NO ANSWERS

L6 STR



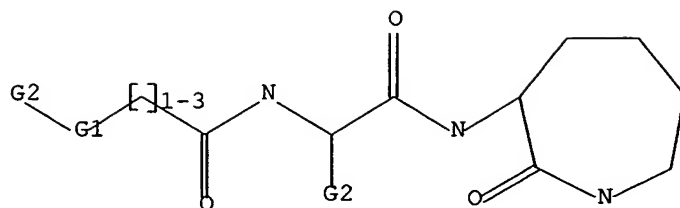
G1 O,S

G2 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

L7 QUE ABB=ON PLU=ON L6

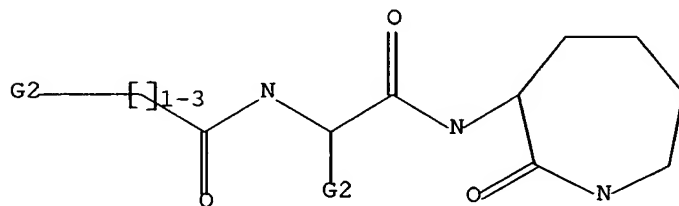
L11 HAS NO ANSWERS  
L10 STR



G1 O,S  
G2 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.  
L11 QUE ABB=ON PLU=ON L10

L15 HAS NO ANSWERS  
L14 STR



G1 O,S  
G2 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.  
L15 QUE ABB=ON PLU=ON L14

(FILE 'REGISTRY' ENTERED AT 10:47:44 ON 10 FEB 2006)

DEL HIS Y  
ACT A10733877/A

-----  
L1 STR  
L2 ( 604)SEA FILE=REGISTRY SSS FUL L1  
L3 STR  
L4 ( 184)SEA FILE=REGISTRY SUB=L2 SSS FUL L3  
L5 420 SEA FILE=REGISTRY ABB=ON PLU=ON L2 NOT L4  
-----  
L6 STRUCTURE UPLOADED  
L7 QUE L6

L8            16 S L7 SAM SUB=L5  
 L9            415 S L7 FUL SUB=L5  
 L10           STRUCTURE UPLOADED  
 L11           QUE L10  
 L12           1 S L11 SAM SUB=L9  
 L13           45 S L11 FUL SUB=L9  
 L14           STRUCTURE UPLOADED  
 L15           QUE L14  
 L16           16 S L15 SAM SUB=L9  
 L17           387 S L15 FUL SUB=L9  
 L18           415 S L13 OR L17

FILE 'CAPLUS' ENTERED AT 10:57:53 ON 10 FEB 2006  
 L19           47 S L18

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	241.55	408.74
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-35.25	-35.25

STN INTERNATIONAL LOGOFF AT 10:59:56 ON 10 FEB 2006